FILE 'REGISTRY' ENTERED AT 09:12:12 ON 19 DEC 2007

L26 STRUCTURE UPLOADED

L27 14 S L26 SAM SUB=L6

L28 5.06 S L26 SSS FULL SUB=L6

L29 1077 S L6 NOT L28

FILE 'STNGUIDE' ENTERED AT 09:14:12 ON 19 DEC 2007

FILE 'CAPLUS' ENTERED AT 09:14:23 ON 19 DEC 2007

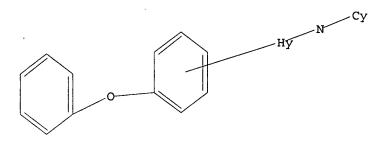
L30 105 S L29

FILE 'REGISTRY' ENTERED AT 09:14:47 ON 19 DEC 2007

=> d 126

L26 HAS NO ANSWERS

L26 STR



Structure attributes must be viewed using STN Express query preparation.

Ll

L2

L3

(FILE 'HOME' ENTERED AT 08:23:53 ON 19 DEC 2007)

FILE 'REGISTRY' ENTERED AT 08:23:59 ON 19 DEC 2007
STRUCTURE UPLOADED
STRUCTURE UPLOADED
STRUCTURE UPLOADED

L4 993942 S. NCSC2/ES

L5 50 S L1 SAM SUB=L4

L6 1583 S L1 SSS FULL SUB=L4

L7 40 S L2 SAM SUB=L6

L8 1101 S L2 SSS FULL SUB=L6

L9 197 S L3 SSS FULL SUB=L6

L10 1163 S L8 OR L9

SAV TEM L6 BRD576830/A SAV TEM L10 NAR576830/A

FILE 'STNGUIDE' ENTERED AT 08:26:31 ON 19 DEC 2007

FILE 'REGISTRY' ENTERED AT 08:28:44 ON 19 DEC 2007

L11 STRUCTURE UPLOADED

L12 STRUCTURE UPLOADED

L13 2 S L11 SAM SUB=L10

L14 5 S L12 SAM SUB=L10

L17 43 S L15 OR L16

SAV TEM L17 NA2576830/A

FILE 'CAPLUS' ENTERED AT 08:30:22 ON 19 DEC 2007
L18 18 S L17

FILE 'STNGUIDE' ENTERED AT 08:30:43 ON 19 DEC 2007

FILE 'CAPLUS' ENTERED AT 08:31:19 ON 19 DEC 2007

L19 1 S US200!-576830/APPS

L20 1 S L18 AND L19

FILE 'REGISTRY' ENTERED AT 08:31:37 ON 19 DEC 2007

L21 STRUCTURE UPLOADED

L22 1 S L21 SAM SUB=L10

L23 14 S L21 SSS FULL SUB=L10

FILE 'CAPLUS' ENTERED AT 08:32:29 ON 19 DEC 2007

L24 4 S L23

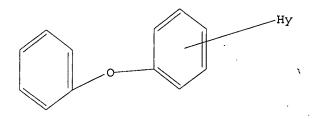
L25 3 S L24 NOT L19

FILE 'REGISTRY' ENTERED AT 08:33:07 ON 19 DEC 2007

=> d l1

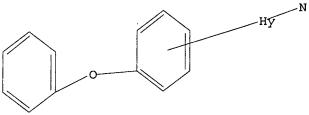
L1 HAS NO ANSWERS

L1 STR



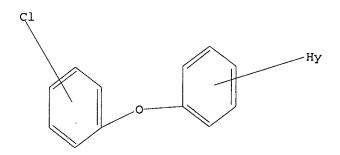
Structure attributes must be viewed using STN Express query preparation.

=> d 12 L2 HAS NO ANSWERS L2 STR



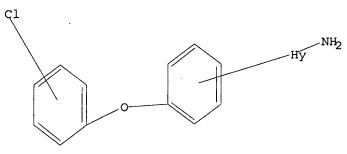
Structure attributes must be viewed using STN Express query preparation.

=> d 13 L3 HAS NO ANSWERS L3 STR



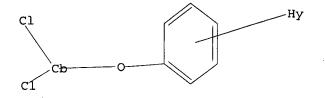
Structure attributes must be viewed using STN Express query preparation.

=> d lll L11 HAS NO ANSWERS L11 STR



Structure attributes must be viewed using STN Express query preparation.

=> d 112 L12 HAS NO ANSWERS L12 STR



Structure attributes must be viewed using STN Express query preparation.

Structure attributes must be viewed using STN Express query preparation.

```
Uploading C:\Program Files\Stnexp\Queries\10576830-broad.str
        STRUCTURE UPLOADED
L1
Uploading C:\Program Files\Stnexp\Queries\10576830-narrow-1.str
L2
        STRUCTURE UPLOADED
Uploading C:\Program Files\Stnexp\Queries\10576830-narrow-2.str
        STRUCTURE UPLOADED
Uploading C:\Program Files\Stnexp\Queries\10576830-narrow-3.str
L11
        STRUCTURE UPLOADED
Uploading C:\Program Files\Stnexp\Queries\10576830-narrow-4.str
L12
        STRUCTURE UPLOADED
Uploading C:\Program Files\Stnexp\Queries\10576830-narrow-5.str
L21
        STRUCTURE UPLOADED
```

```
C:\Program Files\Stnexp\Queries\10576830-broad.str
chain nodes :
   14 15
ring nodes :
   1 2 3 4 5 6 7 8 9 10 11 12
chain bonds :
   6-14 8-14
ring bonds :
   1-2 1-6 2-3 3-4 4-5 5-6 7-8 7-12 8-9 9-10 10-11 11-12
exact/norm bonds :
   6-14 8-14
normalized bonds :
   1-2 1-6 2-3 3-4 4-5 5-6 7-8 7-12 8-9 9-10 10-11 11-12
isolated ring systems :
   containing 1 : 7 :
Match level :
   1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom 11:Atom
```

Element Count :

15:

Generic attributes :

Saturation

12:Atom 14:CLASS 15:Atom 17:Atom

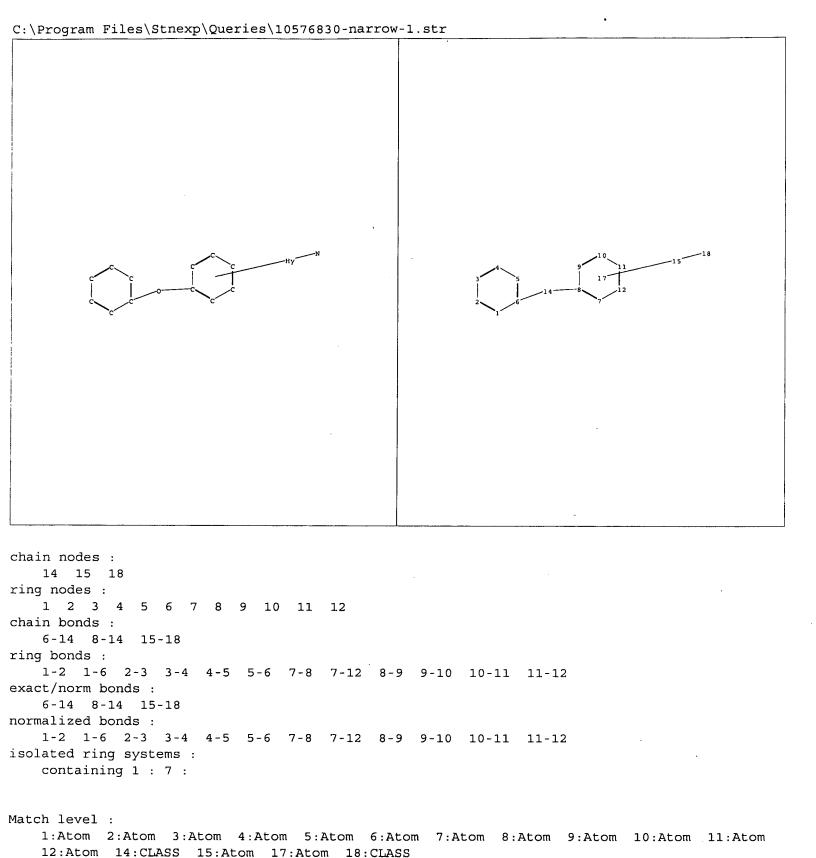
Number of Carbon Atoms : less than 7 Number of Hetero Atoms : 2 or more Type of Ring System : Monocyclic

: Unsaturated

Node 15: Limited

C, C3

S, S1 N, N1



Saturation : Unsaturated Number of Carbon Atoms : less than 7 Number of Hetero Atoms : 2 or more

Type of Ring System : Monocyclic

Element Count :

15:

Generic attributes :

Node 15: Limited

C,C3

S,S1 N,N1

```
chain nodes :
   14 15 18
ring nodes :
   1 2 3 4 5 6 7 8 9 10 11 12
chain bonds :
   6-14 8-14
ring bonds :
   1-2 1-6 2-3 3-4 4-5 5-6 7-8 7-12 8-9 9-10 10-11 11-12
exact/norm bonds :
   6-14 8-14
normalized bonds :
   1-2 1-6 2-3 3-4 4-5 5-6 7-8 7-12 8-9 9-10 10-11 11-12
isolated ring systems :
   containing 1 : 7 :
Match level :
   1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom 11:Atom
   12:Atom 14:CLASS 15:Atom 17:Atom 18:CLASS 19:Atom
Generic attributes :
```

: Unsaturated

Number of Carbon Atoms : less than 7 Number of Hetero Atoms : 2 or more Type of Ring System : Monocyclic

C:\Program Files\Stnexp\Queries\10576830-narrow-2.str

Element Count :

Saturation

15:

Node 15: Limited

C, C3

S,S1 N,N1

Match level: 1:Atom 2

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom 11:Atom 12:Atom 14:CLASS 15:Atom 17:Atom 18:CLASS 19:Atom 20:CLASS
Generic attributes:

deneric actionies

15:

Saturation : Unsaturated Number of Carbon Atoms : less than 7 Number of Hetero Atoms : 2 or more Type of Ring System : Monocyclic

C:\Program Files\Stnexp\Queries\10576830-narrow-3.str

Element Count :

Node 15: Limited

C, C3

S,S1

N,N1

```
C:\Program Files\Stnexp\Queries\10576830-narrow-4.str
chain nodes :
   7 8 11 12 13
ring nodes :
   1 2 3 4 5 6
chain bonds :
   2-7 7-11 11-12 11-13
ring bonds :
```

```
chain bonds :
    2-7   7-11   11-12   11-13

ring bonds :
    1-2   1-6   2-3   3-4   4-5   5-6

exact/norm bonds :
    2-7

exact bonds :
    7-11   11-12   11-13

normalized bonds :
    1-2   1-6   2-3   3-4   4-5   5-6

Match level :
    1:Atom   2:Atom   3:Atom   4:Atom   5:Atom   6:Atom   7:CLASS   8:Atom   10:Atom   11:Atom   12:CLASS   13:CLASS

Generic attributes :
    8:
    Saturation   : Unsaturated
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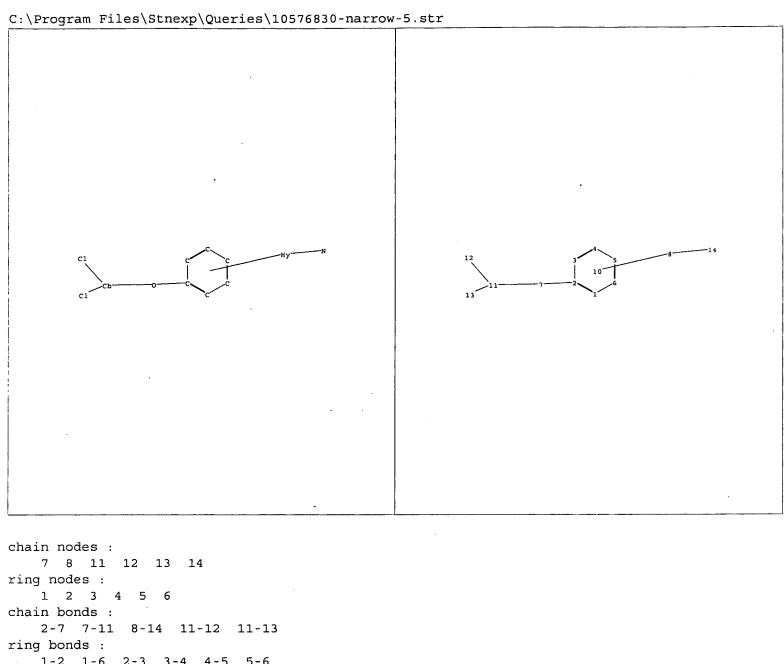
Number of Carbon Atoms : less than 7 Number of Hetero Atoms : 2 or more Type of Ring System : Monocyclic

Element Count :

Node 8: Limited

C, C3

S, S1 N, N1



```
1-2 1-6 2-3 3-4 4-5 5-6
exact/norm bonds :
   2-7 8-14
exact bonds :
   7-11 11-12 11-13
normalized bonds :
   1-2 1-6 2-3 3-4 4-5 5-6
Match level :
   1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:Atom 10:Atom 11:Atom
   12:CLASS 13:CLASS 14:CLASS
Generic attributes :
   8:
                         : Unsaturated
   Saturation
   Number of Carbon Atoms : less than 7
   Number of Hetero Atoms : 2 or more
```

Type of Ring System : Monocyclic

Element Count :

Node 8: Limited

C, C3

S,S1

N,N1

```
ANSWER 1 OF 1 CAPLUS COPYRIGHT 2007 ACS on STN
L19
        2005:426430 CAPLUS
AN
        142:482037
DN
       Preparation of substituted 4-aryloxy and 4-arylsulfanyl-phenyl-2-
TI
       aminothiazoles as inhibitors of cell proliferation
ΙN
       Gorczynski, Michael J.; Bushweller, John H.; Brown, Milton L.
       University of Virginia Patent Foundation, USA
PΑ
       PCT Int. Appl., 55 pp.
SO
       CODEN: PIXXD2
DT
        Patent
       English
LΑ
FAN.CNT 1
        PATENT NO.
                                       KIND
                                                   DATE
                                                                      APPLICATION NO.
                                                                      ______
        ______
                                        - - - -
                                                   _ _ _ _ _ _ _ _
                                                   20050519
                                                                      WO 2004-US35586
                                                                                                           20041027
                                        A1
ΡI
       WO 2005044263
              W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
                    CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
             CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TC
                    SN, TD, TG
                                                                      US 2006-576830
                                                                                                           20060424 <--
       US 2007082934
                                         A1
                                                   20070412
PRAI US 2003-514678P
                                         Ρ
                                                   20031027
       WO 2004-US35586
                                         W
                                                   20041027
OS
       CASREACT 142:482037; MARPAT 142:482037
GI
```

The invention discloses compds. which are substituted 4-aryloxy and 4-arylsulfanyl-phenyl-2-aminothiazoles (shown as I; X = O, S, and NH; and R1, R2, and R3 = H, halo, (C1-C4)alkyl, (C1-C4)alkoxy, aryl, -O-aryl and (CO)OR4; and R4 is H or (C1-C4)alkyl; e.g. [4-[4-(3,4-dichlorophenoxy)phenyl]thiazol-2-yl]ammonium iodide (II)) with anti-cancer activity. The invention further discloses methods of preparing compds. of the invention. For example, II was prepared (75 %) from thiourea, iodine and 4'-(3,4-dichlorophenoxy)acetophenone in EtOH. The invention also discloses methods of inhibiting cell proliferation and tumor growth in a subject by administering compds. of the invention to the subject. Pharmaceutical compns. containing the aminothiazoles and a kit for administering the aminothiazoles are also claimed.

RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

Ι

ANSWER 1:0F 3 CAPLUS COPYRIGHT 2007 ACS on STN 2004:151244 CAPLUS Full-text 140:368073

ΑU

CS

2004:15:1244 CAPLUS Pull-text
140:368073
Synthesis and evaluation of substituted 4-aryloxy- and
4-arylsulfanyl-phenyl-2-aminothiazoles as inhibitors of human breast
cancer cell proliferation
Gorczynski, Michael J., Leal, Rachel M.; Mooberry, Susan L.; Bushweller,
John H.; Brown, Milton L.
Department of Chemistry, University of Virginia, Charlottesville, VA,
22904, USB,
Bioorganic & Medicinal Chemistry (2004), 12(5), 1029-1036
CODEN. BMECEP, ISSN: 0968-0896
Elsevier Ltd.
Journal
English
CASREACT 140:368073
Several substituted 4-aryloxy- and 4-arylsulfanyl-phenyl-2-aminothiazoles were
synthesized and evaluated for cytotoxic activity against estrogen-pos.,
estrogen-neg., and adriamycin-resistant human breast cancer call lines. 4[41-(1,4-Dichlorophenoxy)-phenyl]-thiazol-2-yl ammonium iodide demonstrated
potent activity against both estrogen-pos. and neg. breast cancer cell lines
with low micromolar (µM) G150 values. In addition, we have identified several
2-aminothiazoles that demonstrated selective potency for the adriamycinresistant and estrogen-neg. breast cancer cell lines. The results suggest
that these 2-aminothiazoles represent lead compds. for evaluation in animal
models of breast cancer.

that these 2-aminothizables represent read compus. For evaluation in models of breast cancer. 64425-33-4P RI: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(Uses)
(synthesis and structure-activity relationship studies of substituted
4-aryloxy- and 4-arylsulfanyl-Ph-2-aminothiazoles as inhibitors of
human breast cancer cell proliferation)
684255-15-4 CAPUS
2-Thiazolamine, 4-[4-(3,4-dichlorophenoxy)phenyl]-, monohydriodide (9CI)
(CA INDEX NAME)

THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT RE.CMT 24

ANSHER 2 OF 3 CAPLUS COPYRIGHT 2007 ACS ON STN 1990:567366 CAPLUS <u>Full-text</u>

113:167366

113:16/366 Substituted 2-aminothiazoles as fungicides Ippen. Joachim; Baasner, Bernd; Marhold, Albrecht; Kysela, Ernst; Dutzmann, Stefan; Reinecke, Paul

10576830-102

3 of 8

OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE 129675-09-8 CAPLUS
2-Pyrimidinamine, N-[4-[2-(2,4-dichlorophenoxy)phenyl]-2-thiazolyl]-1,4,5,6-tetrahydro-, hydrobromide (9CI) (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 129695-19-8 CAPLUS
CN 2-Pyrimidinamine, N-[4-[4-(2,6-dichloro-4-nitrophenoxy)phenyl]-2thiazolyl]-1,4,5,6-tetrahydro-, hydrochloride (9CI) (CA INDEX NAME)

$$\bigcap_{N} \bigcap_{S} \bigcap_{C_1} \bigcap_{C_1} \bigcap_{NO_2} \bigcap_{C_1} \bigcap_{C_1} \bigcap_{NO_2} \bigcap_{C_1} \bigcap_{C_1} \bigcap_{NO_2} \bigcap_{C_1} \bigcap_{NO_2} \bigcap_{C_1} \bigcap_{C_1} \bigcap_{C_1} \bigcap_{NO_2} \bigcap_{C_1} \bigcap_{$$

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 129735-34-8 CAPLUS
CN 2-Pyrimidinamine, N-[4-[2-(2,4-dichlorophenoxy)phenyl]-2-thiazolyl]1,4,5,6-tetrahydro-, methamesulfonate (9CI) (CA INDEX NAME)

CM 1

CRN 129639-92-5

10576830-102

Bayer A.-G., Germany Ger. Offen., 78 pp. CODEN: GWXXBX Patent

LA German FAN.CNT 1 PATENT NO. APPLICATION NO. PATENT NO. KIND DATE

PI DE 3836161 A1 19900426

PRAI DE 1988-3836161 19881024

OS CASREACT 113:167366; MARPAT 113:167366

GI KIND DATE DE 1988-3836161

2 of 8

Substituted 2-aminothiazoles (I, R1 = H, alkyl; R2 = II, III, IV, R3, R4, R5, R6 = H, alkyl, alkoxy, alkoxycarbonyl, alkylthio, alkylsulfinyl, alkylsulfonyl, halo, No2, etc.; X = O, S, SO, SO2; Ar = substituted or unsubstituted alkyl or their addition salts and tautomeric compds.) are fungicides. Thus, spray application of I (R1 = H; R2 = C6H4OPh-4) at 0.025% by weight to wheat in greenhouse expts. gave complete protection against Leptosphaeria nodorum.
129439-8a-9 129475-08-7P 119475-09-8F
129455-19-8P 12973R-14-SP
RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of, as fungicide)
129539-81-9; CAPLUS
2-Pyrimidinamine, N-[4-[4-(2,4-dichlorophenoxy)phenyl]-2-thiazolyl]-1.4,5,6-tetrahydro- (CA INDEX NAME)

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 129675-08-7 CAPLUS
CN 2-Pyrimidinanine, N-{4-[4-(2,4-dichlorophenoxy)phenyl]-2-thiazolyl]1,4,5,6-tetrahydro-, hydrobromide (9CI) (CA INDEX NAME)

10576830-102

4 of 8

CMF C19 H16 C12 N4 O S

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

ANSWER 3 OF 3 CAPLUS COPYRIGHT 2007 ACS on STN
1990:852458 CAPLUS Full-text
113:152458 CAPLUS Full-text
113:152458 CAPLUS Full-text
Preparation of 2-(2-tetrahydropyrimidinyl)aminothiazoles as antimycotics
Ippen, Joachim, Baasner, Berndr Marhold, Albrecht, Kysela, Ernst,
Schaller, Klaus; Von Bittera, Miklos
Bayer A.-G., Germany
Ger. Offen, 81 pp.
CODEN: GWXXEX
Patent
German
CRT 1

PA SO

FAN.	CNT 1				
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 3839758	A1	19900426	DE 1988-3839758	19881125
	EP 365915	A2	19900502	EP 1989-118839	19891011
	EP 365915	A3	19900829		
	EP 365915	B1	19940420		
	R: AT, BE, CH,	DE, ES	, FR, GB,	GR, IT, LI, LU, NL, SE	
	US 4956370	A	19900911	US 1989-419981	19891011
	AT 104669	T	19940515	AT 1989-118839	19891011
	ES 2051954	тз	19940701	ES 1989-118839	19891011
	CA 2001167	A1	19900424	CA 1989-2001167	19891020
	CA 2001167	С	19991123		
	AU 8943633	A	19900426	AU 1989-43633	19891023
	AU 622227	B2	19920402		
	JP 02164879	A	19900625	JP 1989-273932	19891023
	JP 06037494	В	19940518		

10576830-102 5 of 8 PRAI DE 1988-3836167 A1 19881024
DE 1988-3839758 A 19881125
EP 1989-318839 A 19891011
OS CASREACT 113:152458; MARPAT 113:152458

The title compds. [1, R1 = H, alkyl; R2 = Ph optionally substituted by o-, m-, or p-XAr and by 1-4 halo, NO2, alkyl(thio), alkoxy(carbonyl), dialkylamino, etc.; Ar = (un)substituted Ph; X = 0, 3, SO, SO2) and their physiol. compatible acid addition salts, were prepared by cyclocondensation of N-(2-tetrahydropyrimidinyl)thioureas with wo-haloacetophenones. Thus, a mixture N-(1,4,5,6-tetrahydropyrimidinyl)thiourea and 2-(2,4-dimethylphenoxyl)phenocyl chloride was refluxed 2 h in Me2CO to give 91.4* title compound I [R1 = H, R2 - CSH4(OCBHMe2-2,4)-2]. Another I [R1 = H, R2 - CSH4(OCBHMe2-2,4)-2]. Another I [R1 = H, R2 - CSH4(OCBHMe2-2,4)-2]. vs. 16 mg/mL for a HCl salt of a known fungicide (1; R1 = H, R2 = C6H3Cl2-2,4).

129-4-6-3P 109-42 86 3P 109-62-29-3P

129-53-6-3P 129-63-42 ag-ap

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as antimycotic)

129-63-87-8 CAPLUS

2-Performing managements. (14.4/4.4/4.4/d.bl.) conhecosyl phenyll - 2-thistolyll.

127637-67-6 CAPLOS
2-Pyrimidinamine, N-[4-[4-(2,4-dichlorophenoxy)phenyl]-2-thiazolyl]1,4,5,6-tetrahydro-, monohydrobromide (9Cl) (CA INDEX NAME)

● нвг

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 129639-88-9 CAPLUS
CN 2-Pyrimidinamine, N-{4-[4-(2,4-dichlorophenoxy)phenyl]-2-thiazolyl}1,4,5,6-tetrahydro- (CA INDEX NAME)

10576830-102

7 of 8



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

CM 2

CRN 75-75-2 CMF C H4 O3 S

-> fil stng COST IN U.S. DOLLARS	SINCE FILE	TOTAL
COST IN U.S. DOLLARS	SINCE FILE ENTRY	SESSION
FULL ESTIMATED COST	16.28	406.18
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE	TOTAL
	ENTRY	SESSION
CA SUBSCRIBER PRICE	-2,34	-2,34

FILE 'STNGUIDE' ENTERED AT 08:35:20 ON 19 DEC 2007 USE IS SUBJECT TO THE TERMS OF YOUR CUSTOMER AGREEMENT COPYRIGHT (C) 2007 AMERICAN CHEMICAL SOCIETY (ACS)

FILE CONTAINS CURRENT INFORMATION. LAST RELOADED: Dec 18, 2007 (20071218/UP).

>> log hodl
'HODL' IS NOT VALID HERE
For an explanation, enter "HELP LOGOFF".

*> log hold COST IN U.S. DOLLARS

SINCE FILE TOTAL ENTRY FULL ESTIMATED COST 0.06 406.24 DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) SINCE FILE TOTAL ENTRY SESSION CA SUBSCRIBER PRICE 0.00

SESSION WILL BE HELD FOR 120 MINUTES

10576830-102

6 of 8

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 129639-89-0 CAPLUS
CN 2-Pytrididinamine, N-[4-[4-[2,6-dichloro-4-nitrophenoxy]phenyl]-2thiazolyl]-1,4,5,6-tetrahydro-, monohydrochloride (9CI) (CA INDEX NAME)

● HC1

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 129639-90-3 CAPLUS
CN 2-Pyrimidinamine, N-[4-{2-{2,4-dichlorophenoxy}phenyl}-2-thiazolyl]1,4,5,6-tetrahydro-, monohydrobromide (9CI) (CA INDEX NAME)

• нв

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 129639-93-6 CAPLUS
CN 2-Pyrimidinamine, N-[4-[2-(2,4-dichlorophenoxy)phenyl]-2-thiazolyl]1,4,5,6-tetrahydro-, monomethanesulfonate (9C1) (CA INDEX NAME)

CRN 129639-92-5 CMF C19 H16 C12 N4 O S

10576830-102

8 of 8

STN INTERNATIONAL SESSION SUSPENDED AT 08:35:59 ON 19 DEC 2007

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s 130 not 119
1 104 L30 NOT L19
-> d 131 tot bib abs hitstr
THE ESTIMATED COST FOR THIS REQUEST IS 548.08 U.S. DOLLARS
DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N:y
L31 ANSWER 1 OF 104 CAPLUS COPYRIGHT 2007 ACS on STN AN 2007:1176019 CAPLUS <u>Full-text</u>
         147:448778
         Preparation of thiazoles, imidazoles, and pyrazoles useful as inhibitors
        Preparation of chiazoles, imagezies, and pyracoles useful as immediated of protein kinases for disease treatment
Jimenez, Juan-Miguel; Knegtel, Ronald; Robinson, Daniel; Collier, Philip
Vertex Pharmaceuticals Incorporated
       Vertex Pharmaceutical:
PCT Int. Appl., 71pp.
CODEN: PIXXD2
Patent
English
.CNT 1
PATENT NO. K:
DT
LA
FAN
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PRAI US 2006-791083P MARPAT 147:448778

10576830-103

3 of 236

ANSWER 2 OF 104 CAPLUS COPYRIG 2007:1145598 CAPLUS <u>Full-text</u> 147:449083 CAPLUS COPYRIGHT 2007 ACS on STN

CAPTUS Full-text

147:449083

Preparation of pyrrolopyridines and thiazolopyridines, particularly
N-[4-hydroxy-H-pyrrolo[2,3-c]pyridin-5-y1)carbonyl]glycine and
N-[7-hydroxythiazold-(4,5-c]pyridin-6-y1)carbonyl]glycine derivatives, as
hypoxla inducible factor hydroxylase modulators

Deng, Shaojiang; Nu, Min; Turtle, Eric D.; Ho, Wen-Bin; Arend, Michael P.;
Cheng, Heng; Flippin, Lee A.
Fibrogen, Inc., USA
PCT Int. Appl., 210pp.
CODEN: PIXXD2
Patent
English
CWT 1

PA SO

PATENT NO.

DATE 20071011 PATENT NO. KIND DATE APLICATION NO. DATE

PI WO 2007115315 A2 20071011 WO 2007-US\$5987 20170404

M: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BN, BM, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, MM, KM, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, MG, MM, MM, MM, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RC, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TU, TM, TM, TT, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZM

RM: AT, BB, BG, CH, CY, CZ, DE, DK, EE, ES, F1, FR, GB, GR, HU, IE, 1S, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GM, ML, MR, NE, SN, SN, TD, TG, GH, GM, KE, LS, MM, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZM, AM, AZ, PRAI US 2005-189310P P 20060404

PAAI US 2005-189310P P 20060404 KIND APPLICATION NO. DATE

MARPAT 147:449083

The present invention relates to compds. of general formula I (wherein the A ring is thiazole, imidazole, or pyrazole; RI is a 3-7 membered monocyclic cycloalkyl optionally substituted; RA is H, C1-6aliph., C3-6cycloaliph., etc.; TI is a C1-6 aliphatic chain wherein 0-3 methylene units are optionally replaced with -0-, -8-, etc.; RS is a (un)substituted 5-10 membered aromatic ring containing 0-4 heteroatoms; p is 0-4) useful as inhibitors of protein kinase. The invention also relates to pharmaceutically acceptable compns. comprising said compds. and methods of using the compds. and the compns. in the treatment of various disease, conditions, or disorders. The invention also relates to processes for preparing compds. and the compound II was prepared by converting tert-Bu I-cyclopentyl-3-(4-phenoxyphenyl)-1H-pyrazole-4- carboxylate (preparation given) to the carboxamide. In a Lck inhibition assay, II had a Ki value of 100-500 nM. 953330-79-09, 2-Cyclopentyl-4-(4-phenoxyphenyl)-thiazole-5-carboxamide 952330-74-4?
KL: PAC (Pharmacological activity), SPN (Synthetic preparation); THU

carboxamide %5230-74-4P RI: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(drug candidate; preparation of thiazoles, imidazoles, and pyrazoles useful as inhibitors of protein kinases for disease treatment) 95:330-70-0 CAPLUS 5-Thiazolecarboxamide, 2-cyclopentyl-4-(4-phenoxyphenyl)- (CA INDEX NAME)

952330-74-4 CAPLUS 5-Thiazolecarboxamide, 2-cyclohexyl-4-(4-phenoxyphenyl)- (CA INDEX NAME)

952330-63-6P, Methyl 2-cyclopentyl-4-(4-phenoxyphenyl)thiazole-5-

Carboxylate
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(preparation of thiazoles, imidazoles, and pyrazoles useful as inhibitors

protein kinases for disease treatment)
952330-68-6 CAPLUS
5-Thiazolecarboxylic acid, 2-cyclopentyl-4-(4-phenoxyphenyl)-, methyl
ester (CA INDEX NAME)

10576830-103

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The invention is related to compds. I (q = 0-1; A, B = independently :CR7, NH and derivs., :N, S, provided that at least one of the following is present: A = :CR7 and B = NH and derivs.; A = S and B = SN, A = NN and B = S; A = NH and derivs. and B = :CR7; when the bond between A and CR6 is double, the bond between B and CR6 is single and vice-versa; RI = OH, (un)substituted alkoxyaryloxy, alkylthio, etc.; R2 = H, D, Me; R3 = H, D, (un)substituted alkyl; R4 = R, (un)substituted alkyl; R5, R6 = independently H, halo, CN, OH, (un)substituted heteroaryl, acyl, etc.; or when A or B = CH and derivs., then RSCCR7 = (un)substituted alkyl; R5, R6 = independently H, halo, CN, OH, (un)substituted exceptable salts, stereoisomers, esters and prodrugs that modulate the stability and/or activity of hypoxia inducible factor (HIF). Thus, arylation of 2-methyl-1H-pyrrole-3-carboxylic acid Et ester (preparation given) with ioodbenzene, bromination with NBS, treatment of 5-bromo-2-bromomethyl-1-phenyl-1H-pyrrole-3-carboxylic acid Et ester with (tert-butoxycarbonylaminolacetic acid Et ester in the presence of NAT in DMP, cyclization in the presence of potassium tert-butoxide in THF/cleavage of tert-butoxycarbonyl group/aromatization (no data for protected tetrallydropyrrolopyridine intermediate), and reaction of the ester with glycine in the presence of NAOMe in methanol gave pyrrolopyridine II. I were active in at least one of the cell-based HIFs stabilization assay, cell-based VEOF and erythropoietin (EPO) ELISA assay, and HIF-PH assay (no data). I are useful for treating, preventing or delaying onset of a condition mediated at least in part by HIF or by EPO.

IT v5235-23-29, A-Methyl-2-(4-phenoxyphenyl)thiazole-5-carboxylic acid ethyl ester 95295-23-3P, 4-Bromomethyl-2-(4-phenoxyphenyl)thiazole-5-carboxylic acid ethyl ester 95285-25-4P, A-([(2,4-bimethoxybenzyl) ((ethoxycarbonyl)methyl)aminolmethyl)-2-(4-phenoxyphenyl)thiazole-5-carboxylic acid ethyl ester 95285-25-4P, A-Bromomethyl-2-(4-phenoxyphenyl) (ethoxycarbonyl)methyllami

10576830-103 5 of 236

952395-24-3 CAPLUS 5-Thiazolecarboxylic acid, 4-(bromomethyl)-2-(4-phenoxyphenyl)-, ethyl ester (CA INDEX NAME)

952395-25-4 CAPLUS 5-Thiazolecarboxylic acid, 4-{[[(2,4-dimethoxyphenyl)methyl](2-ethoxy-2-oxoethyl)amino|methyl]-2-(4-phenoxyphenyl)-, ethyl ester (CA INDEX NAME)

ANSWER 3 OF 104 CAPLUS COPYRIGHT 2007 ACS on STN 2007;963933 CAPLUS <u>Pull-text</u>
147:322708
Preparation of biaryls compounds, such as hydroxy- and alkoxybiphenyls and

bipmenyl ethers as inhibitors of 17%-hydroxysteroid dehydrogenase Vicker, Nigel; Allan, Gillian Margaret; Lawrence, Harshani Rithma Ruchiranani; Day, Joanna Mary; Purohit, Atul; Reed, Michael John; Potter, Barry Victor Lloyd Sterix Limited, UK PCT Int. Appl., 187pp.

CODEN: PIXXO2 IN

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DТ Patent

English

KIND DATE APPLICATION NO. DATE PATENT NO

10576830-103

7 of 236

ANSWER 4 OF 104 CAPLUS COPYRIGHT 2007 ACS ON STN 2007:938960 CAPLUS Full-text 147:449366

Synthesis and characterization of novel polyimides derived from 2-amino-5-[4-(4'-aminophenoxy)phenyl]-thiazole with some of dianhydride

Than, Xin, Li, Yan-Feng, Zhang, Shu-Jiang, Shao, Yu, Wang, Xiao-Long State Key Laboratory of Applied Organic Chemistry, College of Chemistry and Chemical Engineering, Institute of Biochemical Engineering and Environmental Technology, Lanzhou University, Lanzhou, 730000, Peop. Rep.

Polymer (2007), 48(18), 5241-5249 CODEN: POLMAG; ISSN: 0032-3861 Elsevier Ltd. Journal

English

English
A new kind of aromatic unsym. diamine monomer containing thiazole ring, 2-amino-5-(4-(4'-aminophenoxy)phenyl]-thiazole (APPT), was synthesized. A series of novel polyimides were prepared by polycondensation of APPT with various aromatic diamhydrides via one-step process. The resulting polyimides held inherent viscosities of 0.40-0.71 dL/g and were easily dissolved in strong dipolar solvents. Meanwhile, strong and (lexhible polyimide films were obtained, which had thermal stability with the glass transition temps. (T g) of 268.2-328.8 °C in nitrogen, the temperature at 5% weight loss of 452-507 °C in nitrogen and 422-458 °C in air, and the residue at 800 °C of 34.18-61.33% in nitrogen, as well as exhibited outstanding mech. properties with the tensile strengths of 105.4-125.3 MPa, elongation at breakage of 6-13%. These films also held dielec. consts. of 3.01-3.18 (10 MHz) and showed predominantly amorphous revealed by wide-angle X-ray diffraction measurements.

amorphous revealed by Michael Array dititation measurements.

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (intermediate in monomer synthesis; synthesis and characterization of novel polyimides derived from asym. thiszole moiety-containing diamines and dianhydride comonomers)

952421-13-5 CAPLUS

2-Thiazolamine, 5-[4-(4-nitrophenoxy)phenyl]- (CA INDEX NAME)



952421-14-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(monomer; synthesis and characterization of novel polyimides derived

6 of 236

PI MO 2007096647 A2 20070830 NO 2007-GB655 20070226

PI MS AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BM, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KM, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, KM, MN, MM, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SI, SM, SV, SY, TJ, TM, TM, TM, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZM

RN: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, MU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TK, BF, BJ, CF, CG, CI, CM, GA, GN, GO, GM, ML, MR, NE, SN, TD, TG, BW, GM, KE, LS, MM, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, GB 2006-15464 A 20060203

OS MARPAT 147:322708

GI

Title compds. I [ring A = (un)substituted (heterolaryl; X = bond or linker group; at least one of R3-7 = substituted acyl; CN, -CH-N-O-alkyl, -CI-N-O-B, alkylheterocycle, alkyhheterocycle, alkyhheterocycle, alkyhheterocycle, alkyhheterocycle, alkyhheterocycle, alkyhheterocycle, alkyhheterocycle, alkyhheterocycle, alkyhoterocycle, alkyhoterocycl

HSD (type 1), e.g., II exhibited > 80% inhibition at the concentration of 10 μM.
947542-35-21, 4-[4-(2-Aminothiazol-4-yl)phenoxy]phenol
Rt. PAC (Pharmacological activity): SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of biaryls compds., such as hydroxy- and alkoxybiphenyls and biphenyl ethers as inhibitors of 17β-hydroxysteroid dehydrogenase)
947548-39-2 (APLUS
Phenol, 4-[4-(2-amino-4-thiazolyl)phenoxy]- (CA INDEX NAME)

10576830-103

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from asym. thiazole moiety-containing diamines and diamhydride comonomers) 952421-14-6 CAPLUS 2-Thiazolamine, 5-{4-(4-aminophenoxy)phenyl}- (CA INDEX NAME)

952421-15-0P 951421-16-8P 952421-17-9P
952421-18-0F
RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)
(synthesis and characterization of novel polyimides derived from asym.
thiazole moiety-containing diamines and dianhydride comonomers)
952421-15-7 CAPLUS
1,3-18obenzofurandione, 5,5'-[2,2,2-trifluoro-1(trifluoromethyl)ethylidene|bis-, polymer with 5-[4-(4aminophenoxy)phenyl]-2-thiazolamine (CA INDEX NAME)

CM 1

CRN 952421-14-6 CMF C15 H13 N3 O S

CRN 1107-00-2 CMF C19 H6 F6 O6

952421-16-8 CAPLUS
1,3-Isobenzofurandione, 5,5'-oxybis-, polymer with 5-[4-(4-aminophenoxy)phenyl]-2-thiazolamine (CA INDEX NAME)

CRN 952421-14-6 CMF C15 H13 N3 O S

CM 2

CRN 1823-59-2 CMF C16 H6 O7

952421-17-9 CAPLUS 1,3-Isobenzofurandione, 5,5'-carbonylbis-, polymer with 5-[4-(4-aminophenoxy)phenyl]-2-thiazolamine (CA INDEX NAME)

10576830-103

11 of 236 ·

CM 2

CRN 89-32-7 CMF C10 H2 O6

RE.CNT 35 THERE ARE 35 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 5 OF 104 CAPLUS COPYRIGHT 2007 ACS ON STN 2007:932937 CAPLUS Full-text

147:301160

1 N

147:301160
Preparation of thiophene and thiazole substituted trifluoroethanone derivatives as histone deacetylase (HDAC) inhibitors.
Ferrigno. Federica; Jones. Philip; Muraglia, Ester; Ontoria Ontoria, Jesus María; Scarpelli, Rita; Schultz-Fadeerech, Carsten
1stituto di Ricerche di Biologia Molecolare P. Angeletti SpA, Italy PCT Int. Appl., 70pp.
CODEN: PIXXO2
PATENT HO. KIND DATE APPLICATION NO. DATE DT LA FAN. PATENT NO. KIND DATE APPLICATION NO. DATE

WO 2007093827 A1 20070823 WO 2007-GB50061 20070214

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, Is, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MO, MK, MN, MM, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZM

RH: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, KU, IE, IS, IT, LT, LU, LV, WC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, CN, GQ, GW, ML, NR, NE, SN, TD, TG, EH, GH, GM, KE, LS, MM, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZM, AM, AZ, BY, GB, GB 2006-3041 A 20060215

20060215 PRAI GB 2006-3041

MARPAT 147:301160

ox & Cr3

10576830-103

952421-18-0 CAPLUS
1H,3H-Benzo(1,2-c:4,5-c')difuran-1,3,5,7-tetrone, polymer with
5-{4-(4-aminophenoxy)phenyl}-2-thiazolamine (CA INDEX NAME)

CM 1

CRN 952421-14-6 CMF C15 H13 N3 O S

10576830-103

12 of 236

Title compds. [I, a, b = 0-3; c = 0-2; A = CH, N; X = (substituted) aryl, heteroaryl, heterocyclyl; Y = bond, O, CO, S, SO, SO2, CONR2; R2 = H, alkyl; Z = H, halo, cyano, OH, alkyl, haloalkyl, alkoxy, NO2, amino, (substituted) cycloalkyl, aryl, heterocyclyl, O = Z(CH2)dx*(CH2)b(cH)cl, were prepared Thus, 5-trifluoroacetylthiophene-2-carboxylic acid in DMF was stirred with carbonyldimidazole followed after 30 min. by addition of 2-[4-fluorobensyl)sulfonyl]-N-hydroxyethanimidamide in DMF and stirring overnight. The resulting intermediate was microwaved with carbonyldimidazole in in DMF of give 2,2-trifluoro-1.[5-13-[[4-fluorobenzyl]sulfonyl]methyl]-1,2,4-oxadiazol-5-yl)-2-thienyl]ethanone. I inhibited HDAC with IC50 <10 µM. 945001-35-5P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); TMU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparátion of thiophene and thiazole substituted trifluoroethanone

vs.

as HDAC inhibitors)
946501-35-5 CAPLUS
Ethanone, 2,2,2-trifluoro-1-[2-(4-phenoxyphenyl)-5-thiazolyl]- (CA INDEX
NAME)

RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L31 ANSWER 6 OF 104 CAPLUS COPYRIGHT 2007 ACS ON STN AN 2007:793568 CAPLUS Full-text
DN 147:189168

147:189168

Preparation of thiazoles as inhibitors of 11\$\beta\$-hydroxysteroid dehydrogenase Gillespie, Paul; Goodnow, Robert Alan; Kowalczyk, Agnieszka; Le, Kang; Zhang, Oiang
USA
U.S. Pat. Appl. Publ., 66pp.
CODEN; USXXCO
Patent
English
CNT 1

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PI	US	2007	1676	22		A1		2007	0719		UŞ 2	007-	6506	45		2	0070	108
	WO	2007	0828	08		A2		2007	0726		WO 2	007-	E₽50	141		2	0070	108
	WO	2007	0828	08		A3		2007	0913									
		₩:	ΑE,	AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	EW,	BY,	ΒZ,	CA,	CH,
			CN,	co,	CR,	Cυ,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,
			GΕ,	GH,	GM,	GT,	HN,	HR,	ΗU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KM.	KN,
			KP,	KR,	KZ,	LA,	LC,	LK,	LR,	LS,	LT,	LU,	LV,	LY,	MA,	MD,	MG,	MK,
				164	WV	w	M7	ME	MO	MIT	NO	ATT	~	no.	nu	D.I	DT	DO

Title compds. I [R1 = 5- to 8-membered cycloalkyl, Ph, 9- or 10-membered bicyclic unsatd. or partially unsatd. ring, etc.; one of R2 and R3 is H or alkyl, the other is alkyl, -CH2-Ph, mono-, bi- or tricyclic 5- to 10-membered carbocyclic ring, R2 and R3, together with the N atom to which they are attached, may form a saturated or partially unsatd. 6- to 8-membered monocyclic or 7- to 10-membered bicyclic ring, and their pharmaceutically acceptable salts were prepared For example, HATU mediated amidation of 2-(2,3-dicnlorophanyl)thiazole-4-carboxylic acid with decahydroquinoline afforded compound II [R11 = C1, R21 = decahydroquinolin-1-yl]. In 11B-HSD1 inhibition assays, compound II [R11 = R; R21 = azocan-1-yl] exhibited the ICSO value of 0.95 µM. Compds. I are claimed uneful for the treatment of type II diabetes mellitus and metabolic syndrome.

9:1775-82-57

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of thiazoles as inhibitors of 11B-hydroxysteroid dehydrogenase for treatment of type II diabetes mellitus and metabolic

Genyalog.......
syndrome
944273-88-5 CAPLUS
Methanone, (octahydro-1(2H)-quinolinyl)[2-(2-phenoxyphenyl)-4-thiazolyl]-

10576830-103

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(drug candidate; preparation of diamine derivs, as inhibitors of

btriene
A4 nydrolase for treating inflammatory disorders)
943765-37-5 CAPULS
Benzoic acid, 4-{{(15,48}-5-{[4-{4-(2-thiazolyl)pnenoxy|phenyl]methyl}-2,5-diazabicyclo[2,2.1]nept-2-yl]methyl}- (CA INDEX NAME)

Absolute stereochemistry.

10576830-103 14 of 236



L31 ANSWER 7 OF 104 CAPLUS COPYRIGHT 2007 ACS ON STN AN 2007:731135 CAPLUS Full-text DN 147:166353

DN 147:166353

TI Diamine derivatives as inhibitors of leukotriene A4 hydrolase and their preparation, pharmaceutical compositions and use in the treatment of inflammatory disorders

IN Arnais, Damian, Brown, Greg, Claret, Emmanuel; Cleve, Arwed; Davey, David, Guilford, William; Khim, Seock-Kyu, Kirkland, Thomas; Kochanny, Monica J.; Liang, Amy, Light, David, Parkinson, John; Vogel, David; Wei, Guo Ping; Ye, Bin

PA Schering Aktiengesellschaft, Germany
CODEN: USXXCO

DT Patent
LA English

FAN.CNT 1

PATENT NO. KIND DATE APPLICATION NO. DATE

	PATENT	NO.		KIN	D	DATE			APPL	ICAT	ION	NO.		D.	ATE	
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PI	US 2007	155726		A1		2007	0705	1	US 2	006-	6442	44		2	0061	222
	WO 2007	079078		A1		2007	0712	1	WO 2	006-	US4 9	273		2	0061	222
	₩;	AE, AG,	AL,	AM,	AT,	ΑU,	AZ,	BA,	BB,	BG,	BR,	B₩,	BY,	BZ,	CA,	CH,
		CN, CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,
		GE, GH,	GM,	GT,	HN,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KM,	KN,
		KP, KR,	ΚZ,	LA,	LC,	LK,	LR,	LS,	LT,	LU,	LV,	LY,	ΜA,	MD,	MG,	MK,
		MN, MN,	MX,	MY,	MZ,	NA,	NG,	NI,	NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,
		RS, RU,	SC,	SD,	SE,	SG,	SK,	SL,	SM,	sv,	SY,	TJ,	TM,	TN,	TR,	TT,
		TZ, UA,	UG,	US,	UZ,	VC,	VN,	ZΑ,	ZM,	ZW						
	RW:	AT, BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	ΗU,	ΙE,
		IS, IT,	LT,	LU,	LV,	MC,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,	BF,	ВJ,
		CF, CG,	CI,	CM,	GA,	GN,	GQ,	G₩,	ML,	MR,	NE,	SN,	TD,	TG.	B₩,	GH,
		GM, KE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	AZ,	ΒY,
		KG, KZ,	MD,	RU,	TJ,	TM										

PRAI US 2005-755421P US 2006-835819P OS MARPAT 147:166353 20051229

10576830-103

16 of 236

943765-38-6 CAPLUS
Benzoic acid, 4-[[(15,48)-5-[[4-{4-(2-thiazolyl)phenoxy]phenyl]methyl}-2,5-diazabicyclo[2.2.1]hept-2-yl]methyl}-, methyl ester (CA INDEX NAME)

Absolute stereochemistry

ANSMER 8 OF 104 CAPLUS COPYRIGHT 2007 ACS ON STN 2007;632256 CAPLUS Full-text

147;226220

QSAR study of selective ligands for the thyroid hormone receptor β Liu, Huanxiang, Oramatica, Paola

QSAR Research Unit in Environmental Chemistry and Ecotoxicology,
Department of Structural and Functional Biology, University of Insubria,
Varese, 21100, Italy

Bioorganic & Medicinal Chemistry (2007), 15(15), 5251-5261

CODEN: BMECEF; ISSN: 0968-0896

Elsevier Ltd.
Journal
English
In this paper, an accurate and reliable and

Biglish In this paper, an accurate and reliable QSAR model of 87 selective ligands for the thyroid hormone receptor β 1 (TR[1]) was developed, based on theor. mol. descriptors to predict the binding affinity of compds. with receptor. The structural characteristics of compds, were described wholly by a large amount of mol. structural descriptors calculated by DRAGON. Six most relevant structural descriptors to the studied activity were selected as the inputs of QSAR model by a robust optimization algorithm Genetic Algorithm. The built model was fully assessed by various validation methods, including internal and external validation, Y-randomization test. Chemical applicability domain, and all the validations indicate that the QSAR model we proposed is robust and satisfactory. Thus, the built QSAR model can be used to fast and accurately predict the binding affinity of compds. (in the defined applicability domain) to TR[1]. At the same time, the model proposed could also identify and provide some insight into what structural features are related to the biol. activity of these compds. and provide some instruction for further designing the new selective ligands for TR[1] with high activity. selective ligands for TRB1 with high activity.

735129-54-)
Ri. PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(QSAR of selective ligands for thyroid hormone receptor β)
725239-54-3 CAPLUS
Benzeneaceutic acid, 3,5-dichloro-4-[4-hydroxy-3-(2-thiazoly1)phenoxy](CA INDEX NAME)

17 of 236

THERE ARE 44 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 9 OF 104 CAPLUS COPYRIGHT 2007 ACS ON STN 2007:619459 CAPLUS <u>Full-text</u> 147:52913

IN

147:52913
Fused pyrimidines as growth factor receptor tyrosine kinase inhibitors, their preparation, pharmaceutical compositions, and use in therapy Ishikawa, Tomoyasu; Miwa, Kazuhiro; Seto, Masaki; Banno, Hiroshi; Kawakita, Youichi Takeda Pharmaceutical Company Limited, Japan PCT Int. Appl., 643pp.
CODEN: PIXXD2
Patent English
CNT 1
PATENT NO. KIND DATE APPLICATION NO. DATE PATENT NO. KIND DATE APPLICATION NO. DATE

WO 2007056045 A1 20070507 WO 2006-JP324499 20061201

M: AR. AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BM, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MM, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TY, TM, TN, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZM

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, PI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CQ, CI, CM, GA, GN, GQ, GW, NL, MR, NE, SN, TD, TG, BM, GH, GM, KE, LS, MM, MZ, NN, SD, SL, SZ, TZ, UG, ZM, ZM, AM, AZ, EY, KG, KZ, MD, RU, TU, TM

JP 2005-149958 A 20051202

PRAI JP 2005-349858 JP 2006-60648 20051202

MARPAT 147:52913

STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT •

The invention relates to pyrrolo[3, 2-d]pyrimidines represented by formula I and related derivs., which are inhibitors of growth factor receptor tyrosine kinase. In compds. I, R1 is H, R2 is carbonylamino-substituted C1-6 alkyl, R4 and R5 are independently halo or C1-6 alkyl, and X is H or halo: including salts and prodrugs thereof, with several compds. excluded. The invention also relates to the preparation of I, pharmaceutical compns. comprising a compound I, a related compound or a salt or prodrug thereof, as well as to the use of the compns. for the prophylaxis or treatment of cancer. Coupling of the dihydrochloride of amine II with 2-methyl-2- (methylsulfonyl)propanoic acid gave pyrrolopyrimidine III. The compds. of the invention are inhibitors of growth factor receptor tyrosine kinases, e.g.,

10576830-103

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940303-88-8 CAPLUS
Ethanol, 2-{2-{4-{13-chloro-4-{3-{4-(trifluoromethyl)-2-thiazolyl]phenoxy|phenyl}amino}-5H-pyrrolo{3,2-d}pyrimidin-5-yl}ethoxy}-(CA INDEX NAME)

940303-96-8 CAPLUS
Acetamide, N-(2-[4-([3-chloro-4-[3-[4-(trifluoromethyl)-2-triazolyl])phenoxylphenox

10576830-103

Compound III expressed 9% inhibition of HER2 kinase at 1 µM and IC50 value below 100 nM in an assay for inhibition of breast cancer cell proliferation. 940303-54-69, 2-(2-(4-[13-chloro-4-(3-(1,3-chlaro]-5-Y)]ethoxy) ethanol 940303-77-59, 2-(2-(4-[13-chloro-4-(3-2-d]pyrimidin-5-Y]]ethoxy) ethanol 940303-77-59, 2-(2-(4-[4-(3-(4-cter-Buty)-1,3-thiazol-2-Y)]phenoxy)-3-chloropheny)]aminol-5H-pyrrolo[3,2-d]pyrimidin-5-Y]lethoxy]ethanol 340303-68-79, 2-(2-(4-[13-chloro-4-(3-(4-(trifluoromethy))-1,3-thiazol-2-Y]phenoxy]pheny]aminol-5H-pyrrolo[3,2-d]pyrimidin-5-Y]lethoxy]ethanol 340303-68-89, N-[2-(4-[(3-chloro-4-(3-(4-(trifluoromethy))-1,3-thiazol-2-Y]phenoxy]pheny]aminol-5H-pyrrolo[3,2-d]pyrimidin-5-Y]lethy]-2-(methylsulfony)lacetamide 340305-09-87, N-[2-(4-[(3-chloro-4-(3-(4-(13-chloro-4 18 of 236

(Uses)
(drug candidate; preparation of fused pyrimidines as growth factor receptor tyrosine kinase inhibitors)
940303-54-8 CAPLUS
Ethanol, 2-12-{4-{[3-chloro-4-{3-(5-thiazolyl)phenoxy]phenyl]amino}-5H-pyrrolo[3,2-d]pyrimidin-5-yl)ethoxy]- (CA INDEX NAME)

940303-77-5 CAPLUS Ethanol, 2-[2-[4-[[3-chloro-4-[3-[4-(1,1-dimethylethyl)-2-thiazolyl]phenoxy]phenyl]amino]-5H-pyrrolo[3,2-d]pyrimidin-5-yl]ethoxy]-(CA IMDEX NAME)

10576830-103

20 of 236

940305-09-9 CAPLUS
Propanamide, N-[2-[4-[[3-chloro-4-[3-[4-(trifluoromethy1)-2-thiazoly1]phenoxy]phenyl]amino]-5H-pyrrolo[3,2-d]pyrimidin-5-y1]ethyl]-2-methyl-2-(methylsulfonyl)- (CA INDEX NAME)

940305-11-3 CAPLUS
Butanamide, N-[2-[4-[[3-chloro-4-[3-[4-(trifluoromethyl)-2-thiazolyl]phenoxy]phenyl]amino]-5H-pyrrolo[3,2-d]pyrimidin-5-yl]ethyl]-3-hydroxy-3-methyl- (CA INDEX NAME)

\$40303-54-0P, 5-[3-(2-Chloro-4-nitrophenoxy)phenyl]-1,3-thiazole
\$40303-64-4P, 4-tert-Butyl-2-[3-(2-chloro-4-nitrophenoxy)phenyl]1,3-thiazole \$40303-96-6P, 4-[3-(4-tert-Butyl-1,3-thiazole 2-y)phenoxyl-3-chloronaline \$40302-53-6F, 2-[3-(2-chloro-4-nitrophenoxy)phenyl]-4-(trifluoromethyl)-1,3-thiazol-2-y)phenoxyl-3-[3-(4-(rifluoromethyl)-1,3-thiazol-2-y)phenoxyl-3-line
\$40303-97-9P, tert-Butyl N-[2-(4-([3-chloro-4-[3-(4-(rifluoromethyl)-1,3-thiazol-2-y)phenoxyl-3-hiazol-2-y)phenoxyl-3-hiazol-2-y-3-phenoxyl-3-hiazol-2-y-3-phenoxyl-3-(3-(4-(3-(3-(4-(rifluoromethyl)-1,3-thiazol-2-y)phenoxyl-3-hiazol-2-y-3-phenoxyl-3-hiazol-3-hiazol-2-y-3-phenoxyl-3-hiazol-2-y-3-phenoxyl-3-hiazol-2-y-3-phenoxyl-3-

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(Reactant or reagent)
(intermediate; preparation of fused pyrimidines as growth factor receptor tyrosine kinase inhibitors)
940303-56-0 CAPLUS
Thiazole, 5-[3-(2-chloro-4-nitrophenoxylphenyl]- (CA INDEX NAME)

940303-84-4 CAPLUS Thiazole, 2-[3-(2-chloro-4-nitrophenoxy)phenyl]-4-(1,1-dimethylethyl)-(CA INDEX NAME)

940303-86-6 CAPLUS
Benzenamine, 3-chloro-4-[3-[4-(1,1-dimethylethyl)-2-thiazolyl]phenoxy]-

940303-93-5 CAPLUS Thiazole, 2-[3-(2-chloro-4-nitrophenoxy)phenyl]-4-(trifluoromethyl)- (CA NDEX NAME)

940303-94-6 CAPLUS

Benzenamine, 3-chloro-4-[3-[4-(trifluoromethyl)-2-thiazolyl)phenoxy]- (CA

10576830-103

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THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 10 OF 104 CAPLUS COPYRIGHT 2007 ACS on STN 2007;590026 CAPLUS Full-text 147:225206 20 OSAR Studies on thyroid hormone receptor ligands Valadares, Napoleao F.; Castilho, Marcelo S.; Polikarpov, Igor; Garratt, Richard C. ΑU

Richard C.
Departamento de Fisica e Informatica, Instituto de Fisica de Sao Carlos,
Universidade de Sao Paulo, Sao Carlos-SP, 13560-970, Brazil
Bioorganic a Medicinal Chemistry (2007), 15(13), 4609-4617
CODEN: BMECEP, ISSN: 0968-0896 cs

English

English
2D QSAR studies were carried out for a series of 55 ligands for the Thyroid receptors, TRU and TRM. Significant cross-validated correlation coeffs. (q 2 = 0.751 (TRU) and 0.693 (TRM)) were obtained. The models predictive abilities were proved more valuable than the classical 2D-QSAR, and were further investigated by an external test set of 13 compds. The predicted values are in good agreement with expli. Values, suggesting that the models could be useful in the design of novel, more potent TR ligands. Contribution map anal. identified a number of positions that are promising for the development of receptor isoform specific ligands.

Geveropment of Transport of Transport

(OSAR studies on thyroid hormone receptor ligands)
725239-54-3 CAPLUS
Benzeneacetic acid, 3,5-dichloro-4-(4-hydroxy-3-(2-thiazolyl)phenoxy)(CA INDEX NAME)

RE.CNT 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 11 OF 104 CAPLUS COPYRIGHT 2007 ACS on STN 2007:431722 CAPLUS FUll-text 145:441828 Preparation of oxazolone oxazinone, or thiazolone compounds as PDGF receptor antagonists and pharmaceutical compositions containing them Kumasawa, Hiroaki, Sadakane, Chiharu, Igarashi, Yasushi, Hattori, Tomoniisa, Tsucniya, Kazuaki; Yamaguchi, Sachie Jun. Kokai Tokkyo Koho, 5spp. CODEN: JKXXAF Patent

Patent Japanese

LA Japa FAN.CNT 1 PATENT NO.

KIND DATE APPLICATION NO. DATE

10576830-103 22 of 236

940303-97-9 CAPLUS
Carbamic acid, N-[2-[4-[[3-chloro-4-[3-[4-(trifluoromethyl)-2-thiazolyl])phenoxylphenyl|amino|-5H-pyrrolo[3,2-d]pyrimidin-5-yl]ethyl]-,
1,1-dimethylethyl ester (CA INDEX NAME)

940303-98-0 CAPLUS 5H-Pyrrolo[3,2-d]pyrimidine-5-ethanamine, 4-{[3-chloro-4-[3-{4-(trifluoromethy!)-2-thiazoly!]phenoxy]phenyl]amino]-, hydrochloride (1:2) (CA INDEX NAME)

2 HC1

10576830-103 24 of 236 PI JP 2007099630 PRAI JP 2005-288169 OS MARPAT 146:441828 GI JP 2005-288169

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

Claimed are oxazolone compds. I [R1a = OR3a, OCOR4a, COR5a, OSO2R6a, NHSO2R7a, Ph. pyrazinyl, naphthyl, etc. (R3a-R7a = aryl, alkyl optionally substituted with alkoxy; these (heterolaryl groups may be substituted with 1-3 alkenyl optionally having carbonyl group-containing substituted with 1-3 alkenyl optionally having carbonyl group-containing substituted, alkyl, aryl, heterocyclyl, NO2, halo); R2a = alkenyl optionally having carbonyl group-containing substituted, alkyl, aryl, heterocyclyl, NO2, halo); R2a = alkenyl optionally having carbonyl group-containing substituent, thiazolidinylidene (Markush given), OCONRIGAR17a, NHSO2R21a, COR22a, (R16a, R17a, R21a, R22a = alkyl), etc.] or their pharmaceutically-acceptable salts, oxazinone compds. II (R1b = any group given for R1a) group given for R1a; R2c = any group given for R1a; R2c = any group given for R2a) or their pharmaceutically-acceptable salts, and thiazolone compds. III (R1c = any group given for R1a; R2c = any group given for R2a) or their pharmaceutically-acceptable salts. Also claimed are pharmaceutical compns. containing I, II, III, or their salts as PDGF inhibitors, and therapeutic agents for restenosis. Thus, a mixture of tert-Bu 3-(3-formylphenyl)acrylate, N-(3-nitrobenzyl)glycine, NaOAc, and Ac20 was stirred at 80° for 4 ht og jive 854°5-xox-1,3-oxazol-6 compound, which was treated with CP3CO2H in CH2C12 at room temperature for 3 ht ogive 524 (E)-3-[12-(3-itrophenyl)]rosponoic acid (IV) inhibited binding of PDGP-BB to PDGP receptor in a dose-dependent manner. IV also inhibited PDGP-BB to PDGP receptor in a dose-dependent manner. IV also inhibited PDGP-BB to PDGP receptor in a dose-dependent manner. IV also inhibited PDGP-BB to PDGP-BB to PDGP receptor in a dose-dependent manner. IV also inhibited PDGP-BB to PDGP-BB to PDGP receptor in a dose-dependent manner. IV also inhibited PDGP-BB to PDGP-BB to PDGP receptor in a dose-dependent manner. IV also inhibited PDGP-BB to PDG

ontagonists as drugs for nephritis and restenosis and as smooth muscle cell proliferation inhibitors)
934623-60-6 CAPLUS
2-Propenoic acid, 3-14-[(4-oxo-2-(3-phenoxyphenyl)-5(4H)-thiazolylidene|methyl|phenyl]-, (2E)- (CA INDEX NAME)

nd geometry as described by E or Z.

L31 ANSWER 12 OF 104 CAPLUS COPYRIGHT 2007 ACS on STN AN 2007:332888 CAPLUS Full-text

10576830-103

MARPAT 146:358712

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● HCl

404916-93-85 MANUTA-WA-BA RE: PAC (Pharmacological activity), SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (USES)

(Uses)
(preparation of heterocyclic compds, containing biaryl moiety as LTA4H inhibitors for treatment of inflammation and asthma)
929916-93-8 CAPLUS
1-Pyrrolidinebutanoic acid, 2-{[4-{4-(2-thiazolyl)phenoxy]phenoxy]methyl]-, hydrochloride (1:1), (2R)- (CA INDEX NAME)

Absolute stereochemistry.

929918-55-8P 51.516.55.9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
 (preparation of heterocyclic compds. containing biaryl molety as LTA4H
 inhibitors for treatment of inflammation and asthma)
92.9918-55-8 CAPUUS
1-Pyrrolidinecarboxylic acid, 2-[[4-{4-(2-thiazolyl)phenoxy)phenoxy]methyl
]-, 1,1-dimethylethyl ester, (2R)- (CA INDEX NAME)

Absolute stereochemistry.

Title compds. I [Ar = aryl (optionally substituted with halo, alkyl, acyl, etc.), heteroaryl with (optionally substituted halo, alkyl, acyl, etc.); X = direct bond, O, SO, etc., HetAr = aryl or heteroaryl ring attached via a ring carbon to O, further characterized in that Q and X cannot be on adjacent positions in said aryl or heteroaryl ring, O = -0-. -NR1-, S(O)p; R1 = H, alkyl, p = 0-2; n = 1-5, HET * saturated nitrogenous heterocycle (optionally substituted with halo, hydroxyl, amino, etc.); taken together ZW is H; Or Z = (CR2)1-10, in which one or two (CH2) may optionally be replaced by -0-. -NR1-, S-0-, etc.; W = acyl, hydroxyl, carboxyl, etc., with the provisos that (a) when O is -0-. HET is (9)-pyrrolidine, rac-pyrrolidine or piperidine, Ar is Ph or halo-substituted Ph, and HetAr is p-phenylene, then the Z-W combination is other than H. (b) when O is -0-. HET is azetidine, Ar is Ph or substituted Ph and HetAr is m-phenylene, then the Z-W combination is other than H. (c) when O is -0-. HET is azetidine, Ar is Ph, is I and HetAr is a 2,5-substituted pyridine, then the 2-W combination is other than H. (e) when O is -0-, HET is azetidine, Ar is Ph, is I and HetAr is a 2,5-substituted pyridine, then the 2-W combination is other than H. (b) when O is -0-, HET is azetidine, Ar is Ph, is I and HetAr is a 2,5-substituted pyridine, then the 2-W combination is other than H. (b) disconsidering the combination of (5)-2-(4-(4-chlorophenoxy)-phenoxymethyl)-piperidine hydochloride, e.g., prepared from (8)-piperidine-1,2-dicarboxylic acid 1-tert-Bu ester in 5 steps, with 3-(chloromethyl)-1,2,4-oxadiazole followed by treatment with HCl afforded compound II-HCl. In leukotriene Ad hydrolase (LAT4H) inhibition assays, compound II-HCl exhibited the ICSO value of 5 μM. Compds. I are claimed useful for the treatment of inflammation,

hydrolase (LAT4H) inhibition assays, compound II-Hcl exhibited the IC5O va of <5 µM. Compds. I are claimed useful for the treatment of inflammation, asthma, etc. \$29315-92-72 R. PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses) (preparation of heterocyclic compds. containing biary) moiety as LTA4H inhibitors for treatment of inflammation and asthma) \$29316-92-7 CAPLUS Thiszole, 2-[4-[4-[(2R)-2-pyrrolidinylmethoxy]phenoxy]phenyl]-, hydrochloride (1:1) (CA INDEX NAME)

Absolute stereochemistry.

28 of 236 10576830-103

083U-1U3 28 of 236
2006:845146 CAPLUS Full-text
145:271760
Preparation of thiazole amides, imidazole amides and related analogues as histamine H3 receptor modulators
Pringle, Wallace C.; Peterson, John M.; Xie, Linghong; Ge, Ping; Gao, Yang; Ochterski, Joseph W.; Lan, Jiong
Neurogen Corpporation, USA
PCT Int. Appl., 329pp.
CODEN: PIXXD2
Patent
English
CRT 1

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PA SO

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FAN.	CNT	1																
	PA'	TENT I	NO.			KIN	D	DATE			APPL	ICAT	ION	NO.		D.	ATE	
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PI	MO	2006	0890	76		A2		2006	0824		WO 2	006-	US55	62		2	0060	216
	WO	2006	0890	76		A3		2006	1221									
	WO	2006	0890	76		A 9		2007	0426									
		₩;	AE,	AG,	AL,	AM,	AT,	ΑU,	AZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,
			CN,	co,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,
			GE,	GH,	GM,	HR,	Hυ,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	KM,	KN,	ΚP,	KR,
		KZ, LC, LK		LK,	LR,	LS,	LT,	LU,	LV,	LY,	MA,	MD,	MG.	MK,	MN,	MW,	MX,	
			MZ, NA, NG,			NI,	NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,
		SG, SK, SL.			SL.	SM,	SY,	TJ,	TM,	TN,	TR,	TT,	TZ,	UA.	UG,	US,	UZ,	VC.
		VN, YU, ZA, RW: AT, BE, BG,		ZA,	ZM,	ZW												
				BG,	CH.	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	HU,	IE,	
			IS,	IT,	LT,	LU,	LV,	MC,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,	BF,	ΒJ,
			CF,	CG,	CI,	CM,	GA,	GN,	GQ,	G₩,	ML.	MR,	NE,	SN,	TD,	TG,	B₩,	GH,
			GM,	KE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	Z₩,	AM,	AZ,	BY,
			KG,	ΚZ,	MD,	RU,	TJ,	TM,	AP,	EA,	EP,	AO						
	EΡ	1848	428			A2		2007	1031	1	EP 2	006-	7352	88		2	0060	216
		R:	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EΕ,	ES,	FI,	FR,	GB,	GR,	HU,	ΙĒ,
			IS,	IT,	LI.	LT,	LU,	LV,	MC,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,	AL,
			BA,	HR,	MK,	YU												
PRAI	US	2005	-654	558P		₽		2005	0218									
	US	2005	-720	500P		P		2005	0926									
	WO	2006	-US5	562		₩		2006	0216									
OS	MAI	RPAT :	145:	2717	60													

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The title compds. I [R1 = (un)substituted alkyl, alkenyl or cycloalkylalkyl; or R1 taken together with R2 or R4 can form (un)substituted 4-8 membered heterocycloalkyl; R2 = alkyl, alkenyl, cycloalkylalkyl; or R2 taken together with R1, R3 or R4 can form (un)substituted 4-8 membered heterocycloalkyl; R2 = alkyl, alkenyl, cycloalkylalkyl; or R2 taken together with R1, R3 or R4 can form (un)substituted 4-8 membered heterocycloalkyl; R3 = N. alkyl, alkenyl, cycloalkylalkyl; or R3 taken together with R2 or R4 can form (un)substituted 4-8 membered heterocycloalkyl; R3 = "1-3; X = CN2 or C(0); Y = thiazole, imidazole, etc.; R7 = (un)substituted Ph, naphthyl, biphenyl, 5-13 membered heterocyloalkyl; n = 1-3; X = CN2 or C(0); Y = thiazole, imidazole, etc.; R7 = (un)substituted Ph, naphthyl, biphenyl, 5-13 membered heterocyl) with provinosi which may be used to modulate ligand binding to histamine H3 receptors in vivo or in vitro, and are particularly useful in the treatment of a variety of central nervous system (CN8) and other disorders in humans, domesticated companion animals and livestock animals, were prepared Thus, reacting 2-bromo-4-methylthiazole-5-carboxylic acid with N-isopropylpiperazine afforded II. Over 1000 compds. I were prepared Most of them exhibit a Ki in the chimeric human H3 receptor GTP binding assay that is less than 1 µM. Compds. I may be administered alone or in combination with one or more other CNS agents to potentiate the effects of the other CNS agents to potentiate the effects of the other CNS agents to potentiate the effects of the other CNS agents to potentiate the effects of the other CNS agents to potentiate the effects of the other CNS agents to potentiate the effects of the other CNS agents to potentiate the effects of the other CNS agents to potentiate the effects of the other CNS agents to potentiate the effects of the other CNS agents to potentiate the effects of the other CNS agents to potentiate the effects of the other CNS agents to potentiate the effects of the other CNS agents

(Uges)
(preparation of thiazole amides, imidazole amides and related analogs as histamine H3 receptor modulators)
906466-72-6 CAPLUS
5-Thiazolecarboxamide, 4-methyl-2-(4-phenoxyphenyl)-N-[2-(1-pyrrolidinyl)ethyl]- (CA INDEX NAME)

906466-73-7 CAPLUS 5-Thiazolecarboxamide, 4-methyl-2-(4-phenoxyphenyl)-N-{2-(1-pheridinyl)ethyl}- (CA INDEX NAME)

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906467-21-8 CAPLUS

5-Thiazolecarboxamide, 4-methyl-N-(1-methyl-4-piperidinyl)-2-(4-phenoxyphenyl)- (CA INDEX NAME)

906467-34-3 CAPLUS
Piperazine, 1-(1-methylethyl)-4-[(4-methyl-2-(4-phenoxyphenyl)-5-thiazolyl[carbonyl]- (9CI) (CA INDEX NAME)

906467-35-4 CAPLUS
Piperazine, 1-cyclopentyl-4-{[4-methyl-2-(4-phenoxyphenyl)-5-thiazolyl|carbonyl|- (9CI) (CA INDEX NAME)

10576830-103 30 of 236

906466-90-8 CAPLUS 5-Thiazolecarboxamide, 4-methyl-N-{2-(1-methyl-2-pyrrolidinyl)ethyl]-2-(4-phenoxyphenyl)- (CA INDEX NAME)

906466-91-9 CAPLUS
5-Thiazolecarboxanide, 4-methyl-N-[3-(2-methyl-1-piperidinyl)propyl]-2-(4-phenoxyphenyl)- (CA INDEX NAME)

906467-09-2 CAPLUS

5-Thiazolecarboxamide, 4-methyl-2-(4-phenoxyphenyl)-N-[4-(1-pyrrolidinyl)butyl]- (CA INDEX NAME)

10576830-103

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906467-36-5 CAPLUS 1H-1,4-Diazepine, 1-butylhexahydro-4-[[4-methyl-2-(4-phenoxyphenyl)-5-thiazolyl](azhonyl)- (9CI) (CA INDEX NAME)

906467-64-9 CAPLUS

Piperidine, 4- (hexahydro-1H-azepin-1-yl) -1- [[4-methyl-2-(4-phenoxyphenyl)-5-thiazolyl]carbonyl]- (9CI) (CA INDEX NAME)

906467-65-0 CAPLUS
Piperidine, 1-[(4-methyl-2-(4-phenoxyphenyl)-5-thiazolyl]carbonyl]-4-(1-pyrrolldinyl)- (901) (CA INDEX NAME)

906467-66-1 CAPLUS 1,4'-Bipiperidine, 1'-[[4-methyl-2-(4-phenoxyphenyl)-5-thiazolyl]carbonyl}-(9CI) (CA INDEX NAME)

906467-85-4 CAPLUS 5-Thiazolecarboxamide, N-[2-(diethylamino)ethyl]-N,4-dimethyl-2-{4-phenoxyphenyl)- (CA INDEX NAME)

906474-83-7 CAPLUS 5-Thiazolecarboxamide, N-ethyl-N-[2-(ethylmethylamino)ethyl]-4-methyl-2-(4-phenoxyphenyl)- (CA INDEX NAME)

10576830-103

35 of 236

906475-33-0 CAPLUS
3-Pyrrolidinamine, N.N-diethyl-1-{[4-methyl-2-(2-phenoxyphenyl)-5-thiazolyllcarbonyl]- (9C1) (CA INDEX NAME)

906475-48-7 CAPLUS
Piperidine, 4- (hexahydro-1H-azepin-1-yl)-1-[[4-methyl-2-(2-phenoxyphenyl)-5-thiazolyl]carbonyll- (9CI) (CA INDEX NAME)

906475-49-8 CAPLUS 1,4-Bipiperidine. 1-[[4-methyl-2-(2-phenoxyphenyl)-5-thiazolyl]carbonyl]-[9C1] (CA INDEX NAME)

10576830-103

34 of 236

906475-10-3 CAPLUS
Piperazine, 1-(1-methylethyl)-4-[(4-methyl-2-(2-phenoxyphenyl)-5-thiazolyl]carbonyl]- (9CI) (CA INDEX NAME)

906475-11-4 CAPLUS
Piperazine, 1-cyclopentyl-4-[[4-methyl-2-(2-phenoxyphenyl)-5-thiazolyl]carbonyl]- (9CI) (CA INDEX NAME)

906475-32-9 CAPLUS 4-Piperidinamine, N.N-diethyl-1-[[4-methyl-2-(2-phenoxyphenyl)-5-thiazolylicarbonyll- (9CI) (CA INDEX NAME)

10576830-103

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906475-59-0 CAPLUS 5-Thlazolecarboxamide, 4-methyl-N-[2-{1-methyl-2-pyrrolidinyl}ethyl]-2-(2-phenoxyphenyl)- (CA INDEX NAME)

906475-71-6 CAPLUS 5-Thiazolecarboxamide, 4-methyl-2-(2-phenoxyphenyl)-N-[4-(1-pyrrolidinyl)butyl]- (CA INDEX NAME)

ANSWER 14 OF 104 CAPLUS COPYRIGHT 2007 ACS ON STN 2006:333420 CAPLUS <u>Full-text</u> 144:369771

TI

144:36971
Preparation of bisaryl-sulfonamides as PPARy or PPAR8
modulators
Bergeron, Philippe; Farthing, Christopher N.; Jones, Stuart D.;
Liebeschwetz, John M.; Lively, Sarah E.; Mcgee, Lawrence R.; Mckendry,
Sharon; Sheppard, David; Young, Stephen C.
Angen Inc., USA
PCT Int. Appl., 250 pp.
CODEN: PIXXD2
Patent
English

PA SO

DT Patent LA English FAN.CNT 1

10576830-103 PATENT NO. KIND								37 of	236									
PI		2006				A2		2006										
		2006								,			03201	.,,		21	,050	
		W:	AE,	AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,
			CN,	co,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,
			GÉ,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KM,	KP,	KR,	KZ,
			LC,	LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MH,	MX,	MZ,	NA,
			NG,	NI,	NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	sc,	SD,	SE,	SG,	SK,
			SL,	SM,	SY,	TJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC.	VN,	YU,
			ZA,	2M,	ZW													
		RW:	AT,	BE.	BG.	CH,	CY,	CZ,	DE,	DK,	EΕ,	ES,	FI,	FR,	GB,	GR,	ΗU,	IE,
			is,	IT,	LT,	LU,	LV.	MC,	NL,	PL,	PT,	RO,	SE,	SI,	\$K,	TR,	BF,	BJ,
			CF,	CG,	С1,	CM,	GΑ,	GN,	GQ,	G₩,	ML,	MR,	NE,	SN,	TD,	TG,	BW,	GH,
			GM,	KE,	LS,	MW,	MZ.,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	ΑŻ,	BY,
			KG.	кг.	MD,	RU,	TJ,	TM,	ΑP,	EA,	ĔΡ,	OA						
	ΑU	2005	2727	86		A 1		2006	0223		AU 20	005-	2727	86		20	00509	11
	CA	2576	943			A1		2006	0223		CA 20	005 -	2576	993		20	00506	111
	UЗ	2006	0848	02		A 1		2006	0420	- 1	US 20	005-	20301	96		20	00505	311
	ΕP	1786	752			A2		2007	0523		EP 20	305 -	7900	29		20	00508	311
		R:						CZ.										
							ĻU,	LV,	MC,	NL,	ΡL,	PT,	RΟ,	SE,	SI,	SK,	TR,	AL,
			BA,	HR,	MK,	YU												
PRA1		2004						2004										
		2005				W		2005	0811									
OS MARPAT 144:369771 GI																		

The title compds. I (Ar = (un)substituted Ph, naphthyl, pyridyl, B = (un)substituted (hetero)aryl; L = O, SOk, CRaRb, C(O) (wherein Ra, Rb = H, CN, NO2, alkyl); M = CR3, N; X = CR4, N; Y = CR5, N, Z = CR6, N (wherein at least one of W, X, Y and Z = N and at least one of W, X, Y and Z is other than N); R1 = N, alkyl, heteroalkyl, arylalkyl, R2 = H, halo, CN, NO2, etc.; R3-R6 = H, OH, halo, CN, etc.; K = O-2| that are useful in the treatment or prevention of a condition or disorder mediated by PPARy or PPARA, were prepared E.g., a multi-step synthesis of II, starting from 4-nitrobenzenesulfonyl chloride and piperidine, was given. In particular, the compds. I modulate the function of PPARy or PPARA ilso values for selected compds. I in a PPARy ligand binding

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PAGE 2-A

882499-37-8 CAPLUS
Benzenesulfonamide, 2-chloro-N-(3-(2-methyl-4-thiazolyl)-4-(4-(4morpholinylsulfonyl)phenoxy|phenyl|-4-(trifluoromethyl)- (CA INDEX NAME)

PAGE 1-A

10576830-103 38 of 236

assay utilizing [3H]-BRL 49653 as the radioligand are provided. The subject methods are particularly useful in the treatment and/or prevention of diabetes, obesity, hypercholesterolemia, rheumatoid arthritis and atherosclerosis.

282:96-99-3P 282:98-42-2P SCI495-37-8P
RI, PAC (Pharmacological activity); SBN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological Study); PREP (Preparation); USES (Uses)

(Uses)
(preparation of bisaryl-sulfonamides as PPARy or PPAR6
modulators)
882496-99-3 CAPLUS
Benzenesulfonamide, 2,4-dichloro-N-[3-(2-methyl-4-thiazolyl)-4-[4-(4-morpholinylsulfonyl)phenoxy]phenyl]- (CA INDEX NAME)

PAGE 1-A

PAGE 2-A

PAGE 2-A

882498-42-2 CAPLUS
2-Thiazolecarboxylc acid, 4-[5-[[(2,4-dichlorophenyl)sulfonyl]amino]-2-[4(4-morpholinylsulfonyl)phenoxylphenyl]-, ethyl ester (CA INDEX NAME)

10576830-103

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L31 ANSWER 15 OF 104 CAPLUS COPYRIGHT 2007 ACS on STN AN 2005:1290025 CAPLUS Full-text DN 144:36329

144:36329
Thiazole compounds as PPAR modulators, their preparation, pharmaceutical compositions, and use in therapy Epple, Robert; Cow, Christopher; Xie, Yongping; Mang, Xing; Russo, Ross; Azimioara, Mihai; Saez, Enrique
IRM LLC, Bermuda
PCT Int. Appl., 187 pp.
CODEN: PIXXD2
PATENT NO. KIND DATE APPLICATION NO. DATE

IN

DT LA FAN.

10576830-103

10576830-103

- STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY AVAILABLE VIA OFFLINE PRINT *
- The invention relates to thiazole compds. of formula I, which are modulators of peroxisome proliferator-activated receptors (PPAR), particularly PPARÖ. In compds. I, pis 0-3; L is selected from -XXX. X5(0) MXX. and -X5(0) mX The invention relates to thiazole compds. of formula I, which are modulators (CTITIOGRAMETOXY)PRENYIDOTORIC ACID and ester hydrolysis to give thiazole Most preferred compds of the invention express an EC50 value for PPAR® of less than 100 nM. The compds, of the invention are at least 100-fold selective for PPAR® over PPARW, 970521-37-2P 970572-49-1P 870522-30-1P RIPER OF PROPERTY OF THE PROPE

ses)
(drug candidate; preparation of thiazole compds. as PPAR modulators and
their use for treatment and prevention of diseases associated with

PPARR activity)
870521-87-2 CAPLUS
Acetic acid, [2-methyl-4-[[5-(4-phenoxyphenyl)-4-phenyl-2-thiazolyl]methoxy]phenoxy]- (9CI) (CA INDEX NAME)

1057	6830-103						43 of	236									
		LC,	LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NA,
		NG,	NI,	NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,
		SL.	SM.	SY.	TJ.	TM.	TN.	TR.	TT.	TZ.	UA.	UG.	US.	UZ.	VC,	VN.	YU.
			ZM,														
	RW:	BW,	GH.	GM,	KE,	LS,	MW.	MZ,	NA.	SD,	SL,	SZ.	TZ,	UG,	ZM.	ZW,	AM.
															cz,		
		EE,	ES,	FI,	FR,	GB,	GR.	HU,	IE,	IS,	IT,	LT.	LU,	MC,	NL,	PL,	PT.
		RO,	SE,	SI,	SK,	TR,	BF,	BJ,	CF,	CG,	CI,	CM.	GΑ,	GN,	GQ,	GW,	ML,
		MR,	NE,	SN,	TD,	TG											
	AU 2005	2476	10		A1		2005	1208		AU 2	005-	2476	10		21	0050	530
	CA 2568	742			Al		2005	1208		CA 2	005-	2568	742		21	0050	530
	EP 1756	874			Al		2007	0307		EP 2	005-	7480	37		21	0050	530
	R:	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	ΗU,	IE,
		IS,	IT,	LI,	LT,	LU,	MC,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,	AL,	BA,
		HR,	LV,	MK,	YU												
	CN 1980	908			Α		2007	0613		CN 2	005-	8002	2519		21	0050	530
	NO 2006	0060	49		A		2007	0227	1	NO 2	006-	6049			21	0061	228
	KR 2007	10444	04		A	:	2007	0427		KR 2	- 300	7275	9		20	0061	228
	IN 2006	CN04	780		A		2007	0629		IN 2	006-	CN47	80		21	0061	228
PRAI	GB 2004	-121	9 B		A		2004	0529									
	GB 2004	-141	94		Α		2004	0624									
	GB 2004	-240	16		Α	:	2004	1029									
	WO 2005	-EP5	882		₩	:	2005	0530									
os	MARPAT	144:	3632	8													

Title compds. I [X1 = 8, 0, N=N, etc.; A = carboxy, carboxy bioisostere; AT2-3 = Ph, 5-6 membered heteroaryl, etc.; B = AT2-3, N-pyrrolidinyl, etc.; q = 0-1; L1-4 = (Alk1)m-Zn-(Alk2)p, m, n, p = 0-1; Alk1-2 = alkylene, alkenylene, etc.; Z = 0, S, CO, SO2, etc.; O1 = H, alkyl; O2 = alkyl, alkoxy, OH, hydroxyalkyl, etc.] are prepared For instance, [2-benzhydryl-4-(4-chlorophenyl)thiazol-5-yllacetic acid (III) is prepared from 3-brown-4-(4-chlorophenyl)-4-oxobutyric acid and 2,2- diphenylthioacetamide in 77% yield. II has an IC50 < 0.5 µM for the CRTM2 receptor. I are useful for the treatment of disease responsive to modulation of CRTM2 receptor activity.

NTGSS1-91-79

RI: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Udes)

(OBES) (Poparation of Substituted thiazoleacetic acids as CRTH2 receptor ligands) \$70861-81-7 CAPLUS
5-Thiazoleacetic acid, 2-(diphenylmethyl)-4-(4-phenoxyphenyl)- (CA INDEX NAME)

870522-09-1 CAPLUS
Acetic acid, [4-[[4-(4-methoxyphenyl)-5-(4-phenoxyphenyl)-2-thiazolyl]methoxy]-2-methylphenoxy]- (9CI) (CA INDEX NAME)

870523-30-1 CAPLUS
Acetic acid, [4-[4,5-bis(4-phenoxyphenyl)-2-thiazolyl]methoxy]-2-methylphenoxy]- (9CI) (CA INDEX NAME)

	PATENT	NO.			KIND DATE				APPLICATION NO.							DATE			
						-										• • • -			
PI	WO 2005116001				Al		2005	1208	WO 2005-EP5882						20050530				
	W: AE, AG, AL,		AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	B₩,	BY,	BZ,	CA,	CH.				
		CN,	co,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD.		
		GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN.	IS.	JP,	KE,	KG,	KM,	ΚP,	KR,	KZ,		

10576830-103

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THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT RE.CNT 8

ANSWER 17 OF 104 CAPLUS COPYRIGHT 2007 ACS ON STN 2005:732649 CAPLUS Full-text

143:194251
Preparation of 2- (aminoacylamino)thiazole derivatives and their

therapeutic applications
Baltzer, Sylvie; Van Dorsselaer, Viviane
Sanofi-Aventis, Fr.
PCT Int. Appl., 44 pp.
CODEN. PIXXD2

	CO	DEN:	PIXX	D2														
DT	Pa	tent																
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FAN.	CNT	2																
	PA:	TENT	NO.															
PI	WO	2005	0732	26		A1		2005	0811	1	HO 2	2005-	FR32			2	0050	107
		W:	ΑE,	AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	B₩,	BY,	BZ,	CA,	CH,
								DE,										
			ĢΕ,	GH,	GM,	HR,	ΗU,	ID,	IL,	IN,	IS,	, JP,	ΚE,	KG,	KP,	KR,	KZ,	LC,
			LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NA,	NI,
			NO,	NZ,	OM,	PG,	PH,	PL,	PT.	RO,	RU,	sc,	SD,	SE,	SG,	SK,	SL,	SY,
			ŤJ,	TM.	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	ΥU,	ZA,	ZM,	ZW
		R₩:	BW,	GH,	GM,	KE,	LS,	MW,	MZ,	NA.	SD,	SL,	SZ,	TZ,	UG,	ZM,	Z₩,	AM,
			AZ,	BY,	KG,	KZ,	MD,	RU,	TJ,	TM,	AT.	BE,	BG,	CH,	CY,	CZ,	DE,	DK,
			EE,	ES,	FI,	FR.	GB,	GR,	HU,	IE,	IS,	IT,	LT,	LU,	MC.	NL,	PL,	PT,
			RO,	SE,	SI,	SK,	TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GA,	GN.	GQ.	G₩,	ML,
			MR,	ΝE,														
	FR	2865	206			A1		2005	0722		FR 2	2004 -	387			21	3040	116
		2873				A1		2006			FR 2	2004 -	8115			2	0040	722
	FR	2873	370			B1		2006	1020									
	ΑU	2005	2094	42		A1		2005	0811		AU 2	2005-	2094	42		2	0050	107
	CA	2551	142			A1		2005	0811		CA 2	2005-	2551	142		21	0050	107
	EP	1709	041			A1		2006	1011		EP 2	2005-	7173	80		21	0050	107
		R:	AT,	BE,	CH,	DΕ,	ĐK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	MC,	PT,
			IE,	SI,	LT,	LV,	FĮ,	RO,	MK,	CY,	AL,	TR,	BG,	CZ,	EE,	ΗŪ,	PL,	SK,
			BA,	HR,	IS,	YU												
		1930				A		2007	0314		CN 2	2005-	8000	5095		20	0050	107
	BR	2005	0068	80		Â		2007				2005-					050	107
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		2006						2006									0060	
		2006						2006										
		2006		75		A		2006	1016	1	NO 2	2006-	3675			20	0060	815
PRAI		2004				A		2004	0116									
		2004						2004										
		2005				W		2005	0107									
os	MAI	RPAT :	143:	1942	51													

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Absolute stereochemistry.

859148-95-1 CAPLUS
4-Thiazolecarboxylic acid, 5-{2-(4-fluorophenoxy)phenyl}-2-[[(2S)-2-[[(2S)-2-[(2S)-2-((CA INDEX NAME)

Absolute stereochemistry.

859148-96-2 CAPLUS
4-Thiazolecarboxylic acid, 2-{{(2S)-2-[(3,5-difluorophenyl)acetyl]amino}-1-oxopentyl]amino}-5-[2-(3-fluorophenoxy)phenyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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861853-27-2 CAPLUS
4-Thiazolecarboxylic acid, 2-[[(28)-2-{[(28)-2-hydroxy-3,3-dimethyl-1oxobutyl]amino]-1-oxopentyl]amino]-5-[2-[4-(trifluoromethoxy)phenoxy]pheny
l]-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.

861853-28-3 CAPLUS 4-Thiazolecarboxylic acid, 2-{[(2S)-2-{{(2S)-2-hydroxy-3-methyl-1-oxobentyllamino]-1-oxopentyllamino]-5-{2-{4-(trifluoromethoxy)phenoxylphenyl]-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.

The invention relates to thiazolamine amino acid derivs. I [R1 is [un] substituted alkyl or Ph, cycloalkyl, thienyl, benzothienyl, pyridyl or furyl; R2, R2' are independently H, halo, OH, alkoxy, alkyl, cycloalkyl, alkanoyloxy, or CRR2' is CO; R3 is H, hydroxy-, cycloalkyl- or alkoxyalkyl; one of R4 and R5 is substituted Ph, benzyl, pyridyl or pyridylmethyl and the other is a (thio)acyl or (thio)carbamoyl groupl, which are inhibitors of β-amyloid (β-A4) formation and can be used for the treatment of Alzheimer's disease and other disorders. Thus, compound II was prepared via coupling of M2-amino-5-[2:46-fluorophenoxy)phenyl(thiazole-4-carboxylate (preparation given) with Boc-protected (8)-norvaline and 3,5-difluorophenylacetic acid. Ε-14 & 9-15 (5)10-4 & 0-15 (18-5) & 11 (18-

- (Uses)
 (preparation of (aminoucylamino)thiazole derivs, as β-amyloid
 inhibitors and their therapeutic applications)
 859148-91.9 CAPLUS
 4-Thiazolecarboxylic acid, 2-[[(25)-2-[((25)-(3,5dilluorophenyl))hydroxyacetyllaminol-1-oxopentyllaminol-5-(2-(4fluorophenoxy)phenyl)-, methyl ester (9CT) (CA INDEX NAME)

Absolute stereochemistry.

10576830-103 47 of 236

Absolute stereochemistry

859148-98-4 CAPLUS
4-Thiazolecarboxylic acid, 2-{[(28)-2-[(28)-3,5-difluorophenoxy)hydroxyacetyl]amino]-1-oxopentyl]amino]-5-(2-(3-fluorophenoxy)phenyl]-, methyl ester (9Cl) (CA INDEX NAME)

Absolute stereochemistry.

859149-02-3F 859149-03-4P 859149-04-5F RL: RCT (Reactant): SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(xeactant or reagent)
(preparation of (aminoacylamino)thiazole derivs. as β-amyloid inhibitors and their therapeutic applications)
859149-02-3 CAPLUS
4-Thiazolearboxylic acid, 2-amino-5-{2-(4-fluorophenoxy)phenyl}-, methyl ester (CA INDEX NAME)

859149-03-4 CAPLUS

4-Thiazolecarboxylic acid, 2-[[(2S)-2-amino-1-oxopentyl]amino]-5-[2-(4-fluorophenoxy)phenyl]-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.

859149-04-5 CAPLUS

4-Thiazolecarboxylic acid, 2-{[(28)-2-[((1,1-dimethylethoxy)carbonyl)amino}-1-oxopentyl]amino]-5-[2-(4-fluorophenoxy)phenyl]-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.

10576830-103

51 of 236

The invention relates to thiazolamine amino acid derivs. I (R1 is (un)substituted alkyl or Ph, cycloalkyl, thienyl, benzothienyl, pyridyl or furyl; R2, R2' are independently H, halo, OH, alkoxy, alkyl, cycloalkyl, alkanoyloxy, or CRR2' is CO, R3 is H, hydroxy, alkoxy or cycloalkylalkyl; R4, R5 are independently H, CF3, alkyl, CN, aminosulfonyl, heteroaryl, etc.], which are inhibitors of β-amyloid (β-A4) formation and can be used for the treatment of Alzheimer's disease and other disorders. Thus, compound II was prepared via coupling of 2-amino-5-(1-methylethyl)-4-(3-phenyl-1,2,4-oxadiazol-5-yl)thiazole (preparation given) with Boc-protected (8)-norvaline and α- hydroxyisovaleric acid. Four compds. Of the invention showed EC50 values in the range 42-94 nM for inhibition of the production of β-A4. 853940-99-67-85941-00-27-862096-43-2P

RL: PAC (Pharmacological activity), SPN (Synthetic preparation), THU (Therapeutic use), BIOL (Biological study), PREP (Preparation), USES

(Uses)

(Preparation of acylaminothiazole derivs. as β-amyloid inhibitors) 859840-99-6 Aprils (Pentanamide, 2-[[(25)-2-hydroxy-3,3-dimethyl-1-oxobutyl]amino]-N-[4-(5-methyl-1,2,4-oxadiazol-3-yl)-5-(2-phenoxyphenyl)-2-thiazolyl]-, (28)-INDEX NAME

THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSMER 18 OF 104 CAPLUS COPYRIGHT 2007 ACS on STN 2005:732628 CAPLUS <u>Full-text</u> 143:194249 AN DN Preparation of acylaminothiazole derivatives as β-amyloid inhibitors Baltzer, Sylvie; Pascal, Marc; Van Dorsselaer, Viviane Sanofi-Aventie, Pr. PCT Int. Appl., 67 pp. CODEN: PIXXD2 PA SO DT LA FAN Patent French CNT 2 PATENT NO.

French
N.CNT 2

PATENT NO.

WO 2005073202

A1 20050811

WO 2005-FR29

W. AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BM, BY, BZ, CA, CH,
CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
GE, GH, GM, HR, HU, ID, IL, IN, 1S, JP, KE, KG, KP, KR, KZ, LC,
LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MM, MX, MX, NA, NI,
NG, NZ, OM, PG, PH, PL, PT, RC, RU, SC, SD, SE, SG, SK, SL, SY,
TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZM,
RM; BM, GM, KE, LS, MM, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZM, AM,
AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, EE, BG, CH, CY, CZ, DE, DK,
RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GM, ML,
MR, NE, SN, TD, TG
FR 2865207

FR 2865207

FR 2873374

A1 20050722

FR 2004-388

A1 20061020

EP 1709018

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, CT,
BA, HR, IS, YU

CN 1910165

A 20070207

CN 2005-3868

A1 20061220

EP 12007520478

T 2007520478

T 20070207

CN 2005-880012588

A 20040716

FR 2004-3186

A 20040712

MARPAT 143:194249

10576830-103

52 of 236

859841-00-2 CAPLUS Benzeneacetamide, 3,5-difluoro-N-{(1S)-1-{[[4-(5-methyl-1,2,4-oxadiazol-3-yl)-5-(2-phenoxyphenyl)-2-thiazolyl]amino}carbonyl]butyl]- (CA INDEX NAME)

Absolute stereochemistry.

862096-42-2 CAPLUS
Pentanamide, 2-[[(2S)-2-hydroxy-3,3-dimethyl-1-oxobutyl]amino)-N-[4-(2-oxazolyl)-5-(2-phenoxyphenyl)-2-thiazolyl)-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

862096-43-3 CAPLUS

Benzeneacetamide, 3,5-difluoro-N-[(1s)-1-{[(4-(2-oxazoly1)-5-(2-phenoxypheny1)-2-thiazoly1]amino}carbony1)buty1}- (CA INDEX NAME)

Absolute stereochemistry.

THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 19 OF 104 CAPLUS COPYRIGHT 2007 ACS on STN 2005:642342 CAPLUS <u>Full-text</u> 144:331853 Synthesis and characterization of novel heterocyclic ring-based DN TI

Synthesis and characterization of moved metalogicity ring bases poly(arylene ether)s Dubey, Rama; Alam, Sarfaraz; Mathur, G. N. Defence Materials and Stores, Research and Development Establishment, Kanpur, 208013, India Polymides and Other High Temperature Polymers (2005), 3, 175-183

SO CODEN: POHTAH

VSP

Journal

VSP
Journal
English
Heterocyclic-ring-based poly(arylene ether)s (PAEs) are considered to be a
unique class of high-temperature polymers which find use as structural resins
for a variety of aerospace applications. Incorporation of heterocyclic units
in the backbones of PAEs offers certain advantages over PAEs without
heterocyclic units such as higher glass transition temperature (Tg), tensile
strength and modulus. Heterocycles such as phenylquinoxalines, benzoxazoles,
benzothiazoles, oxadiazoles, triazoles, imidazoles and benzinidazoles, etc.,
have been incorporated into the backbones of PAEs via the aromatic
nucleophilic displacement reaction. The resulting polymers showed excellent
thermal properties. In view of the excellent thermal properties of
heterocyclic ring based poly(arylene ether)s, some novel heterocyclic ring
structures, such as thioxopyrimidinedione, amidotriazine, amidothiazole,
imidothiazole and thiadiazine, have been successfully introduced into the
backbones of poly(arylene ether)s. It was observed that the Tg of synthesized
polymers was in the range of 150-197 °C and most of the polymers showed no
weight loss below 400 °C. The focus of this paper is, therefore, on structureproperty relationships between the variety of heterocyclic ring introduced
into the polymeric backbone and their effect on thermal properties of the
resulting polymers. resulting polymers.

ΙT uengs 5 ; RL: PRP (Properties)

(synthesis and characterization of novel heterocyclic ring-based poly(arylene ether)s) 980797-55-7 CAPLUS

Poly((1,3-dihydro-1,3-dioxo-2H-isoindole-2,5-diyl)carbonyl(1,3-dihydro-1,3-dioxo-2H-isoindole-5,2-diyl)-2,4-thiazolediyl-1,4-phenyleneoxy[1,1'-biphenyl]-4,4'-diyloxy-1,4-phenylene-4,2-thiazolediyl] (9CI) (CA INDEX

10576830-103

55 of 236

IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, BE, HU, PL, SK, BA, HR, IS, YU

20070207 CN 2005-80002588 JP 2006-548340 IN 2006-KN1943 CN 1910165 20050107 JP 2007520478 20070726 IN 2006KN01943 20070518 20060711 US 2006293366 20061228 US 2006-457490 20060714 PRAI FR 2004-388 20040116 FR 2004-8116 20040722 WO 2005-FR29 20050107

MARPAT 143:153710

The invention relates to thiszolamine amino acid derivs. [(R1 is fun) substituted alkyl or Ph, cycloslkyl, thienyl, benzothienyl, pyridyl or furyl; R2, R2 are independently H, halo, OH, alkoxy, alkyl, cycloslkyl, alkanoyloxy; or CR2R2; is CO, R3 is H, hydroxy- or alkoxyalkyl; R4, R5 are independently H, CF3, alkyl, CN, aminosultonyl, heteroaryl, etc.], which are inhibitors of β -amyloid (β -A4) formation and can be used for the treatment of Alzheimer's disease and other disorders. Thus, compound II was prepared via coupling of 2-amino-5-(1-methylethyl)-4-(1-phenyl-1,2-4- oxadiazol-5-yl)thiszole (preparation given) with Boc-protected (β -norvaline and α -hydroxyisovaleric acid. Four compds. of the invention showed EC50 values in the range 42-94 nM for inhibition of the production of β -A4. 854340 99-(β -825461-00-1 β -00-1 β -0

(Uses)
(preparation of (aminoacylamino)thiazole derivs. as β-amyloid inhibitors and their therapeutic applications)
859840-99-6 CAPLUS
Pentanamide, 2-[[(28)-2-inydroxy-3,3-dimethyl-1-oxobutyl]amino]-N-[4-(5-methyl-1-4-ox

Absolute stereochemistry.

THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

PAGE 1-B

ANSWER 20 OF 104 CAPLUS COPYRIGHT 2007 ACS ON STN 2005:637811 CAPLUS Full-text 143:153710 L31

AN DN TI

Preparation of 2-(aminoacylamino)thiazole derivatives and their

therapeutic applications
Baltzer, Sylvie; Pascal, Marc; Van Dorsselaer, Viviane
Sanofi-Synthelabo S.A., Fr.

PA SO Fr. Demande, 55 pp. CODEN: FRXXBL

DT Patent

LA Fren FAN.CNT 2 French

PATENT NO. KIND DATE APPLICATION NO. DATE

10576830-103

56 of 236

859841-00-2 CAPLUS
Benzeneacetamide, 3,5-difluoro-N-{(IS)-1-{{4-{5-methyl-1,2,4-oxadiazol-3-yl)-5-{2-phenoxyphenyl}-2-thiazolyl}amino|carbonyl|butyl|- (CA INDEX NAME)

Absolute stereochemistry.

THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 21 OF 104 CAPLUS COPYRIGHT 2007 ACS on STN 2005:637810 CAPLUS Full-text

140:j33699
Preparation of 2-(aminoacylamino)thiazole derivatives and their therapeutic applications
Baltzer, Sylvie; Van Dorsselaer, Viviane
Sanofi-Synthelabo S.A., Fr. DN TI

IN PA SO

Pr. Demande, 35 pp. CODEN: FRXXBL

DT

Patent French LA Fren FAN.CNT 2

PATENT NO. KIND DATE APPLICATION NO. DATE 206 Al 20050722 FR 2004-387 20040316 209442 Al 20050811 AU 2005-209442 200503107 142 Al 20050811 CA 2005-2551142 20050107 073226 Al 20050811 MO 2005-PR32 20050107 AE, AG, AJ, AM, AT, AU, AZ, BA, BB, BG, BR, BM, BY, BZ, CA, CH, CM, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GB, PR 2865206 AU 2005209442 2005209442 2551142 2005073226

The invention relates to thiazolamine amino acid derivs. I (R1 is - (un) substituted alkyl or Ph. cycloalkyl, thienyl, benzothienyl, pyridyl or furyl; R2, R2° are independently H, halo, OH, alkony, alkyl, cycloalkyl, alkanoyloxy; or CRAR2' is CO, R3 is H, hydroxy- or alkoxyalkyl; one of R4 and R5 is substituted Ph. benzyl, pyridyl or pyridylmethyl and the other is a (thiologyl or (thiolographany) groupl, which are inhibitors of B-amyloid (B-A4) formation and can be used for the treatment of Alzheimer's disease and other disorders. Thus, compound II was prepared via coupling of Me 2-amino-5-[2-(4-fluorophenoxy)phenyllthiazole-4-carboxylate (preparation given) with Bocprotected (8)-norvaline and 3,5- difluorophenylacetic acid. 839143-93-97 859145-94-09 69140-95-17 B70140-95-17 B70140-95-17

10576830-103

59 of 236

859148-96-2 CAPLUS 4-Thiazolecarpoxylic acid, 2-[[(28)-2-[[(3,5-difluorophenyl)acetyl]amino]-1-coxpentyl]amino]-5-[2-(3-fluorophenoxy)phenyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

859148-97-3 CAPLUS
4-Thiazolecarboxylic acid, 5-{2-(3-fluorophenoxy)phenyl}-2-[{(28)-2-{[(28)-2-hydroxy-3,3-dimethyl-1-oxobutyl}amino}-1-oxopentyl]amino}-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.

10576830-103

58 of 236

(Uses)

(Uses)
(preparation of (aminoacylamino)thiazole derivs. as β-amyloid inhibitors and their therapeutic applications)
859148-93-9 CAPLUS
4-Thiazolecarboxylic acid, 2-[[(2s)-2.[[(2s)-(3,5-difluorophenyl)]hydroxyacetyl]amino]-1-oxopentyl]amino]-5-[2-(4-fluorophenoxy)phenyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

859148-94-0 CAPLUS

4-Thiazolecarboxylic acid, 2-{{(2S}-2-{{(3,5-difluorophenyl)acetyl]amino}-1-oxopentyl]amino}-5-{2-(4-fluorophenoxy)phenyl}-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Absolute stereochemistry.

10576830-103

60 of 236

859148-98-4 CAPLUS
4-Thiazolecarboxylic acid, 2-[[(2S)-2-[[(2S)-(3,5-difluoropheny])hydroxyacetyl]amino]-1-oxopentyl]amino]-5-[2-(3-fluorophenoxy)phenyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

859149-02-3F 859149-03-4P 959149-04-5F RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of (aminoacylamino)thiazole derivs. as \$\textit{\textit{amyloid}} inhibitors and their therapeutic applications}

859149-02-3 CAPLUS

4-Thiazolecarboxylic acid, 2-amino-5-[2-(4-fluorophenoxy)phenyl]-, methyl
ester (CA INDEX NAME)

10576830-103

61 of 236

859149-03-4 CAPLUS

4-Thiazolecarboxylic acid, 2-{{(2S)-2-amino-1-oxopentyl]amino}-5-{2-{4-fluorophenoxy}phenyl}-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.

859149-04-5 CAPLUS
4-Thiazolecarboxylic acid, 2-{{(28}-2-{{(1,1-dimethylethoxy)carbonyl}amino}
1-1-oxopentyl]amino}-5-{2-(4-fluorophenoxy)phenyl}-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.

RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L31 ANSWER 22 OF 104 CAPLUS COPYRIGHT 2007 ACS ON STN AN 2005:431406 CAPLUS <u>Fuli-text</u> DN 142:463752

10576830-103

63 of 236

(Uses)

(Uses)
(preparation of pyrimidinetriones as metalloproteinase inhibitors)
420122-07-2 CAPUUS
2,4,6(IH,3M,5H)-Pyrimidinetrione, 5-(2-ethoxyethyl)-5-[4-[4-(2-methyl-4-thiazolyl)phenoxy]phenoxy]- (CA INDEX NAME)

420122-19-6 CAPLUS
2.4.6(1H,3H,5H)-Pyrimidinetrione, 5-(2-ethoxyethyl)-5-{4-{4-(4-thiazolyl)phenoxy]}- (CA INDEX NAME)

420122-24-3 CAPLUS
2,4,6(1H,3H,5H)-Pyrimidinetrione, 5-(2-ethoxyethyl)-5-{4-[4-(2-thiazolyl)phenoxy]phenoxy]- (CA INDEX NAME)

ANSWER 23 OF 104 CAPLUS COPYRIGHT 2007 ACS ON STN 2005:244459 CAPLUS Fuil-text 142:456259

ΑU

142:456259
Potent pyrimidinetrione-based inhibitors of MMP-13 with enhanced selectivity over MMP-14
Blagg, Julian A.; Noe, Mark C.; Molf-Gouveis, Lilli A.; Reiter, Lawrence A.; Laird, Ellen R.; Chang, Shang-Poa P.; Danley, Dennis E.; Downs, James T.; Elliott, Nancy C.; Eskra, James D.; Griffiths, Richard J.; Hardink, Joel R.; Haugeto, Amber I.; Jones, Christopher S.; Liras, Jennifer L.; Lopresti-Morrow, Lori L.; Mitchell, Peter G.; Pandit, Jayvardhan; Robinson, Ralph P.; Subramanyam, Chekrapani; Vaughn-Bowser, Marcie L.;

10576830-103 62 of 236

Preparation of pyrimidine-2,4,6-trione metalloproteinase inhibitors Noe, Mark C.

PA SO

USA. Pat. Appl. Publ., 38 pp., Cont.-in-part of U.S. Ser. No. 32,837. CODEN: USAXCO

DT Patent English

LA Engl FAN.CNT 2

DATE PATENT NO APPLICATION NO. KIND DATE US 2005107414 PI 20050519 US 2004-778990 US 2001-32837 US 2002132822 20020919 20011025 20040316

US 6706723 PRAI US 2000-243314P US 2001-32837 . A2 20011025

MARPAT 142:463752 OS G I

The invention relates to a group of pyrimidine-2.4,6-triones I and similar compds.. which are inhibitors of matrix metalloproteinases (MMP). In compds. I (claimed), RI is H, (un) substituted C1-4 alkyl, (un) substituted C6-10 aryl, (un) substituted C3-8 cycloalkyl, (un) substituted C1-10 heteroaryl, or (un) substituted C1-10 heterocyclyl; X is a bond or O, and n is 1-10; and G is R2-(CH2)P-, where G is on any ring carbon atom meta or para to -0-, R2 is substituted acylamino or aminocarbonylamino, and p is 1-6. Thus, reacting 4-(4-[1].3,4] ackadiszol-2-ylphenoxylphenol with 5-brome-5-(2-ethoxyethyl) pyrimidine-2.4,6-trione (prepns. given) in the presence of 1,5,7-triazablcyclo(4.4-0)dec-5-ene bound to polystyrene crosslinked with 20 bVB im MeCN afforded II. The compds. I that were tested all have ICSO values of less than 100 µM in at lesst one of the assays Against MMPs such MMP-1, MMP-9, MMP-13, etc. Some compds. showed selectivity towards MMP-13 (no data). 420122-07-21 4201212-15-eF 420122-24-19 RL: PAC (Pharmacological activity), SPN (Synthetic preparation); TMU (Therapeutic use), BIOL (Biological study), PREP (Preparation); USES

10576830-103

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Yocum, Sue A.
Pfizer Global Research and Development, Groton Laboratories, Groton, CT,
06340, USA
Bioorganic & Medicinal Chemistry Letters (2005), 15(7), 1807-1810
CODEN: BMCLES; ISSN: 0960-894X
Elsevier B.V.

so

PB DT LA OS GI English CASREACT 142:456259

Through the use of computational modeling, a series of pyrimidinetrione-based inhibitors of MMP-13 was designed based on a lead inhibitor (1) identified through file acreening. Incorporation of a biaryl ether moiety at the C-5 position of the pyrimidinetrione ring resulted in a dramatic enhancement of MMP-13 potency. Protein crystallog, revealed that this moiety binds in the S1 pocket of the ensyme. Optimization of the C-4 substituent of the terminal aromatic ring led to incorporation of selectivity vs. MMP-14 (MT-1 MMP). Structure activity relationships of the biaryl ether substituent are presented as is pharmacokinetic data for a compound that meets our in vitro potency and selectivity goals.
420127-19-40 420127-21-39
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (USes) ĮŤ

(Uses)
(pyrimidinetrione derivs, preparation and structure-related inhibition of MMP-13 and MMP-14)
420122-19-6 CAPLUS
2.4,6(1H,3H,5H)-Pyrimidinetrione, 5-(2-ethoxyethyl)-5-[4-[4-(4-thiazolyl)phenoxy]phenoxy]- (CA INDEX NAME)

RN CN

420122-24-3 CAPLUS 2,4,6(1H,3H,5H)-Pyrimidinetrione, 5-(2-ethoxyethyl)-5-[4-[4-(2-thiazolyl)phenoxy]phenoxy]- (CA INDEX NAME)

IТ 213315-40-3 864086-39-5

Zasaio-qu-, Resulvasay-s Ri, RCT (Reactant), RACT (Reactant or reagent) (pyrimidinetrione derivs, preparation and structure-related inhibition of MMP-13 and MMP-14) 213315-40-3 CAPLUS

Phenol, 4-[4-(2-thiazolyl)phenoxy]- (CA INDEX NAME)

864086-39-5 CAPLUS Phenol, 4-[4-(4-thiazoly1)phenoxy]- (CA INDEX NAME)

THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT RE.CNT 18

ANSWER 24 OF 104 CAPLUS COPYRIGHT 2007 ACS ON STN 2004:1156488 CAPLUS FULL-rext 142:69226 Method for promoting impaired wound healing Nilsson, Cecilia; Dreifeldt, Catrine Biovitrum Ab, Swed.
PCT Int. Appl., 32 pp. CODEN: PIXXD2 Patent English CNT 1 CNT 1 PATENT NO. APPLICATION NO. KIND

10576830-103

67 of 236

376349-92-7 CAPLUS

Benzenesulfonamide, 2,4,6-trichloro-N-[4-[2-chloro-4-(4-chlorophenoxy)phenyl]-2-thiazolyl]- (CA INDEX NAME)

RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 25 OF 104 CAPLUS COPYRIGHT 2007 ACS ON STN 2004:927006 CAPLUS FUIl-text 141:395288 New [3,5-dihalo-4-(4-hydroxyphenoxy)phenyl]acetic acid derivatives useful as thyroid receptor ligands, and their preparation, pharmaceutical compositions, and methods of use Ryono, Dennis E.: Hangeland, Jon J.; Priends, Todd J.; Dejneka, Tamara; Devasthale, Pratik, Caringal, Yolanda V.; Zhang, Minsheng; Doweyko, Arthur M. P.; Malm, Johan, Sanin, Andrei Bristol-Myers Squibb Company, USA PCT Int. Appl., 94 pp. CODEN: PIXXD2

US 200500418

DT	Patent															
LA	English	1														
FAN	CNT 1															
	PATENT	NO.		KIN	D	DATE			APPL	ICAT	ION	NO.		D.	ATE	
			-		-									-		
PΙ	WO 2004	093799		A2		2004	1104	1	WO 2	004-	US 1 1	883		2	0040	416
	WO 2004	093799		A3		2005	0224									
	W;	AE, AG	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,
		CN, CO	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,
		GE, GH	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KP,	KR,	KZ,	LC,
		LK, LR	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NA,	NI,
		NO, NZ	OM,	PG,	PH,	PL,	PT,	RO,	RU,	sc,	SD,	SE,	SG,	SK,	SL,	SY,
		TJ, TM	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	Yυ,	ZA,	ZM,	2W
	RW:	BW, GH	GM,	KE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	AZ,
		BY, KG	KZ.	MD,	RU,	TJ,	TM.	AT,	BE,	BG,	CH,	CY,	CZ.	DE,	DK,	EE,
		ES, FI	FR.	GB,	GR.	HU,	IE.	IT,	LU,	MC.	NL.	PL,	PT.	RO,	SE.	SI,
		SK, TR	BF.	BJ,	CF.	CG,	CI.	CM,	GA,	GN,	GO.	GW.	ML.	MR,	NE,	SN.
		TD TO						-								

US 2004-826100

20040415

10576830-103 66 of 236

SE 2003-185 A 20030625

MARPAT 142:69226
The invention relates to a method for promoting wound healing, said method comprising administering to a mammal, including man, in need of such promotion an effective amount of an inhibitor of 11-B-hydroxysteroid dehydrogenase type 1 (11B-HSDI), said 11ss-HSDI inhibitor having the formula (1) wherein T. A. and B are as defined in the specification. These compose, may also be used in the manufacture of a medicament for promoting wound healing. 376313-814-376349-81-7 376349-87-7 OS AB

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(method for promoting impaired wound healing)
376349-81-4 CAPLUS
Benzenesulfonamide, 2,3,4-trichloro-N-(4-[2-chloro-4-(4-chlorophenoxy)phenyl]-2-thiazolyl]- (CA INDEX NAME)

375349-84-7 CAPLUS
Benzenesulfonamide, 4-bromo-N-[4-{2-chloro-4-(4-chlorophenoxy)phenyl]-2-thiazolyl]-2,5-difloro- (CA INDEX NAME)

376349-87-0 CAPLUS
2-Thiophenesulfonsmide, 4-bromo-5-chloro-N-[4-(2-chloro-4-(4-chlorophenoxy)phenoxy)phenyll-2-thiazolyll- (CA INDEX NAME)

10576830-103

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MARPAT 141:395288

Thyroid receptor ligands are provided which have the general formula I [wherein: Ri = (un) substituted CONRSR6, CH2NRSR6, NRSCOR6, OR7, R8, 4-R9-4.5-dihydrooxazol-2-yl, R2, R3 = H, halo, C1-4 alkyl or C3-5 cycloalkyl, provided that at least 1 of R2 and R3 * H; R4 = (CH2) RR13 or (CH2) nCONRISCR12R14R15; R5, R6 = H, (hetero) aryl, (cyclo) alkyl, or (hetero) aralkyl; R7 = (hetero) aryl, alkyl, or (hetero) aralkyl; R8 = (hetero) aryl or cycloalkyl; R9 = R7 or H; R10 = H, halo, cyano, or alkyl; R11, R12 = H, halo, alkoxy, OH, cyano, or alkyl; R13 = COOH and esters, phosphonic and phosphinic acid and esters, sulfonic acid, tetrazole, hydroxamic acid, thiazolidinedione, acylsulfonamide, or other carboxylic acid surrogates; R14, R15 = H, alkyl; or R14R15 = (CH2)2-5, forming 3- to 6-membered cycloalkyl rings; R16 = H or C1-4 alkyl; R17 and R18 = H, halo, or alkyl; n = 0-4; X = O, S. S(O)2, S(O), Se, CO, NH, or CH2]. In addition, a method is provided for preventing, inhibiting or treating diseases or disorders associated with metabolism dysfunction, or which are dependent upon the expression of, a T3 regulated gene, wherein a compound I is administered therapequetically. Claims cover the above, as well as pharmaceutical compns, containing I, and methods of coadministration of I with other compds., particularly certain antidiabetic agents. Compds. I include selective agonists, partial agonists, antagonists, and partial antagonists of thyroid receptors (no data). Approx. 168 compds. were prepared For instance, M6 (3,5-dibromo-4-hydroxyphenyl) acetate underwent O-arylation with (4-M00C6H4)21+ BF4-, and the resultant 4-methoxyphenyl ether derivative underwent a sequence of: (1) formylation in the 3-position, (2) O-demethylation, (3) oxidation of the aldehyde to an acid, (4) amidation of the acid, and (5) alkaline saponification of the ester, to give title compound II. 725:29-54-3P
RL: PAC (Pharmacological activity), SPN (synthetic preparation), USES (USes)

(drug candidate; preparation of [dihalo(hydroxyphenoxy) phenyl] ace

ANSMER 26 OP 104 CAPLUS COPYRIGHT 2007 ACS on STN 2004:465510 CAPLUS Full-text 141:133551 Thyroid receptor ligands. Part 2: thyromimetics with improved selectivity for the thyroid hormone receptor beta Hangeland, Jon J.; Doweyko, Arthur M.; Dejneka, Tamara, Friends, Todd J.; Devasthale, Pratik, Mellstrom, Karin; Sandberg, Johnny, Grynfarb, Marlena, Sack, John S., Einspahr, Howard; Faernegardh, Mathias; Husman, Bolette; Ljunggren, Jan; Koenler, Konrad, Sheppard, Cheryl, Malm, Johann Ryono, Denis E. Pharmaceutical Research Institute, Bristol-Myers Squibb, Princeton, NJ.

Denis E.
Pharmaceutical Research Institute, Bristol-Myers Squibb, Princeton, NJ, 0543, USA
Bioorganic & Medicinal Chemistry Letters (2004), 14(13), 3549-3553
CODEN: BMCLER; ISSN: 0960-894X
Elsevier Science B.V. CS

so

English

CASREACT 141:133551

CASEACT 141:133551
A set of thyromimetics having improved selectivity for TR-fil were prepared by replacing the 3'-iso-Pr group of 2 and 3 with substituents having increased steric bulk. From this limited SAR study, the most potent and selective compds, identified were derived from 2 and contained a 3'-Ph molecy bearing small hydrophobic groups meta to the biphenyl link. X-ray crystal data of 15c complexed with TR-fil LBD shows methionine 442 to be displaced by the bulky R3' Ph Et amide side chain. Movement of this amino acid side chain provides an expanded pocket for the bulky side chain while the ligand-receptor complex retains full agonist activity.

1757-186-197
RIC: PAC (Planmacological activity); SPN (Synthetic preparation); BIOL

RE: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation) (structure activity relationships of thyromimetics with selectivity for

thyroid hormone receptor beta)
725239-54-3 CAPLUS
Benzeneacetic acid, 3,5-dichloro-4-[4-hydroxy-3-(2-thiazolyl)phenoxy](CA INDEX NAME)

THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT RE.CNT 23

L31 ANSWER 27 OF 104 CAPLUS COPYRIGHT 2007 ACS on STN

71 of 236

{preparation of bisoxazoles and bisthiazoles as antitumor agents} 6:7724-44-8 CAPUUS
Pyridine, 4.4'-[oxybis[4,1-phenylene[5-(trifluoromethyl)-2,4-thiazolediyl]]]bis-(9CI) (CA INDEX NAME)

ANSWER 28 OF 104 CAPLUS COPYRIGHT 2007 ACS ON STN
2004:162697 CAPLUS Full-text
140:199318
Preparation of 4-4'-bipyridyl-2-2'-bisoxazoles and 4-4'-bipyridyl-2-2'-bisthiazoles as antitumor agents
Martin Sanchez-Cantalejo, Yolanda; Villa Hormaeche, Maria Jesus; Saez
Pizarro, Beatriz; Soto Romero, Javier; Fernandez Brana, Miguel; Lacal
Sanjuan, Juan Carlos
Consejo Superior de Investigaciones Cientificas, Spain; Universidad
Europea de Madrid
PCT Int. Appl., 20 pp.
CODEN: PIXXD2
Patent

50

Patent

Spanish

FAN.	CNT I													
	PATENT	NO.	K1	ND	DATE		APPL1	CATI	ON	NO.		D	ATE	
						-								
PI	WO 2004	016622	,	1	2004022	6	WO 20	003 - E	S42	4		21	0030	814
	₩:	AE, AG,	AL, AM	, AT,	, AU, AZ	, BA,	BB,	BG,	BR,	BY,	BZ,	CA,	CH,	C
		CO, CR,	CU, CZ	, DE	, DK, DM	, DZ,	EC,	EE,	ES,	FI,	GB,	GD,	GE,	G
		GM, HR,	HU, ID	, IL	, IN, IS	, JP,	KE,	KG,	KP,	KR,	KZ,	LC,	LK,	L
		LS, LT.	LU. LV	, MA	. MD, MG	, MK.	MN.	MW,	MX.	MZ.	NI,	NO.	NZ,	01
		PG, PH,	PL, P1	, RO	, RU, SC	, SD,	SE,	SG,	SK,	SL,	SY,	TJ,	TM,	T
		TR. TT.	TZ, UA	, UG	US, UZ	, vc.	VN,	YU,	ZA,	ZM,	ZW			
	RW:	GH, GM,	KE, LS	, MW	MZ, SD	, SL,	SZ,	TZ,	UG,	ZM.	ZW,	AM.	A2,	В
					TM, AT									
		FI, FR,	GB, GF	, HU	, IE, IT	, LU,	MC,	NL.	PT,	RO,	SE,	SI,	SK,	TF
		BF, BJ,	CF, CC	, CI	, CM, GA	GN,	GO.	GW,	ML.	MR.	NE,	SN,	TD,	TO
	ES 2200	706		1	2005060	1	ES 20	002-1	938			21	0020	816
	AU 2003	260515	,	1	2004030	3.	AU 20	003-2	605	15		21	0030	814
PRAI	ES 2002	-1938	,		2002081	6								
	WO 2003	-ES424			2003081	4								
os	MARPAT	140:1993	18											

STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT

Title compds. I*2Y- [wherein X = 0 or 8, Z = direct bond, or 1,2-ethylidene, isopropylidene, p,p'-biphenyl, p-phenylene, m-phenylene, 2,6-pyridylene, p,p'-oxydiphenylene, p,p'-hexafiluoriospropylidenphenylene,

10576830-103

AND (230

2004:28596 CAPLUS Full-text
140:270844

Preparation of 4,4'-bipyridyl-2,2'-bisoxazoles and 4,4'-bipyridyl-2,2'-bisthiazoles as antitumor agents
Sanches-Cantalejo, Yolanda Martin, Villa Hormaeche, Maria Jesus; Saez
Pizarro, Beatriz; Soto Romero, Javier; Fernandez Brana, Miguel, Lecal
Sanjuan, Juan Carlos
Consejo Superior de Investigaciones Cientificas, Spain; Universidad
Europea de Madrid
Span., 11 pp.

Span., 11 pp. CODEN: SPXXAD

DT Patent LA Spanish FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	ES 2183734	A1	20030316	ES 2001-1818	20010802
PRAI	ES 2001-1818		20010802		

PRAI ES 2001-1818

MARPAT 140:270844

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

Title compds. I*2Y- [wherein X * O or S; Z * a bond or 1,2-ethylene, isopropylidene, p,p'-biphenylene, p-phenylene, m-phenylene, 2,6-pyridylene, p,p'-oxidiphenylene, p,p'-bexafluoroisopropylidenediphenylene; R * H, organic residues; when R * a lakyl or absent; Y * sulfate, methanesulfonate, hydrochloride, phosphate, nitrate, acetate, propionate, butyrate, palmitate, oxalate, malonate, maleate, fumarate, citrate, benzoate or absent) were prepared as antiproliferative agents for treating human tumors. For example, II was prepared by cyclization of III with trifluoroanhydride in the presence of Py/toluene for 12 h at room temperature. II inhibited proliferation of H720 cells with an ICSO value of 0.75 µM. Thus, I are useful as antitumor agents.

F8114* UP***

**RL: SPN (Synthetic preparation); PREP (Preparation)

**(antitumor agent; preparation of bisoxazoles and bisthiazoles as antitumor agents)

(antitumus agent, preparation of agents)
Agents)
662144-97-0 CAPLUS
Pyridinium, 4,4'-[oxybis[4,1-phenylene[5-(trifluoromethyl)-2,4-thiazolediyl]]]bis[1-methyl-, diiodide (9CI) (CA INDEX NAME)

637324-44-5 RL: RCT (Reactant); RACT (Reactant or reagent)

10576830-103

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A30-103

R = H, or common organic substituents; R' = none or alkyl; Y = sulfate, methanesulfonate, hydrochloride, phosphate, nitrate, acetate, propionate, butyrate, palmitate, oxslate, malonate, maleate, fumarate, citrate, benzace or absent when R = COOHI were prepared as antiproliferative agents against malignant cells such as HT-29. The invention also relates to the industrial production of I and their pharmaceutical compns. for use in treatment human tumors. For example, II was prepared, in 80% yield, by cyclization of III with acetic anhydride in the presence of Sncl4/TBA for 4 h at reflux. I showed antiproliferative activity against the HT29 cell lines with ICS0 ranging between 0.2 - 5.7 MM. except for one compound Thus. I and their ranging between 0.2 - 5.7 μM_{\odot} except for one compound Thus, I and their formulations are useful for treating neoplasm.

●2 I-

637324-44-5
RL: RCT (Reactant); RACT (Reactant or reagent)
 (preparation of 4-4'-bipyridyl-2-2'-bisoxazoles and 4-4'-bipyridyl-2-2'-bisthiazoles as antitumor agents)
637324-44-8 CAPLUS
Pyridine, 4.4'-[oxybis[4,1-phenylene[5-(trifluoromethyl)-2,4-thiazolediyl]]]bis- (9CI) (CA INDEX NAME)

RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L31 ANSWER 29 OF 104 CAPLUS COPYRIGHT 2007 ACS on STN AN 2004:151244 CAPLUS Full-text

140:368073

Synthesis and evaluation of substituted 4-aryloxy- and 4-arylsulfanyl-phenyl-2-aminothiazoles as inhibitors of human breast

CASU-103

Cancer cell proliferation
Gorczynski, Michael J., Leal, Rachel M.; Mooberry, Susan L.; Bushweller,
John H.; Brown, Milton L.
Department of Chemistry, University of Virginia, Charlottesville, VA,
22904, USA
Bioorganic & Medicinal Chemistry (2004), 12(5), 1029-1036
CODEN: BMECEP, ISSN: 0968-0896
Elsevier Ltd.

Journal

English CASREACT 140:368073

English
CASREACT 140:368073
Several substituted 4-aryloxy- and 4-arylsulfanyl-phenyl-2-aminothiazoles were
synthesized and evaluated for cytotoxic activity against estrogen-pos.,
estrogen-neg., and adriamycin-resistant human breast cancer cell lines. 4[4'-(1,4-bichlorophenoxy)-phenyl]-thiazol-2-yl ammonium iodide demonstrated
potent activity against both estrogen-pos. and neg. breast cancer cell lines
with low micromolar (µW) CISO values. In addition, we have identified several
2-aminothiazoles that demonstrated selective potency for the adriamycinresistant and estrogen-neg. breast cancer cell lines. The results suggest
that these 2-aminothiazoles represent lead compds. for evaluation in animal
models of breast cancer.
64205-72-11 644255-22-22 664255-24-22
64205-51-17-69
64205-73-17-694255-33-36-69155-60-1P
RL: PAC (Pharmacological activity), SPN (synthetic preparation), TMU
(Therapeutic use), BIOL (Biological study); PREP (Preparation), USES
(Uses)

(synthesis and structure-activity relationship studies of substituted 4-aryloxy- and 4-arylsulfanyl-Ph-2-aminothiazoles as inhibitors of human breast cancer cell proliferation) 684255-32-1 CAPLUS

2-Thiazolamine, 4-[4-(4-chlorophenoxy)phenyl]-, monohydriodide (9CI) (CA

684255-33-2 CAPLUS 2-Thiazolamine, 4-[4-(3-chlorophenoxy)phenyl]-, monohydriodide (9CI) (CA INDEX NAME)

10576830-103

75 of 236

684255-38-7 CAPLUS 2-Thiazolamine, 4-[4-([1,1'-biphenyl]-4-yloxy)phenyl}-, monohydriodide (9CI) (CA INDEX NAME)

684255-39-8 CAPLUS

2-Thiazolamine, 4-[4-(4-phenoxyphenoxy)phenyl]-, monohydriodide (9CI) (CA INDEX NAME)

684255-40-1 CAPLUS
Benzoic acid, 3-{4-(2-amino-4-thiazoly1)phenoxy}-, ethyl ester, monohydriodide (9CI) (CA INDEX NAME)

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10576830-103

74 of 236

684255-34-3 CAPLUS 2-Thiazolamine, 4-[4-(2-chlorophenoxy)phenyl]-, monohydriodide (9CI) (CA

684255-35-4 CAPLUS 2-Thiazolamine, 4-[4-(3,4-dichlorophenoxy)phenyl]-, monohydriodide (9CI) (CA INDEX NAME)

684255-36-5 CAPLUS 2-Thiazolamine, 4-[4-(4-methoxyphenoxy)phenyl]-, monohydriodide (9CI) (CA INDEX NAME)

684255-37-6 CAPLUS

2-Thiazolamine, 4-{4-(4-methylphenoxy)phenyl}-, monohydriodide (9CI) (CA INDEX NAME)

10576830-103

76 of 236

RL: SPN (Synthetic preparation); PREP (Preparation)
(synthesis and structure-activity relationship studies of substituted
4-aryloxy- and 4-arylsulfanyl-Ph-2-aminothiazoles as inhibitors of
human breast cancer cell proliferation)
684255-31-0 CAPLUS
2-Thiazolamine, 4-(4-phenoxyphenyl)-, monohydriodide (9CI) (CA INDEX
NAME)

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THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT RE.CNT 24

ANSWER 30 OF 104 CAPLUS COPYRIGHT 2007 ACS On STN 2004:59992 CAPLUS <u>Full-text</u> 140:128678 AN DN TI

Preparation of acylaminothiazoles as inhibitors of formation of β amyloid and their therapeutic applications Baltzer, Sylvie, Schoentjes, Bruno, Van Dorsselaer, Viviane Sanofi-Synthelabo, Pr.

IN

PA 50

Fr. Demande, 85 pp. CODEN: FRXXBL

DT Patent

A Prench											
CNT 1											
			DATE								
			20020717								
WO 2004009565	A2 2004012	9 WO 2003-FR2194	20030711								
WO 2004009565	A3 2004040	9									
W: AE, AG, AL,	AM, AT, AU, A2	, BA, BB, BG, BR, BY, BZ,	CA, CH, CN,								
co, cr, cu,	CZ, DE, DK, DM	, DZ, EC, EB, ES, FI, GB,	GD, GE, GH,								
GM, HR, HU,	ID, IL, IN, IS	, JP, KE, KG, KP, KR, KZ,	LC, LK, LR,								
LS, LT, LU,	LV, MA, MD, MG	, MK, MN, MW, MX, M2, NI,	NO, NZ, OM,								
PG, PH, PL,	PT, RO, RU, SC	, SD, SE, SG, SK, SL, SY,	TJ, TM, TN,								
TR, TT, TZ,	UA, UG, US, UZ	, VC, VN, YU, ZA, ZM, ZW									
RW: GH, GM, KE,	LS, MW, MZ, SD	, SL, SZ, TZ, UG, ZM, ZW,	AM, AZ, BY,								
KG, KZ, MD,	RU, TJ, TM, AT	, BE, BG, CH, CY, CZ, DE,	DK, EE, ES,								
FI. FR, GB,	GR, HU, IE, IT	, LU, MC, NL, PT, RO, SE,	SI, SK, TR,								
BF, BJ, CF,	CG, CI, CM, GA	, GN, GQ, GW, ML, MR, NE,	SN, TD, TG								
AU 2003269018	A1 2004020	9 AU 2003-269018	20030711								
EP 1525193	A2 2005042	7 EP 2003-750801	20030711								
R: AT, BE, CH,	DE, DK, ES, FR	, GB, GR, IT, LI, LU, NL,	SE, MC, PT,								
IE, SI, LT,	LV, FI, RO, MK	, CY, AL, TR, BG, CZ, EE,	HU, SK								
JP 2005538086	T 2005121	5 JP 2004-522233	20030711								
US 2005182104	A1 2005081	US 2005-35803	20050114								
US 7291636	B2 2007110	6									
FR 2002-9061	A 2002071	7									
WO 2003-FR2194	W 2003071	1									
MARPAT 140:128678											
	CNT 1 PATENT NO. FR 2842523 MO 2004009565 MO 20020966 MO 200209661 MO 20020961	CNT 1 PATENT NO. FR 2842523 M0 2004009565 A2 20040012 M0 2004099565 A3 2004002 M1 ABE, AG, AL, AM, AT, AU, AZ CO, CR, CU, CZ, DE, DK, AZ M0 COM, HR, HU, ID, IL, IN, IS LS, LT, LU, LV, MA, MD, MS PG, PH, PL, PT, RO, RI, SC TR, TT, TZ, UA, UG, US, UZ RW, CH, GM, KE, LS, MM, AZ, SD KG, KZ, MD, RU, TJ, TM, AT FI, FR, GB, GR, HU, IE, IT FFR, GB, CP, CG, CI, CM, GA AU 2003269018 A1 2004020 F1 525193 A2 2005102104 A1 2005102104 B1 2005102104 A1 20050512104 B1 200203961 A2 200201106 FR 2002-9061 A 200201107 FR 2002-9061 A 200207106 FR 20020-9061 A 200207106 FR 200203061 A 200207106	CNT 1 PATENT NO. FR 2842523 M0 2004009565 A2 20040123 FR 2002-9061 M0 2004009565 A3 200400408 M1 AR, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FT, GB, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LS, LT, LU, LY, MA, MD, MG, MK, MM, MG, MG, MK, MM, MX, MZ, NT, PF, RT, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZM, KG, KZ, MD, RU, TJ, TM, TB, EB, GC, CT, CZ, DE, DK, CM, CM, CM, CM, CM, CM, CM, CM, CM, CM								

Acylaminothiazoles (shown as I; variables defined below; e.g. II), methods for their preparation, intermediates, pharmacoutical compns, and therapeutic applications are claimed. Compds. I are claimed effective by inhibition of formation of \$\beta\$ amyloid peptide (\$\beta\$-44, ICSO < 500 nM in general but no specific values stated) against disorders such as senile dementia, Alzheimer's disease, Down syndrome, Parkinson's disease, amyloid angiopathy and cerebrovascular disorders (no data). For I: R1 = (un)substituted C1-6 alkyl, C3-7 cycloalkyl, thienyl, benzothiophenyl, pyridinyl, furanyl or (un)substituted phenyl; R2 and R2' = N, halo, hydroxy, C1-3 alkyox, C1-3 alkyl, C3-7 cycloalkyl, O-C0-0-1-6 alkyl, or R2 and R2' = oxo; R3 = H or (un)substituted C1-6 alkyl, R4 and R5 = H, (un)substituted C1-7 alkyl, (un)substituted C1-7 alkyl, (un)substituted C1-7 alkyl, (un)substituted C1-7 alkyl, or R2 and R3 = C(X)R6; X = 0 or \$\beta\$; R6 = C1-6 alkoxy, OH or "NR7R8; R7 and R8 = H, (un)substituted C1-6 alkoxy, OH or "NR7R8; R7 and R8 = H, (un)substituted C1-6 alkoxy, OH or "NR7R8; R7 and R8 = H, (un)substituted C1-6 alkoxy, OH or "NR7R8; R7 and R8 = H, (un)substituted C1-6 alkoxy, OH or "NR7R8; R7 and R8 = H, (un)substituted C1-6 alkoxy, OH or "NR7R8; R7 and R8 = H, (un)substituted C1-6 alkoxy, OH or "NR7R8; R7 and R8 = H, (un)substituted C1-6 alkoxy, OH or "NR7R8; R7 and R8 = H, (un)substituted C1-6 alkoxy, OH or "NR7R8; R7 and R8 = H, (un)substituted C1-6 alkoxy, OH or "NR7R8; R7 and R8 = H, (un)substituted C1-6 alkoxy of un substituted C1-6 alkyl, or C1-6 alkoxy or un substituted C1-6 alkyl, or C1-6 alkoxy or un substituted C1-6 alkyl, or C1-6 alkyl, or C1-6 alkyl, or C1-6 alkyl, or C1-6 alkoxy or un substituted C1-6 alkyl, or C1-6 alkyl, or C1-6 alkyl,

11

es; (drug candidate; preparation of acylaminothiazoles as inhibitors of formation of β amyloid and their therapeutic applications) 649738-99-8 CAPLUS

4-Thiazolecarboxylic acid, 2-[[(28)-2-[[(3,5-difluorophenyl)acetyl]amino]-1-oxopentyl]amino]-5-(3-phenoxyphenyl)-, methyl ester (9CI) (CA INDEX

10576830-103

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$$R^2$$
 R^3
 R^3
 R^5
 R^5

Title compds. I [wherein A = aromatic or nonarom. 4- to 7-membered heterocycle, optionally substituted on the carbon atoms; R1, R2, R3, R4 = independently H, alkyl optionally interrupted by one or more heteroatoms. OH and derivs. haloalkoxy, phenoxy, aralkoxy, acyloxy, monoalkyl/losalkyl/amino, halo, NO2, CN, CF3, CO2H and derivs., alkyl/amino/alkylamino/sulfonyl. mercapto, alkylthio, alkylsulfinyl, or RICCR2, R2CCR3, R3CCR4 = hetero/aryl ring, -C(10) (CH2)nnR8R97, CH2NR8F7; R8, R7 = independently H, alkyl or RRFN = pyrrolidino, piperidino, piperazino or morpholino ring; n = 0, 2, 3, 4; R5 = H, ar/alkyl; their pharmaceutical acceptable salts, solvates, amides, esters, N-oxides, chemical protected torms and prodrugs] were prepared as inhibitors of vascular endothelial growth factor (VKCF), and useful as angiogenesis inhibitors in vivo or in viro and as antiproliferative agents. For example, II was prepared, in 934 yield, by cyclization of (4-phenylthiazol-2-yllactionitrile (preparation given) with 4-(N,N-diethylamino)salicylaldehyde. In a VEGF-Luciferase assay, II showed an ICSo < 10 µM for the inhibition of the activation of the VEGF promoter in hepatoma 3B (Hep3B) cell line. Thus, I and their pharmaceutical compns. are useful for treating cancer. 16.165-5-92, 3-(4-(4-Phenoxyphenyl)thiazol-2-yll-6-(n-bexyl)-7-hydroxychromen-2-one 103126-21 s-P, 3-(4-(4-Phenoxyphenyl)thiazol-2-yll-6-(n-bexyl)-7-hydroxychromen-2-one RL: PAC (Pharmacological accivity); SPN (synthetic preparation); USES (Uses)
(inhibitor of VEGF production; preparation of 2-chromenones as inhibitors

(Unses)
(inhibitor of VEGF production; preparation of 2-chromenones as inhibitors

VEGF production in mammalian cells)
303067-53-0 CAPLUS
2H-1-Benzopyran-2-one, 7-hydroxy-3-{4-(4-phenoxyphenyl)-2-thiazolyl}- (CA
INDEX NAME)

Absolute stereochemistry.

THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L31 ANSWER 31 OF 104 CAPLUS COPYRIGHT 2007 ACS on STN AN 2003:1006767 CAPLUS Full-text

140:42032
Preparation of 2-chromenones as inhibitors of VEGF production in mammalian cells, as well as of the angiogenesis, and useful as antiproliferative agents for treatment of cancer
Menta, Ernesto, Da Re, Giovanni, Grugni, Mario
Novuspharma S.p.A., Italy
PCT Int. Appl., 114 pp.
CODEN: PIXXD2
Patent DN TI

Patent English

FAN.	CNT 1												
	PATENT	NO.	KI	ID DATE	E	Al	PPLICA	TION .	NO.		D	ATE	
								• • • • •	• • • •		-		
PI	WO 2003	105842	A:	200	1224	W	2003	-EP61	91		21	0030	512
	W;	AE, AG,	AL, AM,	AT, AU,	AZ,	BA, I	BB, BG	, BR,	BY.	BZ,	CA.	CH,	CN,
		CO, CR,	CU, CZ,	DE, DK,	DM,	DZ, I	EC, EE	, ES,	FI,	GB,	GD,	GE,	GH,
		GM, HR,	HU, ID,	IL, IN,	IS,	JP, I	KE, KG	, KP,	KR,	KZ,	LC,	LK,	LR,
		LS, LT,	LU, LV,	MA, MD	MG,	MK, I	MN, MH	, MX,	MZ,	NI,	NO,	NZ,	OM,
		PH, PL,	PT, RO	RU, SC	SD,	SE, S	SG, SK	, SL,	TJ,	TM,	TN,	TR,	TT,
		TZ, UA,	UG, US,	U2, VC,	VN,	YU, 2	ZA, ZM	, ZW					
	RW:	GH, GM,	KE, LS.	MW, MZ,	SD,	SL, S	SZ, TZ	, UG,	ZM,	ZW,	ΑM,	AZ,	BY,
		KG, KZ,	MD, RU,	TJ, TM,	AT,	BE, S	BG, CH	, CY,	CZ,	DE,	DK,	EE,	ES,
		FI, FR,	GB, GR	HU, IE,	IT,	LU, I	MC, NL	, PT,	RO,	SE,	SI,	SK,	TR,
		BF, BJ,	CF, CG,	CI, CM,	GA,	GN, C	GQ, GW	, ML,	MR,	NE,	SN,	TD,	TG
	AU 2003	245935	A1	2003	1231	A	2003	- 2459	35		2	0030	612
	US 2006	122387	A:	2006	0608	US	\$ 2005	-5178	05		2	0051	205
PRAI	US 2002	-387917P	P	2002	20613								
	WO 2003	-EP6191	W	200	30612								
os	MARPAT	140:4203	2										

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2H-1-Benzopyran-2-one, 6-hexyl-7-hydroxy-3-[4-(4-phenoxyphenyl)-2-thiazolyl]- (CA INDEX NAME)

IT 295194-30-6P, [4-(4'-Phenoxyphenyl)thiazol-2-yl]acetonitrile
313231-30-0P 627040-54-1P
RL: RCT (Reactant); SPN (synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of 2-chromenones as inhibitors of VEGF production in mammalian cells)
RN 295194-30-6 CAPLUS
CN 2-Thiazoleacetonitrile, 4-(4-phenoxyphenyl)- (CA INDEX NAME)

2H-l-Benzoyzna-7-ol, 6-hexyl-2-imino-3-[4-(4-phenoxyphenyl)-2-thiazolyl]-(CA INDEX NAME)

637040-54-1 CAPLUS 2H-1-Benzopyran-7-o1, 2-imino-3-[4-(4-phenoxyphenyl)-2-chiazolyl]- (CA INDEX NAME)

THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT DE CNT 7

L31 ANSWER 32 OF 104 CAPLUS COPYRIGHT 2007 ACS on STN

81 of 236

2003:830736 CAPLUS <u>Full-text</u>

140:59551 A new convergent synthesis of 4,4'-bispyridyl-5,5'-disubstituted-2,2-bisoxazoles and -bisthiazoles

bisoxazoles and -bisthiazoles
Martin-Cantalejo, Yolanda; Saez, Beatriz; Soto, Javier; Villa, Maria
Jesus, Brana, Miguel F.
Departamento de Ouimica y Materiales, Escuela Superior Politecnica,
Universidad Europea de Madrid, Madrid, 28670, Spain
Synthesis (2003), (14), 2211-2215
CODEN: SYNTBF, ISSN: 0039-7881
Georg Thieme Verlag
Journal
English
CASREACT 140:59551 ΑU

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so

- A convergent strategy for the synthesis of 4.4'-bispyridyl-5,5'-disubstituted-2,2'-bisoxazoles and -bisthiazoles, e.g., I (X = 0, S), from diamides, e.g., II, has been achieved.
 637524-44-67
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of bispyridyl bisoxazoles and bisthiazoles from diamides)
 637324-44-8 CAPLUS
 Pyridine, 4.4'-loxybis[4,1-phenylene[5-(trifluoromethyl)-2,4-thiazolediyl]]bis- (9CI) (CA INDEX NAME) AB

THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

DN TI

ANSWER 33 OF 104 CAPLUS COPYRIGHT 2007 ACS on STN 2003:5930 CAPLUS <u>Full-text</u>
138:73261
Preparation of heterocyclyliminophenyl compounds as agricultural and horticultural fungicides and insecticides
Niki, Toshio; Mizukoshi, Takashi; Takahashi, Hiroaki, Satow, Jun; Ogura, Tomoyuki, Yamagishi, Kazuhiro; Suzuki, Hiroyuki, Hayasaka, Pumio
Nissan Chemical Industries, Ltd., Japan

IN

10576830-103

83 of 236

Benzeneacetic acid, α-(methoxymethylene)-2-[[3-methyl-4-(4phenoxypheny1) -2 (3H) -thiazolylidene]amino] -, methyl ester, (αE) - (CA INDEX NAME)

Double bond geometry as described by E or 2.

Benzeneacetic acid, α -(methoxymethylene)-2-[(3-methyl-4-(4-phenoxyphenyl)-2(3H)-thiazolylidene)amino]-, methyl ester, (αZ) -(CA INDEX NAME)

Double bond geometry as described by E or Z.

THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT RE.CNT 20

ANSMER 34 OF 104 CAPLUS COPYRIGHT 2007 ACS on STN 2002:925264 CAPLUS <u>Full-text</u> 138:11431 L31

136:11431 5-HT1a antagonist or an α2-adrenergic antagonist in combination with an serotonin reuptake inhibitor for treatment of sleep disorders, including sleep apnea Howard, Harry Ralph, Jr. Pfizer Products Inc., USA Eur. Pat. Appl., 22 pp.

82 of 236 10576830-103

PCT Int. Appl., 508 pp. CODEN: PIXXD2

L/A	Japa:	1686																
FAN.	CNT 1																	
	PATE	T N	ю.			KIN	D	DATE			APPL	ICAT	ION !	NO.		D.	ATE	
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PI	WO 21	0030	006	59		A1		2003	0103		WO 2	002-	JP64	24		2	0020	626
	1	٠.	ΑE,	AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BY,	BZ,	CA,	CH,	CN,
								DK,										
			GM.	HR.	HU,	ID.	IL,	IN,	IS,	KE,	KG,	KR,	KZ,	LC,	LK,	LR,	LS,	LT,
			LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NO,	NZ,	OM,	PH,	PL,	PT,
			RO.	RU.	SD.	SE,	SG.	SI,	SK,	SL,	TJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,
								ZM.										
		RW:	GH,	GM,	KE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AT,	BE,	CH,
								FR.										
			BF.	BJ.	CF.	cc.	CI.	CM,	GA.	GN.	go,	GW.	ML,	MR,	NE.	SN,	TD,	TG
	JP 26							2004										
	AU 20																0020	
PRAT	JP 20																	
	JP 20																	
	JP 26							2001										
	JP 20							2001										
	JP 26							2002										
	WO 2					W		2002										
os	MARP				1													
-	- Direct I	•• •		,,,,,,,,,,,,,,,,,,,,,,,,,,,,,,,,,,,,,,,	•													

The title compds. I [A is an optionally substituted heterocycle; X is hydrogen or the like; and G is CH2COOMe, N(Me)COOMe, or the like; n=0-4] are

or the like; and G is CH2COOMe, N(Me) COOMe, or the like; n • 0 • 4] are prepared Compds. of this invention at 500 ppm gave ≥ 70% control of Pyricularia oryzae.

347271-88-5F 347274-12-8F 347374-11-5F
RL: AGR (Agricultural use); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of heterocyclyliminophenyl compds. as agricultural and horticultural fungicides and insecticides)

347873-88-5 CABLUS
Benzeneacetic acid, 2-[(3-methyl-4-(4-phenoxyphenyl)-2(3H)-thiazolylidene]amino]-, methyl ester (CA INDEX NAME)

10576830-103 84 of 236 CODEN: EPXXDW Patent

FRIENT NO. KIND DATE APPLICATION NO. DATE

PI EP 1262197 A2 20021204 EP 2002-253589 20020522
EP 1262197 A3 20021218
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
US 2002183306 A1 20021205 US 2002-75849 20020520
CA 2387699 A1 20021205 US 2002-75849 20020520
BR 2002001974 A 20030422 BR 2002-1974 20020520
DJ 2003026602 A 20030129 JP 2002-155222 20020520
MX 2002PA05340 A 20021209 MX 2002-PA5380 20020530
PRAI US 2001-294322P P 20010530
OS MARPAT 136:11431
AB The invention provides a marked

MARPAT 13:11431
The invention provides a method of treating sleep disorders, including sleep apnea, in a mammal, including a human, by administering to the mammal a 5-HTIa antagonist or an a2-adrenergic antagonist in combination with an serotonin reuprake inhibitor (SRI) antidepressant agent with improvement in efficacy. Also provided are pharmaceutical compns. containing a pharmaceutically acceptable carrier, a 5-HTIa antagonist or an a2-adrenergic antagonist, and an SRI antidepressant agent.

444828-73-4 444839-73-7 444838-79-2
RI, PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(5-HTIA antagonist or u2-adrenergic antagonist in combination

(5-HTLA antagonist or u2-adrenergic antagonist in combination with serotonin reuptake inhibitor for treatment of sleep disorders. including sleep apnea) 444888-70-4 CAPLUS Benzenertchanamine, 2-(3,4-dichlorophenoxy)-N-methyl-5-(2-methyl-4-thiazolyl)- (CA INDEX NAME)

444888-73-7 CAPLUS
Benzememethanamine, 2-(3,4-dichlorophenoxy)-5-(2,5-dimethyl-4-thiazolyl)-N-methyl- (CA INDEX NAME)

444888-79-3 CAPLUS
Benzenemethanamine, 2-(3,4-dichlorophenoxy)-5-(2,4-dimethyl-5-thiazolyl)-N-methyl- (CA INDEX NAME)

ANSWER 35 OF 104 CAPLUS COPYRIGHT 2007 ACS on STN 2002/925263 CAPLUS Full-tent 138:336
Combination of a monoamine reuptake inhibitor and an opioid antagonist for use in alcoholism and alcohol dependence Howard, Harry Ralph, Jr.
Pfizer Products Inc., USA
EUR. Pat. Appl., 37 pp.
CODEN: EPXXDM
Patent

Patent

English

FAN,	CNII			
	PATENT NO.	KIND DATE	APPLICATION NO.	DATE
				• • • • • • •
PI	EP 1262196	A2 20021204	EP 2002-253105	20020502
	EP 1262196	A3 20021218		
	R: AT, BE, CI	I, DE, DK, ES, FR,	GB, GR, IT, LI, LU, NL,	SE, MC, PT,
	IE, SI, L'	r, LV, FI, RO, MK,	CY, AL, TR	
	JP 2002370975	A 20021224	JP 2002-132804	20020508
	AU 200240686	A 20021205	AU 2002-40686	20020516
	CA 2386740	A1 20021123	CA 2002-2386740	20020517
	ZA 2002004019	A 20031121	ZA 2002-4019	20020521
	US 2003130322	A1 20030710	US 2002-153379	20020522
	HU 2002001722	A2 20030728	HU 2002-1722	20020522
	CN 1386503	A 20021225	CN 2002-120350	20020523
	US 2004162316	A1 20040819	US 2004-783196	20040220
PRAI	US 2001-293088P	P 20010523		

87 of 236

K#J01236
Innibitors of histone deacetylase and their therapeutic use
Curtin, Michael L.; Dai, Yujis, Davidsen, Steven K.; Frey, Robin R.; Guo,
Yan; Heyman, Howard R.; Holms, James H.; Ji, Zhiqin; Michaelides, Michael
R.; Vasudevan, Anil; Wada, Carol K.
USA
USA
US. Pat. Appl. Publ., 49 pp.
CODEN: USXXCO
Patent
English
CNT 1

PRA

PATENT NO.

٧.	CNII				
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
	US 2002177594	A1	20021128	US 2001-45747	20011026
٩I	US 2001-275770P	P	20010314		
	US 2001-308435P	P	20010726		
	MARPAT 138:1673				
	Compds, having the	e formul	a (R4L2)nL1	CR1R2R3 (n = 1,2; L1 = 8	alkenylene,
	alkylene, alkynyl	ene, cyc	loalkylene,	heteroalkylene, alkylen	ne-CONR5- alky
	alkylene-O-alkyle	ne; L2 =	bond, C2-a	lkenylene, O, S, SO2, Oc	C(:0) NR5, NR6C:

OS AB alkylene-0-alkylene; L2 = bond, C2-alkenylene, O, S, SOZ, OC(:O)NRS, NRSCO, C(:O)NRS, SOZNES, NRSGOZ, C(:N)O, NRSCO, NRSCOZ, C(:N)O, NRSCOZ, R1 = alkanoz, lakyl, aryl, arylalkyl, cycloalkyl, cycloalkyl, cycloalkyl, aryl, arylalkyl, aryl, arylalkyl, R4, R6 and N to which they are attached = heterocycle) or therapeutically acceptable salts thereof, are histone deacetylase (HDAC) inhibitors. Preparation of the compds., compns. containing the compds., and treatment of diseases using the compds. are disclosed. Thus, more than 200 histone deacetylase inhibitors (no data) were synthesized.

436151-6-4-19

K1. BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study), PREP (Preparation); USES (USes)

(Uses)
(inhibitors of histone deacetylase and their therapeutic use)
436151-99-4 CAPLUS
Nonanediamide, N1-methyl-2-oxo-N9-[4-(4-phenoxyphenyl)-2-thiazolyl]- (CA
INDEX NAME)

MeNH- C- C- (CH2) 6- C- NH N

ANSWER 37 OF 104 CAPLUS COPYRIGHT 2007 ACS on STN 2002:904J25 CAPLUS Full-text
137:380038
Combination treatment with monoamine reuptake inhibitor and dopamine D3 receptor agonist for depression and anxiety
Howard, Harry Ralpn, Jr.
Ffizer Products Inc., USA
Eur. Pat. Appl., 31 pp.
CCODEN: EPXXDM

Patent

DT LA

LA English FAN.CNT 1

PATENT NO. KIND DATE APPLICATION NO.

DATE

10576830-103 86 of 236

The present invention relates to a method of treating alcoholism or alc. dependence in a mammal, including a human, by administering to the mammal a monomaine reuptake inhibitor in combination with an opioid antagonist. It also relates to pharmaceutical compns. containing a pharmaceutically acceptable carrier, a monomaine reuptake inhibitor and an opioid antagonist. An example monomaine reuptake inhibitor is 1.

13492-40-8-17610-73-2

Rt. THU (Therapeutic use); BIOL (Biological study); USES (Uses) (combination of a monomaine reuptake inhibitor and an opioid antagonist for use in alcoholism and alc. dependence)

134930-60-8-8 CAPLUS

Benzenemethanamine, 2-(3,4-dichlorophenoxy)-N-methyl-5-(2-thiazolyl)- (CA INDEX NAME)

476310-78-8 CAPLUS Benzeneethanamine, 2-(3,4-dichlorophenoxy)-N-methyl-5-(2-thiazolyl)- (CA INDEX NAME)

L31 ANSWER 36 OF 104 CAPLUS COPYRIGHT 2007 ACS on STN AN 2002:997188 CAPLUS Full-text

10576830-103

1175	(1050-115	00 01 250		
PΙ	EP 1260221	A2 20021127	EP 2002-253135	20020503
	EP 1260221	A3 20021218		
	R: AT, BE, CH,	DE, DK, ES, FR,	GB, GR, IT, LI, LU, NL,	SE, MC, PT,
	IE, SI, LT,	LV, FI, RO, MK,	CY, AL, TR	
	CA 2386287	A1 20021123	CA 2002-2386287	20020514
	AU 200240681	A 20021205	AU 2002-40681	20020516
	JP 2002370976	A 20021224	JP 2002-141515	20020516
	ZA 2002004018	A 20031121	ZA 2002-4018	20020521

XX of 236

ZA 2002004018 A 20031121 ZA 2002-4018 20020521 .

HU 2002001720 A2 20030728 HU 2002-1720 20020522 .

CN 138504 A 20021225 CN 2002-120351 20020523 .

PRAI US 2001-293061P P 20010523 .

SMARPAT 137:380038 AB The present invention relates to a method of treating depression or anxiety in a mammal, including a human, by administering to the mammal a monoamine reuptake inhibitor in combination with a dopamine D3 receptor agonist. It also relates to pharmaceutical comps, containing a pharmaceutically acceptable carrier, a monoamine reuptake inhibitor and a dopamine D3 receptor agonist. acceptable carrier, a monoamine reuptake innibitor and a copumine 1. agonist.
334930-60-8 334930-65-)
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL
(Biological study); USES (Uses)
(monoamine reuptake inhibitor; combination treatment with monoamine reuptake inhibitor and dopamine D3 receptor agonist for depression and anxiety).
314980-60-8 CAPLUS
Benzenemethanamine, 2-{3,4-dichlorophenoxy}-N-methyl-5-{2-thiazolyl}- (CA INDEX NAME)

334980-65-3 CAPLUS

Benzenemethanamine, 2-(3,4-dichlorophenoxy)-N, α -dimethyl-5-(2-thiazolyl)- (CA INDEX NAME)

ANSMER 38 OF 104 CAPLUS COPYRIGHT 2007 ACS on STN 2002:674788 CAPLUS Full-text 137:195595

ΤI

FAN.	CNT	1											
		TENT	NO.			KIN	DATE	AP	PLICAT	ION NO		DATE	2
									·				
PI	US	2002	1234	90		A1	20020905	US	2001-3	10651		2001	1206
	EΡ	1238	676			Al	20020911	EP	2002-2	251153		2002	20220
	EP	1238	676			B1	20040519						
		R:	AT,	BÉ,	CH,	DE,	DK, ES, FR,	GB, G	R, IT,	LI, LU	J, NL,	SE, MC	, PT,
			IE,	91,	LT,	LV,	FI, RO, MK,	CY, A	L, TR				
	AT	2670	21			T	20040615	AT	2002-2	251153		2002	0220
	PT	1238	676			T	20040831	PT	2002-2	251153		2002	0220
	ES	2217	239			тз	20041101	ES	2002-2	225115	3	2002	20220
	CA	2373	596			A1	20020901	CA	2002-2	2373596	6	2002	0227
	JP	2002	3088	01		A	20021023	JP	2002-5	50579		2002	20227
PRAI	US	2001	-272	619P		P	20010301						

JP 200203881 X 2002031 JP 20020379 20030379 20030379 W 20020379 P 20010301 MARPAT 137:195595 The invention provides a method for treating depression, obsessive compulsive disorder, and psychosis in a mammal, including a human, by administering to the mammal an atypical antipsychotic in combination with an antidepressant agent with improvement in efficiency. It also provides pharmaceutical compns. containing a pharmaceutically acceptable carrier, an atypical antipsychotic, and a serotonin reuptake inhibitor.
444688-70-4 444888-73-7 44658-75-3
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (atypical antipsychotic-antidepressant combination for treatment of depression, obsessive compulsive disorder, and psychosis)
444888-70-4 CAPLUS
Benzenemethanamine. 2-(3,4-dichlorophenoxy)-N-methyl-5-(2-methyl-4-thiazolyl)- (CA INDEX NAME)

444888-73-7 CAPLUS Benzenmethanamine, 2-(3,4-dichlorophenoxy)-5-(2,5-dimethyl-4-chiazolyl)-N-methyl- (CA INDEX NAME)

10576830-103

91 of 236

S830-IO3 91 of 236

antagonist in combination with a serotonin reuptake inhibitor (SRI)
antidepressant agent with improvement in sexual function and/or reduction in
gastro-intestinal side effects. It also relates to pharmaceutical compns.
containing a pharmaceutically acceptable carrier, a 5-HTZ receptor antagonist
and an SRI antidepressant. The ratio of the 5-HTZ receptor antagonist and the
SRI antidepressant agent is between 0.001 to 1 and 1000 to 1, and especially
between 0.01 to 1 and 100 to 1 (no data).

RE: PAC (Pharmacological activity); THU (Therapeutic use); BIOL
(Biological study); USES (Uses)
(combination of 5-HTZ receptor antagonist with serotonin reuptake
inhibitor for treatment of depression)
444888-70-4 CAPLUS
Benzenemethanamine, 2-(3,4-dichlorophenoxy)-N-methyl-5-(2-methyl-4thiazolyl)- (CA INDEX NAME)

444888-73-7 CAPLUS
Benzenemethanamine, 2-(3,4-dichlorophenoxy)-5-(2,5-dimethyl-4-thiazolyl)-N-methyl- (CA INDEX NAME)

444588-79-3 CAPLUS Benzenemethannamine, 2-(3,4-dichlorophenoxy)-5-(2,4-dimethyl-5-thiazolyl)-N-methyl- (CA INDEX NAME)

10576830-103

444888-79-3 CAPLUS Benzenemethanamine, 2-(3,4-dichlorophenoxy)-5-(2,4-dimethyl-5-thiazolyl)-N-methyl- (CA INDEX NAME)

90 of 236

ANSWER 39 OF 104 CAPLUS COPYRIGHT 2007 ACS on STN 2002:595509 CAPLUS $\underline{\text{Full-text}}$

137:135106

137:139106 Combination of a 5-HT3 receptor antagonist with a serotonin reuptake inhibitor for the treatment of depression Howard, Harry R.

Howard, Harry R. USA
U.S. Pat. Appl. Publ., 20 pp. CODEN: USXXCO
Patent
English

FAN.	CNT 1 '			
	PATENT NO.	KIND DATE	APPLICATION NO.	DATE
PI	US 2002107244	A1 20020808	US 2001-2303	20011102
	EP 1230921	A1 20020814	EP 2002-250541	20020128
	R: AT, BE, CH,	DE, DK, ES, FR,	GB, GR, IT, LI, LU, NL,	SE, MC, PT
		LV, FI, RO, MK,		
	JP 2002275097	A 20020925	JP 2002-20186	20020129
	CA 2369789	A1 20020802	CA 2002-2369789	20020131
	BR 2002000246	A 20021029	BR 2002-246	20020131
	MX 2002PA01198	A 20020918	MX 2002-PA1198	20020201
	US 2004029972	A1 20040212	US 2003-633847	20030804
PRAI	US 2001-266340P	P 20010202		
	US 2001-2303	B1 20011102		
OS	MARPAT 137:135106			

The present invention relates to a method of treating depression or anxiety in a mammal, including a human, by administering to the mammal a 5-HT3 receptor

10576830-103

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L31 ANSWER 40 OF 104 CAPLUS COPYRIGHT 2007 ACS ON STN AN 2002:481424 CAPLUS Full-text DN 137:194996

January Carlos Full-Text

137:194936

Synthesis of Potent Leukotriene A4 Hydrolase Inhibitors. Identification of 3-[Methyl[3-[4-(phenylmethyl)phenoxy]propyl]amino]propanoic Acid Penning, Thomas D.; Russell, Mark A.; Chen, Barbara B.; Chen, Helen Y.; Liang, Chi-Dean; Mahoney, Matthew M.; Malecha, James M.; Miyashiro, Julie M.; Yu, Stella S.; Askonas, Leslie J.; Gierse, James K.; Harding, Elizabeth I., Highkin, Maureen K.; Kachur, James F.; Kim, Suzanne H.; Villani-Price, Doreen; Pyla, E. Yvonne; Ghoreishi-Haack, Nayereh S.; Smith, Malter G.
Department of Medicinal Chemistry and Departments of Inflammatory Diseases Research and Molecular Pharmacology, Pharmacia Corporation, Skokie, IL, 60077, USA
Journal of Medicinal Chemistry (2002), 45(16), 3482-3490

CODEN: JMCMAR, ISSN: 0022-2623

American Chemical Society

Journal

English CASREACT 137:194996

CASREACT 137:194996 Leukotriene B4 (LTB4) is a potent, proinflammatory mediator involved in the pathogenesis of a number of diseases including inflammatory bowel disease, psoriasis, rheumatoid arthritis, and asthma. The enzyme LTB4 hydrolase represents an attractive target for pharmacol. intervention in these disease states, since the action of this enzyme is the rate-limiting step in the production of LTB4. Our previous efforts focused on the exploration of a series of analogs related to screening hit Sc-22716 [1-[2-4c]] phenylphenoxylethyllpyrrolidine) and resulted in the identification of a potent, orally active inhibitors. Addin. structure-activity relation studies around this structural class resulted in the identification of a series of α -, β -, and y-amino acid analogs that are potent inhibitors of the LTB4 hydrolase enzyme and demonstrated good oral activity in a mouse ex vivo whole blood LTB4 production assay. The efforts leading to the identification of clin. candidate 3C-57461 (3-[methyl]-1-[4-(phenylmethyl)phenoxylpropyl]aminolpropanoic acid) are described. 213315-24-3P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

212315-39-0P 013715-40-3P 213315-41-3P RL: RCT (Reactant), SPN (Synthetic preparation); PREP (Preparation); RACT

93 of 236

(Reactant or reagent)
(preparation and structure activity relationships of aminopropanoic acid derivs. as Jeuwotriene A4 hydrolase inhibitors)
21315-39-0 CAPLUS
Thiazole, 2-[4-(4-methoxyphenoxy)phenyl]- (CA INDEX NAME)

213315-40-3 CAPLUS
Phenol, 4-[4-(2-thiazolyl)phenoxy]- (CA INDEX NAME)

213315-41-4 CAPLUS
Propanenitrile, 3-[methyl[3-[4-[4-(2-thiazolyl)phenoxylphenoxylpropyl]amin ol (CA NDEX NAME)

THERE ARE 33 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT RE.CNT 33

ANSWER 41 OF 104 CAPLUS COPYRIGHT 2007 ACS on STN 2002:449627 CAPLUS Full-text 1.31

ANSMER 41 OF 104 CAPLUS COPYRIGHT 2007 ACS on STN 2002:449627 CAPLUS Full-text 137:33319
Preparation of N-aryl, N-arylalkyl, and N-heterocyclylnonanamide and -octanamide derivatives and related compounds as inhibitors of histone deacetylase
Curtin, Michael L.; Dai, Yujia; Davidsen, Steven K.; Frey, Robin R.; Guo, Yan; Heyman, Howard R.; Holms, James H.; Ji, Zhiqin; Michaelides, Michael R.; Wasudevan, Anil; Mada, Carol K.
Abbott Laboratories, USA
PCT Int. Appl., 111 pp.
CODEN: PIXXD2

Patent English

FAN	.CNT 2				
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
ΡI	WO 2002046129	A2	20020613	WO 2001-US50931	20011026
	WO 2002046129	A3	20030116		

10576830-103

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10:51. %T 1, 4-(4-Phenoxyphenyl)-2-amino-1,3-thiazole RL: RCT (Reactant): RACT (Reactant or reagent) (reactant; preparation of N-aryl, N-arylalkyl, and N-heterocyclylnonanamide and -octanamide derivs. and related compds. as inhibitors of histone deacetylase) 105512-82-1 CAPLUS 2-Thiazolamine, 4-(4-phenoxyphenyl)- (CA INDEX NAME)

ANSWER 42 OF 104 CAPLUS COPYRIGHT 2007 ACS ON STN 2002;332169 CAPLUS Full-text
136:355245
Preparation of pyrimidine-2,4,6-trione metalloproteinase inhibitors Noe, Mark Carl, Reiter, Lawrence Alan; Mythes, Martin James Pfizer Products Inc., USA
PCT Int. Appl., 70 pp.
CODEN: PIXXO2

	CO	DEN:	PIXX	DZ														
DT	Pa	ent																
LA	En	lish																
FAN	CNT	2																
		CENT :						DATE										
ΡI		2002									WO 2	001-	1819	53		2	0011	017
	MO	2002	0347	26		A3		2002	1017									
	MO	2002	0347	26		A9		2003	0306									
		₩:	ΑE,	AG,	AL,	AM,	ΑT,	AU,	AZ,	BA,	BB,	BG,	BR,	BY,	ΒZ,	CA,	CH,	CN,
			co,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	ES,	FI.	GB,	GD,	GE,	GH,
			GM,	HR,	ΗU,	ID,	ĮŁ,	IN,	IS,	JP,	KE,	KG,	KP,	KR,	KZ,	LC,	LK,	LR.
			LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NO,	NZ,	PH,	PL,
			PT,	RO,	RU,	SD,	SE,	SG,	S 1,	SK,	SL,	TJ,	TM,	TR,	TT,	TZ,	UA,	UG,
			US,	UZ.	VN,	YU,	ZA,	ZW										
		RW:	GH,	GM,	KE,	LS,	MH,	MZ,	SD.	SL,	SZ.	TZ,	UG.	ZW.	AT.	BE,	CH,	CY.
			DE.	DK.	ES.	PI.	FR.	GB,	GR.	IE.	IT.	LU.	MC.	NL.	PT.	SE.	TR.	BF.
								GA,										
	CA	2425	280			A1		2002	0502		CA 2	001-	2425	280		2	0011	017
	AU	2002	1080	0		À		2002	0506		AU 2	002-	1080	0		2	0011	017
	BR	2001	0149	17		A		2003	0701		BR 2	001-	1491	7		2	0011	017
		1332						2003										
		R.	AT.	BE.	CH	DE.	DK.	ES,	FP	GB	GB	1T	1.1	1.0	NI.	SE.	MC.	PT
								RO,						,	,	,	,	
	FF	2003											195			,	0011	017
		2003															0011	
		2004															0011	
	JF	2004	3123	2,		•		2004	0422		JP 2	002-	33//	.,				01,

10576830-103 94 of 236

10576830-103

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, ND, MG, MK, MM, MM, MX, MZ, NO, NZ, PH, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, VU, ZA, ZW, AM, AZ, PY, KG, KZ, MD, RU, TJ, TM, RH: GH, GM, KE, LS, MM, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, CS, EI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GM, ML, MR, NE, SN, TD, TO

US 2001203192

A1 200220413402

A5 20020618

US 2001-808199

A 200101026

WO 2001-808199

A 200110126

OS MAPPAT 137:33319

NAME O 2001-19559931 W 20011026

MARPAT 137:33319

Compds. having the formula (R4-L2)nL1-CRIR2R3 or therapeutically acceptable salts thereof (wherein n = 1, 2; L1 = alkenylene, alkylene, alkynylene, cycloalkylene, heteroalkylene, (alkylene)-C(0)N(R5)- (alkylene) (alkylene)-O-(alkylene) (wherein each group is drawn with its left-hand end being the end which attaches to L2, and its right-hand end being the end which attaches to L2, and its right-hand end being the end which attaches to L6, and its right-hand end being the end which attaches to R4, R2, and R3); L2 = C2 alkenylene, O. S. SO2. OC(0)NR5, N(R6)C(0), C(0)N(R6), R2, 200, R86), N(R6)SO2, C:N-O, N(R6)C(0)N(R6), and C(0)N(R6)N(R6)C(0) (wherein each group is drawn with its left-hand end being the end which attaches to R4, and its right-hand end being the end which attaches to L1); R1 is selected from the group consisting of alkanoyl, alkoxycarbonyl, CONN12, CO2M, haloalkyl, heterocyclyl (wherein the heterocycle is selected from the group consisting of alkanoyl, oxadiazolyl, and tetrazolyl); R2 = R3 = H0, or R2 and R3 together are oxo; R4 = alkoxyalkyl, alkyl, aryl, arylalkyl, cycloalkyl, cycloalkylalkyl. heterocycle, heterocyclylalkyl; R5, R6 = N, alkyl, aryl, arylalkyl; or R5 and R8, together with the nitrogen atom to which they are attached, form a heterocycle selected from the group consisting of (un)substituted morpholinyl, piperiazinyl, piperidinyl, and thiomorpholinyl], which are histone deacetylases. Thus, a mixture of 9,9,9-trifluoro-8-oxonomancic acid (50 mg, 0.22 mmol), NOBE (30 mg, 0.22 mmol), carbodinde P5 resin (720 mg), and 4-phenyl-1,3-thiazol-2- mine (0.27 mmol) in DMF (5 mL) at room temperature was agitated in a Ouest 210 parallel synthesizer for 18 h, treated with trisamine P5 resin (220 mg), and agitated for 2 h. The solution was decanted, the resin was rinsed with dichloromethane, and the combined solns. were concentrated, followed by purification using preparative HPLC with a gradient system of 0 to 95 % over 10 min of MeCN (containing

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(Uses)
(preparation of N-aryl, N-arylalkyl, and N-heterocyclylnonanamide and octanamide derivs. and related compds. as inhibitors of histone deacetylase)
436151-99-4 CAPLUS
Nonanediamide, N1-methyl-2-oxo-N9-(4-(4-phenoxyphenyl)-2-thiazolyl)- (CA

10576830-103 96 of 236 NZ 524774 CN 1714084 IN 2003MN00308 ZA 2003002192 BG 107651 NZ 2001-524774 CN 2001-818084 IN 2003-MN308 ZA 2003-2192 BG 2003-107651 20040924 20051228 20011017 20011017 20030317 20050211 20040505 20031231 20030319 20030320 NO 2003001852 MX 2003PA03734 20030623 NO 2003-1852 MX 2003-PA3734 20030424 20030728 20030425 A1 P W HR 2003000331 20030630 HR 2003-331 20030428 PRAI US 2000-243314P 20001026 WO 2001-IB1953 20011017 MARPAT 136:355245 OS GI

The title compds. (I, A = (un) substituted aryl, heteroaryl; B = (un) substituted aryl, cycloalkyl, heteroaryl, etc.; X = 0, CO, S, etc.; Y = a bond, O. S, etc.; R1 = H, (CH2) 20K1, (un) substituted cycloalkyl, etc.; G is a substitutent on any ring carbon atom of B capable of forming an addnl. bond and is oriented at a position other than a to the point of attachment of the B ring to Y, G = CHO, CO2H, NH2, etc.], useful in treating inflammation, cancer and other disorders, were prepared Thus, reacting 4-(4-(1,3,4) oxadiazol-2-ylphenoxylphenol with 5-bromo-5-(2-ethoxyethyl) pyrimidine-2,4,6-trione (prepns. given) in the presence of 1.5,7-triazablycyclo(4.4.0)dec-5-ene bound to polystyrene crosslinked with 2% DVB in MeCN afforded II. The compds. I that polystyrene crosslinked with 24 DVB in McCN afforded II. The compds. I that were tested all have IC50's of less than 100 µM in at least one of the assays against MMPs such MMP-1, MMP-1, MMP-1, etc. 420122-62-14 220122-19-69-20122-24-19 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(Uses)
(preparation of pyrimidine-2,4,6-trione metalloproteinase inhibitors)
420122-07-2 CAPUUS
2,4,6(1H,3H)-Pyrimidinetrione, 5-(2-ethoxyethyl)-5-[4-[4-(2-methyl-4-thiazolyl)phenoxy]phenoxy]- (CA INDEX NAME)

97 of 236

420122-19-6 CAPLUS
2,4,6(1H,3H,5H)-Pyrimidinetrione, 5-(2-ethoxyethyl)-5-[4-[4-(4-hiazolul)phenoxy]phenoxy] (CA INDEX NAME)

420122-24-3 CAPLUS
2,4,6(1H,3H,5H)-Pyrimidinetrione, 5-(2-ethoxyethyl)-5-[4-[4-(2-thiazolyl)phenoxy]phenoxy]- (CA INDEX NAME)

- L31 AN DN TI
- ANSWER 43 OF 104 CAPLUS COPYRIGHT 2007 ACS on STN 2001:921794 CAPLUS Full-text 136:37598 Preparation of thiazolylpyrrolidones, -furanones, and -thiazolones as pesticides and herbicides. Fischer, Rekiner, Bretschneider, Thomas, Trautwein, Axel, Ullmann, Astrid; Drewes, Mark Wilhelm; Erdelen, Christoph; Dahmen, Peter, Feucht, Dieter, Pontzen, Bolf IN Pontzen, Rolf
 Bayer Aktiengesellschaft, Germany
 PCT Int. Appl., 204 pp.
 CODEN: PIXXD2
 Patent
 German
 CNT 1
- PA SO
- DT

- PATENT NO. KIND DATE APPLICATION NO. DATE 096333 Al 20011220 WO 2001-EP6174 20010531 AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, WO 2001096333 W: AE, AG

10576830-103

99 of 236

(Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of thiazolylpyrrolidones, -furanones, and -thiazolones as pesticides and herbicides)
380647-93-8 CAPLUS
2H-Pyrrol-2-one, 1,5-dihydro-4-hydroxy-5,5-dimethyl-3-[5-methyl-2-(4-phenoxyphenyl)-4-thiazolyl]- (CA INDEX NAME)

1-Azappiro[4.5]dec-3-en-2-one, 4-hydroxy-8-methoxy-3-[5-methyl-2-(4-phenoxyphenyl)-4-thiazolyl]-, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.

380648-00-0 CAPLUS
1-Azaspiro[4.5]dec-3-en-2-one, 4-hydroxy-8-methoxy-3-[5-methyl-2-(4-phenoxyphenyl)-4-thiazolyl]-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of thiazolylpyrrolidones, furanones, and thiazolones as

pesticides and herbicides)

posticides and incorporate, 380648-45-3 CAPLUS Cyclohexanecarboxylic acid, 4-methoxy-1-[[[4-methyl-2-(4-phenoxyphenyl)-5-thiazolyl]acetyl]amino]-, methyl ester, cis- (9CI) (CA INDEX NAME)

98 of 236

CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IM, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MM, MX, MZ, ND, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW

RMI, GH, GM, KE, LS, MM, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CP, CG, CI, CM, GA, GN, GM, ML, MR, NE, SN, TD. TG
10029077

A2411111

A1 20012102

DE 2000-10029077

A2411111

A1 20021210

CA 2001-2411111

A1 20060104

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
200101625

A 20030520

A 20030520

BR 2001-11625

A 20030520

BR 2001-11625

A 2004050352

T 2004050352

T 20040503552

T 20040503552

T 20040503552

T 2004050355

T 2004050355

T 2004050355

A 20030520

BR 2001-15155

A 20021001715

A 20021001715

A 20025001

BR 2002-1913967

A 20021001715

A 2004009877

A1 20040105

BC 2004-550573

A 20021202

A 200405031

BC 2002-4810715

A 200405031

BC 2002-4810715

A 200405031

BC 2002-4810715

A 200405031

BC 2002-4810733

BC 200405032

BC 2004-450673

BC 200405033 10576830-103 98 of 236 E: 1496979
R: AT, BE, CH,
IE, SI, LT,
BR 2001011625
JP 2004503552
CN 1683370
AT 315037 ES 2254453 IN 2002MN01715 US 2004009877 US 6767864 MX 2002PA12400 20040503 MX 2002-PA12400 US 2004-850679 20021213 MX 2002PA12400
US 2004220243
US 7141533
PRAI DE 2000-10029077
CN 2001-811147
HO 2001-EP6174
US 2002-297873
OS MARPAT 136:37598 20041104 20040521 20061128 20000613 20010531

Title compds. [I, W = ND, O, S; O = (substituted) thiazolyl, oxazolyl, pyrazolyl; A = H. (substituted) alkyl, alkenyl, alkoxyalkyl, alkylthioalkyl, cycloalkyl, heterocyclyl, aryl, aralkyl, heteroaryl; B = H, alkyl, alkoxyalkyl, AB = atoms to form an (unsatd.) (substituted) ring; D = H, (substituted) alkyl, alkenyl, alkynyl, alkoxyalkyl, alkylthioalkyl, cycloalkyl, heterocycyl, aryl, aralkyl, heteroarylalkyl, heteroaryl; AD = atoms to form a (substituted) (heterocyclic) ring; G = H, acyll, were prepared Thus, Me 4-methyl-1-aminocyclohexame-1-carboxylate hydrochloride, 4-[2-(4-chlorophenyl)-5-methyl]thiazolylacetic acid, EtDN, and POCI3 were refluxed 30 min. to give 73% amide, which was stirred 1 h with KOCMe3 in DMP at 0 % to 20 co give 83% title compound (II). Several I at 250 g/ha postemergent gave 100% control of Avera fatua, Echinochloa, etc. 380643-39-36 J 30643-36-10 180649-30-69

10576830-103

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Relative stereochemistry.

THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSMER 44 OF 104 CAPLUS COPYRIGHT 2007 ACS ON STN 2001:868438 CAPLUS <u>Full-text</u> 136:5981

116:5991
Preparation of N-thiazol-2-ylbenzenesulfonamides as 11-βhydroxysteroid dehydrogenase type 1 inhibitors for treatment of diabetes
and related diseases ТÍ

PRAI SE 2000-1899

and related diseases

Kurz, Guido; Nilsson, Marianne

PA Biovitrum AB, Swed.

SO PCT Int. Appl., 84 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 5

PATENT NO. KIND DATE English
CNT 5
PATENT NO.

KIND DATE

APPLICATION NO. DATE

APPLICATION NO. DATE

2001059092

M: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CG, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, CB, LT, LU, LV, MA, MD, MG, MK, MN, MM, MX, MZ, NO. NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW

RM, GH, GM, KE, LS, MM, MZ, SD, SL, SZ, TZ, UG, ZM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CP, CG, CM, GA, GA, GM, UM, MM, MK, SN, TD, TG

CA 2408783

A1 20011129

RM 2408783

A1 20011129

CA 2001-2408783

A1 20030219

EP 2162832

A1 20030219

EP 2001-934792

ZA 200209359

A 20040218

A 20040218

A 20040218

A 20040218

A 20040218

CA 2002-3360

A 20040218

CA 2002-3362

A 2002109362

A 20040218

CA 2002-03362

A 20040218

CA 2002-03362

CA 2002109364

A 20040218

CA 2002-03362

CA 2002109365

A 20021118

NO 2002005585

A 20021121

NO 223831

B1 20070709

IN 2002-CN2040

20021211 NO 323831 IN 2002CN02040 IN 2002-CN2040 20021211 20030401 20050225 US 2003-296552 US 2003166689 20030904 US 7132436 20061107

20000522

101 of 236

Title compds. I (wherein T = substituted Ph or thienyl substituted with 1 or more Br or Cl; A = (un)substituted (heterolaryl; B = H or alkoxycarbonyl; or A and B together with the C atoms to which they are attached form a 6-membered ring; and pharmaceutically acceptable salts, hydrates, and solvates thereof) were prepared as 11-B-hydroxysteroid dehydrogenase type 1 (11-HSB1) inhibitors. For example, 7-methoxy-4,5-dihydronaphtho[1,2-d][1,3]thiazol-2-

Inhibitors. For example, 7-methoxy-4,5-dihydronaphtho(1,2-d)[1,3]thiazol-2-amine+HBr was coupled with 5-propylbenzeneaulfonyl chloride in the presence of TEA and DMAP in DMR and CH2Cl2 to give II, which inhibited 11-B-HSDI with Ki of 14 nM. I are useful for the treatment or prevention of diabetes, syndrome x, obesity, glaucoma. hyperinguldenia, hyperglycemia, hyperingulnemia, osteoporosis, tuberculosis, depression, virus diseases, and inflammatory disorders (no data).
275315-81-49, 2,3.4-Trichloro-N-[4-[2-chloro-4-(4-chlorophenoxy)phenyl]-1,3-thiazol-2-yl] benzenesulfonamide
275315-81-97, 4-Bromo-N-[4-[2-chloro-4-(4-chlorophenoxy)phenyl]-1,3-thiazol-2-yl]-2,5-difluorobenzenesulfonamide 376345-87-97,
24-Bromo-5-chloro-N-[4-[2-chloro-4-(4-chlorophenoxy)phenyl]-1,3-thiazol-2-yl]-2-thiophenesulfonamide 376345-87-97, 2,4,6-Trichloro-N-[4-[2-chloro-4-(4-chlorophenoxy)phenyl]-1,3-thiazol-2-yl]-2-thiophenesulfonamide 376345-87-97, 2,4,6-Trichloro-N-[4-[2-chloro-4-(4-chlorophenoxy)phenyl]-1,3-thiazol-2-yl]-2-thiophenesulfo

(preparation of N-thiazolylbenzenesulfonamides by coupling thiazolamines with benzenesulfonyl chlorides as 11-6-hydroxysteroid dehydrogenase type 1 inhibitors for treatment of diabetes and related diseases) 376-349-81-4 CAPLUS

Short-self-4 CAPLUS Benzenesulfonamide, 2,3,4-trichloro-N-[4-[2-chloro-4-(4-chlorophenoxy)phenyl]-2-thiazolyl]- (CA INDEX NAME)

103 of 236

THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 45 OF 104 CAPLUS COPYRIGHT 2007 ACS on STN 2001:489370 CAPLUS Full-text 135:76866
Preparation of heterocyclic imino compounds as fungicides and insecticides for agricultural and horticultural use Niki, Toshio, Mizukoshi, Takahsahi, Takahsahi, Hiroaki; Satow, Jun; Ogura, Tomoyuki; Yamagishi, Kazuhiro; Suzuki, Hiroyuki; Hayasaka, Fumio Nissan Chemical Industries, Ltd., Japan PCT Int. Appl., 350 pp. CODEN: PIXXD2
Patent

IN

DT Patent Japanese

PATENT NO. KIND DATE APPLICATION NO. DATE

PI NO 2001047888 A1 20010705 NO 2000-JP9411 20001228

W: AR, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, JN, IS, JP, KE, KG, KR, KZ, LC, LK, LK, LS, LT, LU, LY, MA, MD, MG, MK, MN, MM, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UC, US, UZ, VN, YU, ZA, ZM, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RN: GH, GM, KE, LS, NM, MZ, SD, SL, SZ, TZ, UG, ZM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NI, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, CM, ML, MR, NE, SN, TD, TG

AU 2001022305 A5 2010709 AU 2001-22305 P2 2000-985987 20001228

EP 1243580 A1 20020292 P2 2000-985987 20001228

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR

US 2003212116 A1 20031131 US 2002-168968 20020625

JP 2000-334642 A 20000101

NO 2000-JP9411 M 20001228

OS MARPAT 135:76866

10576830-103

376349-84-7 CAPLUS Benzenesulfonamide, 4-bromo-N-[4-[2-chloro-4-(4-chlorophenoxy)phenyl]-2-thiazolyl]-2,5-difluoro- (CA INDEX NAME)

102 of 236

376349-87-0 CAPLUS

2-Thiophenesulfonamide, 4-bromo-5-chloro-N-[4-[2-chloro-4-(4-chlorophenoxy)phenyl]-2-chiazolyl)- (CA INDEX NAME)

49-92-7 CAPLUS enesulfonamide, Benzenesulfonamide, 2,4,6-trichloro-N-[4-[2-chloro-4-(4-chlorophenoxy)phenyl]-2-thiazolyl]- (CA INDEX NAME)

336756 30-1, 4-[2-Chloro-4-(4-chlorophenoxy)phenyl]-1,3-thiazol-2-amine
RL: RCT (Reactant); RACT (Reactant or reagent)
(reactant; preparation of N-thiazolylbenzenesulfonamides by coupling thiazolamines with benzenesulfonyl chlorides as 11-8-hydroxysteroid dehydrogenase type 1 inhibitors for treatment of diabetes and related diseases)
338756-39-1 CAPLUS
2-Thiazolamine, 4-[2-chloro-4-(4-chlorophenoxylphenyl]- (CA INDEX NAME)

2-Thiazolamine, 4-[2-chloro-4-(4-chlorophenoxy)phenyl]- (CA INDEX NAME)

10576830-103

104 of 236

Benzeneacetic acid, α-(methoxymethylene)-2-[[3-methyl-4-(4phenoxyphenyl)-2(3H)-thiazolylidene]amino]-, methyl ester, (αE) -(CA INDEX NAME)

Double bond geometry as described by E or Z.

10576830-103 105 of 236

347874-13-9 CAPLUS

Benzeneacetic acid, α -(methoxymethylene)-2-[[3-methyl-4-(4-phenoxyphenyl)-2(3H)-thiazolylidene]amino]-, methyl ester, (α Z)-(CA INDEX NAME)

Double bond geometry as described by E or Z.

THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 46 OF 104 CAPLUS COPYRIGHT 2007 ACS ON STN 2001:283913 CAPLUS <u>Full-text</u> 134:310974 134:310974
Preparation of biaryl ether derivatives as monoamine reuptake inhibitors
Howard, Harry Raiph, Jr.; Adam, Mavis Diane
Pfizer Products Inc., USA
PCT Inc. Appl., 52 pp.
CODEN: PIXXD2 PA SO Patent English

FAN.	CNT	1																
	PA	PENT	NO.			KIN	D	DATE			APPL	ICAT	ION	NO.		Ď.	ATE	
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PΙ	WO	2001	0270	58		Al		2001	0419	1	WO 2	000-	IB13	73		2	0000	927
		W:	AE,	AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BY,	BZ,	CA,	CH,	CN,
			CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EE,	ES,	FI,	GB,	GD,	GE,	GH,	GM,	HR,
			HU,	ID,	IL,	IN,	IS,	JΡ,	KE,	KG,	ΚP,	KR,	KZ,	LC,	LK,	LR,	LS,	LT,
			LU,	LV,	MA,	MD,	MG,	MK,	MIN,	MW,	MX,	MZ,	NO,	NZ,	PL,	PT,	RO,	RU,
			SD,	SE,	SG,	SI,	SK,	SL,	TJ,	TM,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VN,
			YU,	ZA,	ZW													
		RW:	GH,	GM,	KE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZW,	AT,	BE,	CH,	CY,
			DE,	DK,	ES,	FI,	FR,	GB,	GR,	IE,	IT,	LU,	MC,	NL,	PT,	SÉ,	BF.	ВJ,
			CF,	CG,	CI,	CM,	GA,	GN,	GW,	ML,	MR,	NE,	SN,	TD,	TG			
	CA	2387	517			A1		2001	0419	1	CA 2	000-	2387	517		21	0000	927
	CA	2387	517			¢		2005	1115									
	BR	2000	0147	33		A		2002	0611	1	BR 2	000-	1473	3		2	0000	927
	ΕP	1220	831			A1		2002	0710	1	EP 2	000-	9609	16		2	00009	3 27

10576830-103 107 of 236

RL: BAC (Biological activity or effector, except adverse), BSU (Biological study, unclassified), SPN (Synthetic preparation), THU (Therapeutic use), BIOL (Biological study), PREP (Preparation), USES (Uses) (preparation of biaryl ether derivs. as monoamine reuptake inhibitors) 314980-60-8 CAPLUS
Benzenemethanamine, 2-(3,4-dichlorophenoxy)-N-methyl-5-(2-thiazolyl)- (CA INDEX NAME)

334980-65-3 CAPLUS

Benzenemethanamine, 2-(3,4-dichlorophenoxy)-N,α-dimethyl-5-(2-thiazolyl)- (CA INDEX NAME)

THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT RE.CNT 6

ANSWER 47 OF 104 CAPLUS COPYRIGHT 2007 ACS ON STN 2000:706981 CAPLUS Full-text 133:28179 Preparation of aryl substituted pyrazoles, imidazoles, oxazoles, thiazoles and pyrroles as sodium channels blockers Hogenkamp, Derk J.; Upasani, Ravindra; Nguyen, Phong Cocensys, Inc., USA PCT Inc., USA PCT

FAN	. CNT 1																	
	PATENT	NO.			KIN	D	DATE			APPL	ICAT	ION .	NO.		D	ATE		
															-			
PI	WO 200	00578	77		Al		2000	1005		WO 2	000-	US79	44		2	0000	324	
	W:	AE,	AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BY,	CA,	CH,	CN,	CR,	
		CU,	CZ,	DE,	DK,	DM,	DZ,	EE,	ES,	FI,	GB,	GD,	GE,	GH,	GM,	HR.	HU,	
		ID,	IL,	IN,	IS,	JP,	KE,	KG,	KP,	KR,	KZ,	LC.	LK.	LR.	LS,	LT.	LU.	
		LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	NO,	NZ,	PL,	PT.	RO,	RU,	SD,	SE,	
		SG,	SI,	SK,	SL,	TJ,	TM,	TR,	TT,	TZ,	UA,	υĢ,	US,	UZ,	VN,	YU.	ZA,	ZW
	RV	t GH,	GM,	KE,	L9,	MW,	SD,	SL,	SZ,	TZ,	UG,	ZW,	AT.	BE.	CH,	CY.	DE,	
		DK.	ES.	FI.	FR.	GB.	GR.	IE.	IT.	LU.	MC.	NL.	PT.	SE.	BF.	ы.	CF.	

10576830-103 106 of 236 AT 297374 PT 1220831 20050615 20050930 AT 2000-960916 PT 2000-960916 20000927 20000927 20051016 ES 2240155 ES 2000-960916 20000927 US 6410736 20020625 US 2000-692335 20001019 IN 2002MN00303 20050318 IN 2002-MN303 20020311 NO 2002001659 20020408 NO 2002-1659 20020408 20021229 BG 2002-106603 ZA 2002-2804 HR 2002-324 BG 106603 20020410 ZA 2002002804 HR 2002000324 20020410 20030410 20030831 20020930 20030320 20030722 20050916 19991013 19991129 20000927 20020412 HR 2002000324 MX 2002PA03793 US 2003055038 US 6596741 HK 1047577 US 1999-159276P US 1999-167761P WO 2000-IB1373 20020522 20021218 A3 20001019 MARPAT 134:310974

The title compds. [I; rings A and B can be replaced by naphthyl group; n, m = 1-3; R1, R2 = H, alkyl, alkenyl, etc.; NR1R2 = 4-8 membered saturated (un) substituted ring containing 1-2 heteroatoms, including N atom to which R1 and R2 are attached, R3, R4 = H, alkyl optionally substituted with 1-3 F atoms; CR3R4 = 4-8 membered saturated (un) substituted with 1-3 F atoms; CR3R4 = 4-8 membered saturated (un) substituted carbocyclic ring; NR2CR3 including N atom to which R2 is attached; X = (un) substituted Ph, heteroatoms, including N atom to which R2 is attached; X = (un) substituted Ph, heteroatom; heteroatomylyl; Y = H, halo, alkyl optionally substituted with 1-3 F atoms, etc.; Z = H, halo, alky, etc.] and their pharmaceutically acceptable salts which exhibit activity as serotonin, norepinephrine, and dopamine reuptake inhibitors and can be used in the treatment of central nervous system and other disorders, were prepared R.g., a 3-step synthesis of I (R1 = Me; R2-R4 = H; X = 5-Ph; Z = H; Y = 3,4-Cl2] was given. All exemplified compds. I showed ICSS of \$250 nM for serotonin reuptake inhibition, and ICSS of \$1000 nM for dopamine and for norepinephrine reuptake inhibition.

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 CKSU-IU3
 LUS OI 236

 CC, CI, CM, GA, GN, GM, ML, MR, NE, SN, TD, TG

 CA 2368631
 A1 20001005
 CA 2000-2368631
 200000324

 EP 1173169
 A1 20021021
 EP 2000-919636
 20000324

 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SIL, LT, LV, FI, RO
 BR 200009322
 A 20020430
 BR 2000-9322
 20000324

 TR 200102790
 T2 20020621
 TR 2001-2790
 20000324

 US 6414011
 B1 20020702
 US 2000-533864
 200000124

 UE 20080291
 U1 20020801
 DE 2000-20080291
 200000324

 JP 2002540155
 T 20021126
 JP 2000-69105616
 200000324

 XE 514756
 A 20040430
 NZ 2000-514786
 200000124
 BR 2000-9322 TR 2001-2790 US 2000-533864 DE 2000-20080231 TW 2000-89105616 JP 2000-607628 NZ 2000-514756 AU 2000-40291 NO 2001-4659 IN 2001-4N1078 ZA 2001-8807 US 2002-134697 BR 2000009322
TR 200102790
US 6414011
DE 20080291
TW 502019
JP 2002540155
AU 782353
NO 2001004659
MX 2001PA09655
IN 2001KN01078
ZA 2001008807
US 2003069292
US 6737418
US 259690 20020430 20020621 20020702 20020801 20020911 20021126 20040430 20050721 20011101 20030624 2005031 20021025 20030410 20040518 20040518 20000324 20000324 20000324 20000324 20000325 20010925 20010925 20011015 20011025 20020430 NZ 529690 US 1999-126553P US 2000-533864 WO 2000-US7944 NZ 2003-529690 20031219 20000324 MARPAT 133:281779

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

The title compds. [I, Het = II-IV, etc., R1 = H, alkyl, cycloalkyl, etc.; R2, R3 = H, alkyl, cycloalkyl, etc.; R5-R13 = H, halo, haloalkyl, etc.; R2, CH2, NH, etc.] and their pharmaceutically acceptable salts which act as sodium channel blockers, and are useful as anticonvulsants, were prepared E.g. a 3-step synthesis of V which showed EDSO of 4.2 mg/kg (p.o.) against MES, was given.
299206-72-7P
RL: BAC (Biological activity or effector, except adverse), BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use), BIOL (Biological study), PREP (Preparation); USES (Uses) (preparation of aryl substituted pyracoles, imidazoles, oxazoles, thiazoles and pyrroles as sodium channels blockers)
299206-72-7 CAPLUS
2-Thiazolecarboxamide, 4-[3-fluoro-4-(4-fluorophenoxy)phenyl]- (CA INDEX NAME)

299206-50-7F RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of aryl substituted pyrazoles, imidazoles, oxazoles, thiazoles and pyrroles as sodium channels blockers)
299206-98-7 CAPLUS
2-Thiazolecarboxylic acid, 4-[3-fluoro-4-(4-fluorophenoxy)phenyl]-, ethyl ester (CA INDEX NAME)

RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 48 OF 104 CAPLUS COPYRIGHT 2007 ACS On STN 1999:170582 CAPLUS Full-text L31

130:237794

DN T1

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cs

1999:170582 CAPLUS Full-text
130:237794
Synthesis and structure-activity relationships of a series of novel thiazoles as inhibitors of aminoacyl-tRNA synthetases
Yu, Xiang Y., Hill. Jason M.; Yu, Guixue, Wang, Weineng; Kluge, Arthur F.; Wendler, Phil; Gallant, Paul Department of Medicinal Chemistry, Cubist Pharmaceuticals, Inc., Cambridge, MA, 02139, USA
Bioorganic 4 Medicinal Chemistry Letters (1999), 9(3), 375-380 CODEN: BMCLES; ISSN: 0960-894X
Elsevier Science Ltd.
Journal English
A series of novel aminoacyl adenylate mimics has been prepared and evaluated for their inhibitory activity against aminoacyl-tRNA synthetases. Several of these thiazole derivs. displayed potent and selective enzyme activity against both Gram-pos, and Gram-nep, baccteria.

421715-49 'P 22133-51-1P
RL: SNN (Synthetic preparation); PREP (Preparation)
(synthesis and structure-activity relationships of amino acid thiazole nucleosides as inhibitors of aminoacyl-tRNA synthetases)
D-Ribitol, 1,4-anhydro-1-c-(4-(4-phenoxyphenyl)-2-thiazolyl)-,
5-[(28,33)-2-amino-3-methyl-1-oxopentyl]sulfamate), (IR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry

221315-51-1 CAPLUS
D-Ribitol, 1,4-annydro-1-C-[4-(4-phenoxyphenyl)-2-thiazolyl)-,

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RIOZIZZN:C(NRZR3)NR4R5 [R] = (un)substituted Ph; R2-R5 = H, (un)substituted alkyl, cycloalkyl, heterocyclyl, aryl, etc.; Z] = (un)substituted phenylene; Z2 = tinzole-4, 2-dyl) were prepared as bactericides (no data). Thus, HZNCSN:C(NRZ)NHZNRZZ (Z = 1,4-cyclohexylene) was cyclocondensed with 2,4-m2CKH3CGH4(COCKH3E) P2 1570a-25-79. Z1570a-27-80. 21570a-25-79. Z1570a-25-79. Z1570a-27-90. 21570a-25-79. Z1570a-27-90. 21570a-25-79. Z1570a-27-90. 21570a-25-79. Z1570a-27-90. 21570a-29-79. 21570a

10576830-103 110 of 236

5-({(2S)-2-amino-4-methyl-1-oxopentyl}sulfamate}, (1R)- (9CI) (CA INDEX

Absolute stereochemistry.

RE.CNT 34 THERE ARE 34 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 49 OF 104 CAPLUS COPYRIGHT 2007 ACS On STN 1998:745044 CAPLUS Full-text 130:3842

AN DN TI IN

TI Preparation of guanidinothiazoles as bactericides
IN Baasner, Bernd, Matzke, Michael, Militzer, Hans-christian, Schaller,
Klaus, Labiechinski, Harald; Endermann, Rainer; Werling, Hans-otto
PA Bayer A.-G., Germany
SO PCT Int. Appl., 64 pp.
CODEN: PIXXD2
DT Patent
LA German
FAN.CNT 1
PATENT NO

	PATEN	T NO.			KIN	D	DATE			APPL	ICAT	ION	NO.		D.	ATE	
						-									-		
PI	NO 98	50373			A1		1998	1112	- 1	WO 1	998-	EP23	78		1	9980	422
	и	: AL	, AM,	AT,	ΑU,	AZ,	BA,	BB,	BG,	BR,	BY,	CA,	CH,	CN,	CU,	CZ,	DE,
		DK.	EE,	ES,	FI,	GB,	GE,	GH,	GM,	GW,	HU,	ID,	IL,	is,	JP,	ΚE,	KG,
		KP.	KR,	KZ,	LC,	LK,	LR,	LS,	LT,	LU,	LV,	MD,	MG,	MK,	MN,	MW,	MX,
		NO.	NZ,	PL,	PT,	RO,	RU,	SD,	SE,	ŞG,	SI,	SK,	SL,	TJ,	TM,	TR,	TT,
		UA.	UG,	US,	UZ,	٧N,	ΥU,	ZW,	AM,	AZ,	BY,	KG,	KZ,	MD,	RU,	TJ,	TM
	R	W; GH.	, GM,	KΕ,	LS,	MW,	SD,	SZ,	UG,	Z₩,	AT,	BE,	CH,	CY,	DE,	DK,	ES,
		FI.	FR.	GB,	GR,	IE,	IT,	LU,	MC,	NL,	PT,	SE,	BF,	BJ,	CF,	CG,	CI,
		CM.	, GΑ,	GN,	ML,	MR,	NE,	SN,	TD,	TG							
	DE 19	71905	3		A1		1998	1112		DE 1	997-	1971	9053		1	9970	506

AU 9876465 PRAI DE 1997-19719053 WO 1998-EP2378 AU 1998-76465 19980422

OS GI MARPAT 130:3842

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215798-25-7 CAPLUS Guanidine. N-[2-(4,5-dihydro-1H-1,2,3-triazol-1-yl)-1-methylethyl]-N'-(4-(2-(2,4-dimethylphenoxy)phenyl)-2-thiazolyl)- (CA INDEX NAME)

215798-27-9 CAPLUS Guanidine, N-[4-[2-(2-,4-dimethylphenoxy)phenyl]-2-chiazolyl]-N'-(2-furanylmethyl)- (9C1) (CA INDEX NAME)

215798-28-0 CAPLUS Guanidine, N-[4-[2-(2,4-dimethylphenoxy)phenyl]-2-thiazolyl]-N'-methyl-N''-(tetrahydro-1,1-dioxido-3-thienyl)- (9CI) (CA INDEX NAME)

215798-29-1 CAPLUS

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Guanidine, N-[4-[2-(2,4-dimethylphenoxy)phenyl]-2-thiazolyl]-N'-[4-(4-morpholinyl)butyl]- (CA INDEX NAME)

215798-30-4 CAPLUS
Guanidine, N-(4-{2-(2,4-dimethylphenoxy)phenyl}-2-thiazolyl]-N'-(2-methoxyethyl)- (9CI) (CA INDEX NAME)

215798-31-5 CAPLUS
Guanidine, N-cyclododecyl-N'-[4-[2-(2,4-dimethylphenoxy)phenyl]-2-thiazolyl]- (CA INDEX NAME)

215798-J2-6 CAPLUS
Guanidine, N.[4-(2-(2),4-dimethylphenoxy)phenyl]-2-thiazolyl]-N'-(1-methylethyl)-(5Cl) (CA INDEX NAME)

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215798-37-1 CAPLUS
Guanidine, N-[4-[2-(2.4-dimethylphenoxy)phenyl]-2-thiazolyl]-N'-[2-methyl-3-(1-pyrrolidinyl)propyl]- (CA INDEX NAME)

215798-38-2 CAPLUS Guanidine, N-[4-[2-(2,4-dimethylphenoxy)phenyl]-2-thiazolyl]-N'-[2-methyl-3-(4-morpholinyl)propyl]- (9CI) (CA INDEX NAME)

215798-39-3 CAPLUS
Guanidine, N-[4-[2-(2,4-dimethylphenoxy)phenyl]-2-thiazolyl]-N'-[3-methyl-2-(2-thienyl)butyl]- (CA INDEX NAME)

215799-40-6 CAPLUS Guanidine, N-{4-{2-(2,4-dimethylphenoxy)phenyl}-2-thiazolyl}-N',N''-bis(2-fluoro-1,1-dimethylphenoy)- (GA INDEX NAME)

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215798-33-7 CAPLUS
Guanidine, N-[4-[2-(2,4-dimethylphenoxy)phenyl]-2-thiazolyl]-N'-methyl-N''(1-methylethyl)- (9CI) (CA INDEX NAME)

215798-34-8 CAPLUS Guanidine, N-{4-{2-(2,4-dimethylphenoxy)phenyl}-2-thiazolyl}-N',N''-bis(1-methylethyl)- (9CI) (CA INDEX NAME)

215798-36-0 CAPLUS Guanidine, N-[4-(2-(2), 4-(2))] CAPLUS Guanidine, N-[4-(2-(2), 4-(2))] CAPLUS NAME) Hence NAME)

Absolute stereochemistry.

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215798-42-8 CAPLUS Guanidine, N.M.*-dicyclohexyl-N''-[4-(2-(2,4-dimethylphenoxy)phenyl]-2-thiazolyl]- (CA INDEX NAME)

215798-43-9 CAPLUS Guanidine, N. M'-dicyclopropyl-N''-{4-{2-(2,4-dimethylphenoxy)phenyl}-2-thiazolyl}- (CA INDEX NAME)

215798-44-0 CAPLUS
Guanidine, N-[4-[2-(2,4-dimethylphenoxy)phenyl]-2-thiazolyl]-N',N''-diethyl- (9CI) (CA INDEX NAME)

215798-45-1 CAPLUS
Guanidine, N-[4-[2-(2,4-dimethylphenoxy)phenyl]-2-thiazolyl]-N'-ethyl-N''-methyl- (9CI) (CA INDEX NAME)

215798-46-2 CAPLUS Guantdine, N-(4-[2-(2,4-dimethylphenoxy)phenyl]-2-thiazolyl]-N',N''-diphenyl- (CA INDEX NAME)

215798-47-3 CAPLUS
Guanidine, N-[4-12-(4-chloro-2-methylphenoxy)phenyl]-2-thiazolyl]-N',N''dimethyl- (CA INDEX NAME)

215798-49-5 CAPLUS
Guanidine, N-[4-[2-(4-chloro-2-methylphenoxy)phenyl]-2-thiazolyl]-N',N''-diethyl- (CA INDEX NAME)

215798-50-8 CAPLUS Guanidine, N-(4-[2-(4-chloro-2-methylphenoxy)phenyl]-2-thiazolyl]-N'-ethyl-N'-methyl- (CA INDEX NAME)

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215798-59-7 CAPLUS
Guanidine, N-ethyl-N'-methyl-N''-[4-{2-[2-methyl-4[(trifluoromethyl)thio]phenoxy]phenyl]-2-thiazolyl}- (9CI) (CA INDEX

215798-61-1 CAPLUS Guanidine, N-[4-12-(2,4-dimethylphenoxy)phenyl)-2-thiazolyl|-N',N''-bis(3,3,3-trifluoropropyl)- (CA INDEX NAME)

215798-63-3 CAPLUS
Guanidine, N,N'-dicyclopentyl-N''-{4-{2-(2,4-dimethylphenoxy)phenyl}-2-thiazolyl}- (CA INDEX NAME)

215798-65-5 CAPLUS Guanidine, N-[4-[2-(2,4-dimethylphenoxy)phenyl]-2-thiazolyl]-N',N''-bis[2-(rrifluoromethyl)cyclohexyl]- (9CI) (CA INDEX NAME)

215798-51-9 CAPLUS Guanidine, N-[4-(2-(2,4-dimethylphenoxy)phenyl]-2-thiazolyl]-N'-ethyl-N''-(2,2,2-trifluoroethyl)- (9CI) (CA INDEX NAME)

215798-53-1 CAPLUS Guanidine, N,N'-dimethyl-N''-[4-{2-{2-methyl-4-} {(rrifluoromethyl)thio]phenoxylphenyl}-2-thiazolyl}- (9CI) (CA INDEX NAME)

215798-56-4 CAPLUS
Guanidine, N,N'-diethyl-N''-[4-[2-[2-methyl-4[(trifluoromethyl)thio]phenoxy]phenyl}-2-thiazolyl]- (CA INDEX NAME)

$$\begin{array}{c} \text{NHEt} \\ \text{Et-N} = \begin{array}{c} \text{C-NH} \\ \text{N} \end{array} \end{array}$$

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21578-67-7 CAPLUS

Quanidine, N.-{4-{2-(2,4-dimethylphenoxy)phenyl}-2-thiazolyl}-N',N''-bis[3-(rifluoromethyl)cyclohexyl}- (9Ci) (CA INDEX NAME)

RN CN

215798-69-9 CAPLUS
Guanidine, N-(4-(2-(2,4-dimethylphenoxy)phenyl)-2-thiazolyl)-N'-(3,3,3-trifluoroppyl)- (CA INDEX NAME)

215798-72-4 CAPLUS Guanidine, N-[4-[2-(2,4-dimethylphenoxy)phenyl]-2-thiazolyl]-N'-ethyl-N''-(l-methylethyl)- [9C1] (CA INDEX NAME)

215798-74-6 CAPLUS
Guanidine, N-[4-[2-(2,4-dimethylphenoxy)phenyl]-2-thiazolyl]-N'-ethyl-N''[2-(4-morpholinyl)ethyl]- (9CI) (CA INDEX NAME)

215798-76-8 CAPLUS Guanidine, N-[4-[2-(2,4-dimethylphenoxy)phenyl]-2-thiazolyl]-N'-(2,2,2-trifluoro-1-methylethyl)- {CA INDEX NAME}

215798-78-0 CAPLUS Guanidine, N-(4-12-(2,4-dimethylphenoxy)phenyl]-2-thiazolyl]-N',N''-di-1-pyrrolidinyl-(9Cl) (CA INDEX NAME)

215798-81-5 CAPLUS

Guanidine, N-[4-[2-(2,4-dimethylphenoxy)phenyl]-2-thiazolyl]-N',N''-bis(2-thianylmethyl)- (CA INDEX NAME)

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215798-89-3 CAPLUS Guanidine. N-[4-[2-(2,4-dimethylphenoxy)phenyl)-2-thiazolyl]-N',N''-bis(1H-1,2,4-triazol-3-yl)- (9CI) (CA INDEX NAME)

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 215798-91-7 CAPLUS
CN Guanidine, N-{4-{2-(2,4-dimethylphenoxy)phenyl}-2-thiazolyl]-N',N''-bis(2-methoxyethyl)- (9CI) (CA INDEX NAME)

215798-93-9 CAPLUS Guanidine, N-cyclopentyl-N'-(4-{2-(2,4-dimethylphenoxy)phenyl}-2-thiazolyl|- (CA INDEX NAME)

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215798-83-7 CAPLUS Guanidine, N- $\{4-\{2-\{2,4-\text{dimethylphenoxy}\}\text{ phenyl}\}-2-\text{thiazolyl}\}-N^+,N^+-bis[2-\text{methyl-l-}(2-\text{thienyl})\text{propyl}\}- (CA INDEX NAME)$

PAGE 2-A

215798-86-0 CAPLUS Guanidine, N.N'-dicyclododecyl-N''--[4-[2-(2,4-dimethylphenoxy)phenyl]-2-thizaciyl]- (CA INDEX NAME)

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215798-95-1 CAPLUS
Guanidine, N-[4-[2-(2,4-dimethylphenoxy)phenyl]-2-thiazolyl]-N',N''-bis(2-furanylmethyl)- (9CI) (CA INDEX NAME)

215798-98-4 CAPLUS

215798-99-4 CAPLUS (CYClohexanecarboxamide, 4,4'-[[[4-{2-(2,4-dimethylphenoxy)phenyl]-2-thiazolyl]carbonimidoyl]diimino]bis[N,N-diethyl- |9CI) (CA INDEX NAME)

215799-00-1 CAPLUS
Guanidine, N-(4-[2-(2,4-dimethylphenoxy)phenyl]-2-thiazolyl]-N'-methyl-N''(2-thienylmethyl)- (9CI) (CA INDEX NAME)

215799-02-3 CAPLUS
Guanidine, N-cyclododecyl-N'-{4-[2-(2,4-dimethylphenoxy)phenyl]-2-thiazolyl]-N''-ethyl- (9CI) (CA INDEX NAME)

215799-04-5 CAPLUS
Cyclohexanecarboxamide, 4-[[[[4-{2-(2,4-dimethylphenoxy)phenyl]-2-thiazoly!]amino](ethylamino]methylene]amino]-N,N-diethyl- (9CI) (CA INDEX NAME)

215799-06-7 CAPLUS
Guanidine, N-cyclododecyl-N'-[4-[2-(2.4-dimethylphenoxylphenyl]-2-thiazolyl]-N'-methyl- (9CI) (CA INDEX NAME)

215799-15-8 CAPLUS
Guanidine, N-cyclopentyl-N'-(4-[2-(2,4-dimethylphenoxy)phenyl]-2-thiazolyl]-N''-ethyl- (9CI) (CA INDEX NAME)

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215799-17-0 CAPLUS
Guanidine, N-[4-(2-(2,4-dimethylphenoxy)phenyl]-2-tniazolyl]-N'-metnyl-N''[i-metnyl-2-(1-pytrolidinyl)etnyl]- (90) (CA INDEX NAME)

215799-19-2 CAPLUS
Guanidine, N-[4-[2-(2,4-dimethylphenoxy)phenyl]-2-thiazolyl]-N'-methyl-N''-2-propynyl- (9CI) (CA INDEX NAME)

215799-23-8 CAPLUS Guanidine, N-[4-(2-(2,4-dimethylphenoxy)phenyl]-2-thiazolyl]-N'-ethyl-N''-2-propynyl- (9CI) (CA INDEX NAME)

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215799-08-9 CAPLUS Guanidine. N-(4-(2-(2,4-dimethylphenoxy) phenyl)-2-thiazolyl]-N'-ethyl-N''-(2-furanylmethyl)- (9Cl) (CA INDEX NAME)

215799-10-3 CAPLUS
Guanidine, N-[4-[2-(2,4-dimethylphenoxy)phenyl]-2-thiazolyl]-N'-ethyl-N''[1-methyl-2-(4-morpholinyl)ethyl]- (9CI) (CA INDEX NAME)

215799-13-6 CAPLUS
Guanidine, N-[4-{2-{2,4-dimethylphenoxy}phenyl}-2-thiazolyl}-N'(methoxymethyl)-N''-methyl- (9CI) (CA INDEX NAME)

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215799-25-0 CAPLUS Guanidine, N. (4-[2-(2,4-dimethylphenoxy)phenyl]-2-thiazolyl]-N'-ethyl-N''-H-tetrazol-5-yl- (9c1) (CA INDEX NAME)

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

OR MOME INVIOUSETE DUCINE SUMBS NOT INSTRUCTED IN THE STRUCTURE 215799-27-2 CAPLUS Guanidine, N-14-[2-(2,4-dimethylphenoxy)phenyl]-2-thiazolyl]-N'-ethyl-N'-(2-thienylmethyl)- [9CI] (CA INDEX NAME)

215799-29-4 CAPLUS Guanidine, N-(4-[2-(2,4-dimethylphenoxy)phenyl]-2-thiazolyl]-N'-(2-hydroxyethyl)- (9CI) (CA INDEX NAME)

215799-32-9 CAPLUS
Guanidine, N-[4-[2-(2,4-dimethylphenoxy)phenyl]-2-thiazolyl]-N'-(2-methylpropyl)- (CA INDEX NAME)

215799-34-1 CAPLUS
Guanidine, N-[4-[2-(2,4-dimethylphenoxy)phenyl]-2-thiazolyl]-N'-(2,2-dimethylpropyl)- (9CI) (CA INDEX NAME)

215799-36-3 CAPLUS
Guanidine, N-[4-[2-(2,4-dimethylphenoxy)phenyl]-2-thiazolyl]-N'-(3-methoxypropyl)- (9CI) (CA INDEX NAME)

215799-38-5 CAPLUS
Guanidine, N-[3-(diethylamino)propyl]-N'-[4-[2-(2,4-dimethylphenoxy)phenyl]-2-thiazolyl]- (CA INDEX NAME)

$$\begin{array}{c} \text{NH} \\ \text{Et}_2\text{N-} \left(\text{CH}_2\right)_3 - \text{NH} - \begin{pmatrix} \text{NH} \\ - \text{NH} \\ \text{S} \end{pmatrix} \\ \end{array}$$

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215799-50-1 CAPLUS Guanidine, N- $\{4-\{2-(2,4-\text{dimethylphenoxy})\text{phenyl}\}-2-\text{thiazolyl}\}-N',N''-bis [2-fluoro-1,1-bis(fluoromethyl)ethyl}- (9CI) (CA INDEX NAME)$

215799-52-3 CAPLUS
Guanidine, N-[4-[2-(2,4-dimethylphenoxy)phenyl]-2-thiazolyl]-N',N''-bis(1-methyl-2-(4-morpholinyl)othyl]- (SCI) (CA INDEX NAME)

215799-54-5 CAPLUS
Guanidine, N,N'-bis(2,2-difluoro-1-methylcyclopropyl)-N''- [4-[2-(2,4-dimethylphenoxy)phenyl]-2-thiazolyl]- (CA INDEX NAMB)

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215799-41-0 CAPLUS Guanidine, N-[4-(2-(2,4-dimethylphenoxy)phenyl]-2-thiazolyl]-N'-(2-thienylmethyl)- (CA INDEX NAME)

215799-43-2 CAPLUS Guanidine, N-(2-(diethylamino)ethyl]-N'-(4-(2-(2,4-dimethylphenoxy)phenyl)-2-thiazolyl)- (CA INDEX NAME)

215799-45-4 CAPLUS
Guanidine, N-[3-(dimethylamino)propyl]-N'-[4-[2-(2,4-dimethylphenoxy)phenyl]-2-thiazolyl]- (CA INDEX NAME)

215799-47-6 CAPLUS
Guanidine, N-(1-bicyclo{2.2.1}hept-2-ylethyl)-N'-[4-{2-(2,4-dimethylphenoxy)phenyl}-2-thiazolyl]- (CA INDEX NAME)

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215799-56-7 CAPLUS

Guanidine, N-[4-[2-(2,4-dimethylphenoxy)phenyl]-2-thiazolyl]-N',N''-bis[1-methyl-2-(1-pyrrolidinyl)ethyl]- (CA INDEX NAME)

215799-60-3 CAPLUS Cyclohexanecarboxamide, 4-[[[[4-[2-(2,4-dimethylphenoxy)phenyl]-2-thiazolyl]amino](methylamino)methylene]amino]-N,N-diethyl- (9CI) (CA INDEX NAME)

215799-62-5 CAPLUS Guanidine, N-[4-[2-(2,4-dimethylphenoxy)phenyl]-2-thiazolyl]-N'-(2-furanylmethyl)-N'-methyl- (9CI) (CA INDEX NAME)

215799-64-7 CAPLUS

Guanidine, N-[4-[2-{2.4-dimethylphenoxy}phenyl]-2-thiazolyl]-N'-methyl-N''
[1-methyl-2-(4-morpholinyl)ethyl]- (9CI) (CA INDEX NAME)

215799-66-9 CAPLUS Guanidine, N.N'-dicyclopentyl-N''-(4-{2-(2,4-dimethylphenoxy)phenyl}-2-thiazolyl]-, mononydrobromide (9CI) (CA INDEX NAME)

215799-68-1 CAPLUS Guanidine. [4-[2-(4-chloro-2-methylphenoxy)phenyl]-2-thiazolyl]- (9CI) (CA INDEX NAME)

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215799-80-7 CAPLUS Guanidine, N-(2,2-dimethoxyethyl)-N'-[4-[2-(2,4-dimethylphenoxy)phenyl]-2-thiazolyl]- (CA INDEX NAME)

215799-83-0 CAPLUS Guanidine, N-(4-(2-(2,4-dimethylphenoxy)phenyl)-2-thiazolyl)-N'-(2-methylbucyl)- (9Cl) (CA 1NDEX NAME)

$$\mathsf{E}_{\mathsf{L}} - \mathsf{C}_{\mathsf{H}-\mathsf{C}} + \mathsf{C}_{\mathsf{H}_2-\mathsf{NH}} - \mathsf{C}_{\mathsf{H$$

215799-85-2 CAPLUS
Guanidine, N-[4-[2-(2,4-dimethylphenoxy)phenyl]-2-thiazolyl]-N'-(1,1-dimethylphopyl)- (9c1) (CA INDEX NAME)

215799-87-4 CAPLUS Guanidine, N. (4-12-(2,4-dimethylphenoxy)phenyl]-2-thiazolyl}-N'-(tricyclo[3,3.1.13,7]dec-1-ylmethyl)- (CA INDEX NAME)

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134 of 236 215799-70-5 CAPLUS
Guanidine, (4-[2-[2-methyl-4-[(trifluoromethyl)thio]phenoxy]phenyl]-2thiazolylj- (9CI) (CA INDEX NAME)

215799-73-8 CAPLUS

Guanidine, N:[4-12-(4-chloro-2-methylphenoxy)phenyl]-2-chiazolyl]-N'-{1-methyl-2-(1-piperidinyl)ethyl}- (CA INDEX NAME)

215799-75-0 CAPLUS Guanidine, N-[1-methyl-2-(1-piperidinyl)ethyl]·N'-[4-[2-[2-methyl-4-[(trifluoromethyl)thio]phenoxylphenyl]-2-thiazolyl]- (9CI) (CA INDEX NAME)

215799-77-2 CAPLUS Guanidine, N-[4-[2-(2,4-dimethylphenoxy)phenyl]-2-thiazolyl]-N'-([octahydro-4,7-methano-1H-inden-5-yl)methyl]- (CA IMDEX NAME)

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215799-90-9 CAPLUS
Guanidine, N-[4-(2-(2),4-dimethylphenoxy)phenyl]-2-thiazolyl]-N'-(3,3,5-trimethylcyclohexyl)- (CA INDEX NAME)

215799-92-1 CAPLUS Guanidine, N-(4-[2-(2,4-dimethylphenoxy)phenyl)-2-thiazolyl]-N'-(3-methylcylchobexyl)- (9C1) (CA INDEX NAME)

215799-94-3 CAPLUS
Guanidine, N-cyclobutyl-N'-[4-[2-(2,4-dimethylphenoxy)phenyl]-2-thiazolyll(CA INDEX NAME)

Guanidine, N-[4-[2-(2,4-dimethylphenoxy)phenyl]-2-thiazolyl]-N'-[3-(4-morpholinyl)butyl]- (CA INDEX NAME)

215799-98-7 CAPLUS Guanidine, N- $\{4-\{2-\{2,4-dimethylphenoxy\}phenyl\}-2-thiazolyl\}-N'- \{3-\{2-methylphenoxy\}propyl\}- (CA INDEX NAME)$

215800-00-3 CAPLUS Guanidine, N-[4-[2-(2,4-dimethylphenoxy)phenyl]-2-thiazolyl]-N'-(1-methylhexyl)- (9CI) (CA INDEX NAME)

215800-02-5 CAPLUS Guaridine, N-bicyclo[2.2.1]hept-2-yl-N'-[4-[2-(2,4-dimethylphenoxy)phenyl]-2-thiazolyl]- (CA INDEX NAME)

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215800-14-9 CAPLUS
Guanidine, N-(decahydro-2-naphthalenyl)-N'-{4-[2-(2,4-dimethylphenoxy)phenyl]-2-thiazolyl}- (CA INDEX NAME)

215800-17-2 CAPLUS Guanidine, N-[3-(diethylamino)butyl]-N'-[4-{2-(2,4-dimethylphenoxy)phenyl]-2-thiazolyl}- (CA INDEX-NAME)

215800-19-4 CAPLUS
Guanidine, N-[4-{2-(2,4-dimethylphenoxy)phenyl}-2-thiazolyl]-N'-[3-[(3-methylpentyl)oxy]propyl}- (9CI) (CA INDEX NAME)

215800-21-8 CAPLUS
Guanidine, N-(decahydro-1,4:5,8-dimethanonaphthalen-2-yl)-N'-[4-[2-(2,4-dimethylphenoxy)phenyl]-2-thiazolyl]- (9CI) (CA INDEX NAME)

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215800-04-7 CAPLUS
Guanidine, N-{2,2-dimethoxy-1-methylethyl}-N'-{4-{2-{2,4-dimethylphenoxy}phenyl}-2-thiazolyl}- (CA INDEX NAME)

215800-07-0 CAPLUS
Guanidine, N-[4-[2-(2,4-dimethylphenoxy)phenyl]-2-thiazolyl]-N'-2-propenyl[9CI) (CA IMDEX NAME)

$$\mathbf{H}_{2}\mathbf{C} = \mathbf{C}\mathbf{H} - \mathbf{C}\mathbf{H}_{2} - \mathbf{N}\mathbf{H} - \mathbf{C} - \mathbf{N}\mathbf{H} - \mathbf{N} - \mathbf{N}\mathbf{H} - \mathbf{H}_{2}\mathbf{C} = \mathbf{C}\mathbf{H}_{2} - \mathbf{N}\mathbf{H} - \mathbf{C}\mathbf{H}_{2} - \mathbf{N}\mathbf{H} - \mathbf{C}\mathbf{H}_{2}\mathbf{H} - \mathbf{C}\mathbf{H}$$

215800-10-5 CAPLUS
Guanidine, N-(2,6-diethylcyclohexyl)-N'-[4-[2-(2,4-dimethylphenoxy)phenyl]-2-thiazolyl]- (CA INDEX NAME)

215800-12-7 CAPLUS
Guanidine, N-[3-(cyclohexylethylamino)propyl]-N'-[4-[2-(2,4-dimethylphenoxy)phenyl]-2-thiazolyl]- (CA INDEX NAME)

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215800-23-0 CAPLUS
Guanidine, N-[1,1'-bicyclohexyl]-2-yl-N'-[4-[2-(2,4-dimethylphenoxy)phenyl]-2-thiazolyl}- (CA INDEX NAME)

215800-27-4 CAPLUS
Guanidine, N-(4-[2-(2,4-dimethylphenoxy)phenyl]-2-thiazolyl]-N'-(3-ethoxy-1-methylpropyl)- (9CI) (CA INDEX NAME)

215800-29-6 CAPLUS
Guanidine, N-[4-[2-(2,4-dimethylphenoxy)phenyl]-2-thiazolyl]-N'-(3-methoxy-2-methylpropyl)-(GCI NDEX NAME)

215800-31-0 CAPLUS
Cysteine, N-{[{4-{2-(2,4-dimethylphenoxy)phenyl}-2-thiazolyl}amino)iminomethyl}-S-methyl- (CA INDEX NAME)

215800-33-2 CAPLUS
Guanidine, N:[4-[2-(2,4-dimethylphenoxy)phenyl]-2-thiazolyl]-N'-(3-ethoxy-2-methylpropyl)- (9C1) (CA INDEX NAME)

 $\label{lem:condition} \begin{array}{lll} 215800 \cdot 36 \cdot 5 & \text{CAPLUS} \\ \text{Cuanidine, N-2-azabicyclo[2.2.2]oct-2-yl-N'-\{4-\{2-\{2,4-dimethylphenoxy\}phenyl\}-2-thiazolyl\}-} & \text{(CA INDEX NAME)} \\ \end{array}$

215800-38-7 CAPLUS Guanidine, N-(1,3-dimethylbutyl)-N'-[4-(2-(2,4-dimethylphenoxy)phenyl]-2-thiazolyll- (CA INDEX NAME)

RN 215800-40-1 CAPLUS

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215800-49-0 CAPLUS Guanidine, N-[4-[2-(2,4-dimethylphenoxy)phenyl]-2-thiazolyl]-N'-(2-methylcyclohexyl) - (9Cl) (CA IMDEX NAME)

215800-52-5 CAPLUS
Guanidine, N-[4-(diechylamino)-1-methylbutyl]-N'-[4-[2-(2,4-dimethylphenoxy)phenyl]-2-thiazolyl]- (CA INDEX NAME)

215800-54-7 CAPLUS
Guanidine, N-[4-[2-(2,4-dimethylphenoxy)phenyl]-2-thiazolyl]-N'[(tetrahydro-2H-pyran-3-yl)methyl]- (CA INDEX NAME)

215800-56-9 CAPLUS Guaridine, N-[4-[2-[4-chloro-2-methylphenoxy]phenyl]-2-thiazolyl]-M'-[3-[4-morpholinyl]propyl]- (CA INDEX NAME)

10576830-103

Guanidine, N-{4-{2-(2,4-dimethylphenoxy)phenyl}-2-thiazolyl}-N'-(1,2-dimethylpropyl)- (9CI) (CA INDEX NAME)

215800-42-3 CAPLUS Guanidine, N. (2-[bis(1-methylethyl)amino]ethyl]-N'-{4-{2-(2,4-dimethylphenoxy)phenyl]-2-thiazolyl]- (CA INDEX NAME)

215800-45-6 CAPLUS Guandidne. N-{4-[2-(2,4-dimethylphenoxy)phenyl]-2-thiazolyl]-N'-{(1-ethyl-2-pyrrolidinyl)methyl]- (9Cl) (CA INDEX NAME)

215800-47-8 CAPLUS

Guanidine, N-[4-[2-(2,4-dimethylphenoxy)phenyl]-2-thiazolyl]-N!-(2-ethyl-6-methylcyclohexyl)- (9CI) (CA INDEX NAME)

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215800-58-1 CAPLUS Guanidine, N-[4-(2-(2,4-dimethylphenoxy)phenyl]-2-thiazolyl]-N'-[1-methyl-2-(3-methyl-1-piperidinyl)ethyl]- (9Cl) (CA INDEX NAME)

215800-61-6 CAPLUS Guaridine, N.-[4-12-(2,4-dimethylphenoxy)phenyl]-2-thiazolyl]-N'-[1-methyl-2-(4-methyl-1-piperidinyl)ethyl]- (9Cl) (CA INDEX NAME)

215800-63-8 CAPLUS Guanidine, N-(2,3-dimethylcyclohexyl)-N'-{4-[2-(2,4-dimethylphenoxy)phenyl]-2-thiazolyl]- (CA INDEX NAME)

215800-65-0 CAPLUS Guanidine, N-[4-[2-(2,4-dimethylphenoxy)phenyl]-2-thiazolyl]-N'-[1,2,2,6,6-pentamethyl-4-piperidinyl]- (CA INDEX NAME)

215800-67-2 CAPLUS
Guanidine, N-cylooctyl-N'-[4-[2-(2,4-dimethylphenoxy)phenyl]-2-thiazolyl)(CA INDEX NAME).

215800-69-4 CAPLUS Guanidine, N-[4-(1,1-dimethylethyl) cyclohexyl]-N'-[4-[2-(2,4-dimethylphenoxy)phenyl]-2-thiazolyl]- (CA INDEX NAME)

215800-72-9 CAPLUS Guanidine, N-cycloheptyl-N'- (4-{2-(2,4-dimethylphenoxy)phenyl}-2-thiazolyll- (CA INDEX NAME)

215800-74-1 CAPLUS Guanidine, N- $\{4-\{2-(2,4-dimethylphenoxy)phenyl\}-2-thiazolyl\}-N'-\{3-(1-piperidinyl)pentyl\}- (CA INDEX NAME)$

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215800-82-1 CAPLUS
Guanidine, N-[4-[2-(2,4-dimethylphenoxy)phenyl]-2-thiazolyl]-N'tricyclo[2.2.1.02,6]hept-3-yl- (9CI) (CA INDEX NAME)

215800-84-3 CAPLUS
Guanidine, N-[2-(3-azabicyclo[3.2.2]non-3-yl)-1-methylethyl]-N'-[4-[2-(2,4-dimethylphenoxy)phenox]-2-thiazolyl]- (CA INDEX NAME)

215800-86-5 CAPLUS
Guanidine, N-[4-[2-(2,4-dimethylphenoxy)phenyl]-2-thiazolyl]-N'-[2-(1-methyl-2-pyrrolidinyl)ethyl]- (CA IMDEX NAME)

215800-88-7 CAPLUS 1-Piperidinecarboxylic acid, 4-{[[{4-{2-(2,4-dimethylphenoxy)phenyl}-2-thiazolyl]amino]iminomethyl]amino}-, ethyl ester (CA INDEX NAME)

BLO-

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215800-76-3 CAPLUS Guanidine, N- $\{4-\{2-\{2,4-dimethylphenoxy\}phenyl\}-2-thiazolyl\}-N'-\{4-ethoxycyclohexyl\}- \{9CI\}$ (CA INDEX NAME)

215800-78-5 CAPLUS
Guanidins, N-[4-[2-(2,4-dimethylphenoxy)phenyl]-2-thiazolyl]-N'-[2-(4-morpholinyl)-1-(4-morpholinylmethyl)ethyl]- (CA INDEX NAME)

215800-80-9 CAPLUS Guanidine, N-[4-[2-(2,4-dimethylphenoxy)phenyl]-2-thiazolyl]-N'-[1-(1-piperidinylmethyl)propyl]- (CA INDEX NAME)

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215800-90-1 CAPLUS Guanidine, N- $\{4-\{2-(2,4-dimethylphenoxy)phenyl\}-2-thiazolyl\}-N'-\{2-(3,4-dimethyl-1-piperidinyl)-1-methylethyl]- (CA INDEX NAME)$

215800-92-3 CAPLUS
Guanidine, N. [4-[2-[2-methyl-4-[(trifluoromethyl)thio]phenoxy]phenyl]-2thiazolyl].N-[3-(4-morpholinyl)propyl]- (CA INDEX NAME)

215800-94-5 CAPLUS
Guanidine, N-(4-[2-(2,4-dimethylphenoxy)phenyl]-2-thiazolyl]-N'-(3-methylbutyl)- (9CI) (CA INDEX NAME)

215800-96-7 CAPLUS Guanidine, [4-[2-(2,4-dimethylphenoxy)phenyl]-2-thiazolyl]- (9CI) (CA IMDEX NAME)

215800-98-9 CAPLUS
1-Piperazinecarboximidamide, N-(4-(2-(2,4-dimethylphenoxy)phenyl)-2-thiazolyl)-4-methyl- (CA INDEX NAME)

215801-00-6 CAPLUS
1-Piperidinecarboximidamide, N-[4-[2-(2,4-dimethylphenoxy)phenyl]-2-thiazolyl]-4-(hydroxymethyl)- (CA INDEX NAME)

215801-02-8 CAPLUS Guanidine, N-[4-[2-(2,4-dimechylphenoxy)phenyl]-2-thiazolyl]-N'-[3-(1H-pyrrol-1-yl)propyl)- (CA INDEX NAME)

215901-04-0 CAPLUS
2(1H)-Isoquinolinecarboximidamide, N-{4-{2-(2,4-dimethylphenoxy)phenyl}-2-thiazolyl}-3,4-dihydro- (CA INDEX NAME)

1057	6830-	-103						51 o	f 236			•							
PI	WO !	9840	364			A1		1998	0917		WO 1	998-1	US39	26		1	9980	306	
		W :	AL,	AM,	AT,	ΑŬ,	AZ,	BA,	BB,	BG,	ER,	BY,	CA,	CH,	CN,	CU,	CZ,	DE,	
			DK,	EE,	ES,	FI,	GB,	GE,	GH,	GM,	GW,	ΗU,	ID,	IL,	IS,	JP,	ΚE,	KG,	
			ΚP,	KR,	KZ,	LC,	LK,	LR,	LS,	LT,	LU,	LV,	MD,	MG,	MK,	MN,	MW,	MX,	
			NO,	NZ,	PL,	PŤ,	RO,	RU,	SD,	SE,	SG,	SI,	SK,	SL,	TJ,	TM.	TR,	TT,	
			UA,	UG,	US,	UZ,	VN,	YU,	ZW										
		RW:	GH,	GM,	KE,	LS,	MW,	SD,	SZ,	UG,	ZW,	AT,	BE,	CH,	DE,	DK,	ES,	FI,	
			FR,	GB,	GR,	IE,	IT,	LU,	MC,	NL,	PT,	SE,	BF,	BJ,	CF,	ÇG,	CI,	CM,	
			GA,	GN,	ML,	MR,	NE,	SN,	TD,	TG									
	US !	5925	654			Α		1999	0720	1	US 1	997-	8156	96		1	9970	312	
	CA :	2282	349			A1		1998	0917		CA 1	998-	2282	349		1	9980	306	
	AU !	9866	731			A		1998	0929		AU 1	998-	6673	1		1	9980	306	
	EP .	9700	60			A1		2000	0112		EP 1	998-	9087	86		1	9980	306	
		R:	AT,	BE,	CH,	DE,	DΚ,	£S,	FR,	GB,	GR,	IT,	LĪ,	LU,	NL,	SE,	PΤ,	ΙE,	ΓÏ
	JP:	2001	5154	90		Т		2001	0918		JP 1	998-	5396	03		1	9980	306	
	US (6265	433			B1		2001	0724	-	US 1	999-	3123	94		1	9990	514	
PRA1	US	1997	- 815	696		Α		1997	0312										
	WO	1998	·US3	926		W		1998	0306										
os	MAR	PAT	129:	2451	39														

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The title compds. I [A = Ph, etc.; B = 0, etc.; n = 2 - 4; R1 = H, alkyl; R2 = (CH2)mR3; m = 1 - 3; R3 = CO2R4; R4 = H, alkyl, etc.; further details on R1 and R2 are given) are prepared I are useful in the treatment of inflammatory diseases which are mediated by LTB4 production, such as psoriasis, ulcerative colitis, inflammatory bowel disease and asthma. Oxazole derivative II showed

colitis, infilammatory bowel disease and asthma. Oxazole derivative II show 1C50 of 0.43 µM in a recombinant human LTA4 hydrolase inhibition assay. 513315-24-3P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological actudy, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of LTA4 hydrolase inhibitors)
213315-24-3 CAPLUS
B-Alanine, N-methyl-N-[3-[4-(4-(2-thiazolyl)phenoxyl)phenoxyl)propyl]-(CA INDEX NAME)

215801-06-2 CAPLUS
Guanidine, N-[2-(dimethylamino)ethyl]-N'-[4-{2-(2,4-dimethylphenoxy)phenyl]-2-thiazolyl]- (CA INDEX NAME)

215801-09-5 CAPLUS Guanidine, [4-{2-(4-cyclohexylphenoxy)phenyl}-2-thiazolyll- (9CI) (CA INDEX NAME)

THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 50 OF 104 CAPLUS COPYRIGHT 2007 ACS ON STN 1998:621200 CAPLUS <u>Full-text</u> 129:245139

129:245139
Preparation of LTA4 hydrolase inhibitors
Chen, Barbara B., Chen, Helen; Russell, Mark A.; Miyashiro, Julie M.;
Malecha, James N.; Penning, Thomas D.
G.D. Searle and Co., USA
PCT Int. Appl., 51 pp.
CODEN: PIXXD2 TI IN

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NT 1 PATENT NO. KIND DATE APPLICATION NO. DATE

10576830-103

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Z11315-30-0P Z13315-40-3P Z12315-41-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(preparation of LTA4 hydrolase inhibitors)
Z13315-39-0 CAPLUS
Thiazole, 2-{4-(4-methoxyphenoxy)pheny1}- (CA INDEX NAME)

213315-40-3 CAPLUS Phenol, 4-[4-(2-thiazolyl)phenoxy]- (CA INDEX NAME)

213315-41-4 CAPLUS Propanenitrile, 3-(methyl[3-[4-[4-(2-thiazolyl)phenoxy]phenoxy]propyl]amin ol- (CA INDEX NAME)

RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 51 OF 104 CAPLUS COPYRIGHT 2007 ACS ON STN 1998:572653 CAPLUS FUll-text

N 129:290086
T1 Synthesis of 4.4'-disubstituted 2,2'-dithiazolyls Silin, A. V., Gorobets, N. Yu., Ismail, Omar M. S., Ukraine

Visnik Kharkivs'kogo Universitetu (1997), 395, 264-2 CODEN, VERGAL. 129:290086
Synthesis of 4,4'-disubstituted 2,2'-dithiazolyls
silin, A. V., Gorobets, N. Yu., Ismail, Omar M. S., Nikitchenko, V. M.
Ukraine

Ukraine Vianik Kharkivs'kogo Universitetu (1997), 395, 264-273 CODEN: VKSGA3; ISSN: 0453-8048 Kharkivs'kii Derzhavnii Universitet Journal Russian

Sym. 4,4'-disubstituted 2,2'-dithiazoles and 4-substituted 2-thiocarbamidothiazoles have been synthesized by condensation of 3-(bromoacety)lcoumarins and aromatic a-halo ketones with dithiooxamide. The optimal conditions for obtaining 2- thiocarbamidothiazoles have been found. Nonsym. 4,4'-disubstituted 2,2'-dithiazoles have been obtained from 2-thiocarbamidothiazoles. More than fifty new compds. are obtained.

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of)
4072-66-6 CAPLUS
2.2--Bithiazole, 4.4'-bis(4-phenoxyphenyl)- (9CI) (CA INDEX NAME)

ANSWER 52 OF 104 CAPLUS COPYRIGHT 2007 ACS on STN
1998-424227 CAPLUS Full-text
129:95491
Preparation of N-{(substituted five-membered heteroaryl)carbonyl]guanidine
derivatives as Na-/He exchanger inhibitors
Okazaki, Toshio; Kikuchi, Kazumi, Sugasawa, Keizo; Kaku, Hidetaka;
Takanashi, Masahiro
Yamanouchi Pharmaceutical Co., Ltd., Japan; Merck Patent G.m.b.H.
PCT Int. Appl., 58 pp.
CODEN: PIXXD2
PATENT
Japanese

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FAN.	CNT	1																
	PAT	TENT	NO.			KIN	D	DATE			APPL	ICAT	ION	NO.		D.	ATE	
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PI	WO	9827	061			A1		1998	0625		WO 1	997-	JP46	05		1	9971	215
		₩:	AL.	AM,	AU,	AZ,	BA,	BB,	BG,	BR,	BY,	CA,	CN,	CU,	CZ,	EE,	GE,	GH,
			GW,	HU,	ID,	IL,	IS,	JP,	KĖ,	KG,	KR,	KZ,	LC,	LK,	LR,	LS,	LT,	LV,
			MD.	MG,	MK.	MN,	MW.	MX.	NO,	NZ,	PL,	RO,	RU,	SD,	SG,	SI,	SK,	gL,
			TJ.	TM.	TR.	TT.	UA,	UG,	US,	UZ,	VN,	YU,	ZW					
		RW:	GH.	GM.	KE.	LS.	MW.	SD,	SZ.	UG.	ZW.	AT.	BE.	CH,	DE,	DK,	ES.	FI,
			FR,	GB,	GR,	IE,	IT,	LU,	MC,	NL,	PT,	SE,	BF,	BJ,	CF,	CG,	CI,	CM,
			GA.	GN,	ML.	MR,	NE.	SN,	TD,	TG								
	IN	1997	DE03	414		A		2005	0311		IN 1	997-	DE34	14		1	9971	127
	ZA	9711	102			Α		1998	0813		ZA 1	997-	1110	2		1	9971	210
	ΑU	9854	119			Α		1998	0715		AU 1	998-	5411	9		1	971	215
PRAI	JΡ	1996	-335	638		A		1996	1216									
	WO	1997	-JP4	605		W		1997	1215									
05	MAF	PAT	129:															

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THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT RE, CNT 8

ANSWER 53 OF 104 CAPLUS COPYRIGHT 2007 ACS ON STN 1998:269474 CAPLUS <u>Full-text</u> 128:321451 Preparation of alkenecarboxylic acid derivatives as pesticides

Muller, Urs Novartis A.-G., Switz.; Muller, Urs PCT Int. Appl., 104 pp.

CODEN: PIXXD2 Patent

	English	1														
	PATENT	NO.	•	KI	ND	DATE			APPL:	CAT	ION I	NO.		D	ATE	
										• • • •						
PI	WO 981	7631		A	2	1998	0430	1	WO 15	97-	EP58	57		1:	9971	023
	WO 9817	7631		A	3	1998	0618									
	W:	AL,	AM, A	AT, AU	, AZ,	BA,	BB,	BG,	BR,	BY,	CA,	CH,	CN,	Cυ,	CZ,	DE,
		DK,	EE, E	ES, FI	GB,	GE,	GH,	HU,	ID,	IL,	IS,	JP,	KE,	KG,	KP,	KR,
		KZ,	LC, I	LK, LR	LS,	LT,	LU,	LV,	MD,	MG,	MK,	MN,	MW,	MX,	NO,	NZ,
		PL,	PT, F	RO, RU	, SD,	SE,	SG,	SI,	SK,	SL,	TJ,	TM,	TR,	TT,	UA,	UG,
		US, 1	UZ, 1	VN, YU	, ZW,	gz,	BE,	FR,	GR,	IE,	IT,	MC,	NL,	BF,	BJ,	CF,
		CG,	cr, c	CM, GA	GN,	ML,	MR,	NE,	SN,	TD,	TG					
	RW:	GH,	KE, I	LS, MW	SD,	SZ,	UG,	ZW,	AT,	BE,	CH,	DE,	DK,	ES,	FI,	FR,
		GB, (GR, I	IE, IT	, LU,	MC,	NL,	PT,	SE,	BF,	BJ,	CF,	CG,	CI,	CM,	GA,
		GN, I	ML, P	MR, NE	, SN,	TD,	TG									
	AU 9866	3116		A		1998	0515		AU 19	98-	6811	6		19	9971	23
PRAI	CH 1996	-2599		A		1996	1023									

10576830-103

$$R^{1} = Y \xrightarrow{X} \begin{array}{c} \text{CON=C (NH_{2}) NH}_{2} \\ \text{R}^{2} & \text{I} \end{array}$$

$$Q = \begin{array}{c} X^{1} \\ \text{N} \end{array} \qquad Q^{1} = \begin{array}{c} X^{2} \\ \text{N} \end{array} \qquad Q^{2} = \begin{array}{c} \text{Ph} \\ \text{N} \end{array} \qquad Q^{2} = \begin{array}{c} \text{ON=C (NH}_{2}) NH}_{2} \\ \text{Me} \end{array}$$

154 of 236

N-[(Substituted five-membered heteroaryl)carbonyl]guanidine derivs. represented by general formula (I) or pharmacol. acceptable salts thereof [wherein the five-membered heteroaryl ring represents 0 or 01 (wherein X1 represents oxygen, sulfur, or NRJ, and X2 represents nitrogen or CR4); R1 represents optionally substituted aryl or optionally substituted five- or six-membered monocyclic heteroaryl; R2 represents hydrogen, halogeno. optionally protected amino, provided that when the R1-substituted five-membered heteroaryl ring is Q2, R2 is neither hydrogen nor etchoxy, and R3 and R4 each represents hydrogen or optionally halogen-substituted lower alkyl] are prepared They are useful as a drug, especially an Na-/H+ exchanger inhibitor, for the prevention, treatment, or diagnosis of various diseases in which an Na-/H+ exchanger participates, such as hypertension, aritythmia, angina pectoris, myocardial infarct, organ damages caused by iachemia or ischemic reperfusion, cell proliferative diseases (e.g. arteriosalerosis and cancer), and disorders caused by high blood sugar (e.g. complications of diabetes). Thus, imidazole was treated with NaH in DMF at room temperature for 30 min and then stirred with Ez = 13- (2-bromecthoxy) phenyl]-4-methylthiazole-5-carboxylate at 70° for 3 h followed by heating with quanidine hydrochloride in the presence of NaH at 80° for 3 h to give the title compound, ([Mindazolythmethoxy)phenyl]thiazolecarbonylguanidine derivative (II). The tile compound, 1 in vitro inhibited Na-/H+ exchanger with Ki of from 10-6 to 10-8.

209537-51-3P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of N-((substituted five-membered heteroary))carbonyl]guanidine derivs, as Na-/H- exchanger inhibitors for treatment of diseases)
209537-51-9 CAPLUS
5-Thiazolecarboxamide, N-(aminoiminomethyl)-2-[4-[4-[(dimethylamino)methyl]phenoxy]phenyl]-4-methyl-, dihydrochloride (9CI)
(CA INDEX NAME)

10576830-103 156 of 236 19971023 WO 1997-EP5857 MARPAT 128:321451

The title compds. [I, R1 * H, C1-5 alkyl, C3-6 alkenyl, etc.; R2 * C1-5 alkyl, C1-3 alkoxy-C1-5 alkyl, C3-6 alkenyl, etc., R3, R4 * H, C1-5 alkyl, C1-3 alkoxy-C1-5 alkyl; A * kettmino, aldimino; X * O, NH, NR9 (wherein R9 * H, C1-5 alkyl) and their possible isomers and mixts. Of isomers, having plant-protecting properties and are suitable for the protection of plants against infestation by phytopachogenic microorganisms, were prepared Thus, treatment of 3-(3-chlorobenzyloxy)acetophenone oxime with NaH in DMF followed by the addition of 4-chloro-3-methoxypent-2-enecatobxylic acid Me ester in DMF and K1 afforded the title compound [E]-II. Compds. I showed a good action against, e.g., Phytophthora infestans on tomatoes.

20c653-21-62
RL: RCT (Reactant) SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(Reactant or reagent)
(preparation of alkenecarboxylic acid derivs. as pesticides)

206653-21-6 CAPLUS Ethanone, 1-{2-(4-phenoxyphenyl)-4-thiazolyl}-, oxime (CA INDEX NAME)

L11 ANSMER 54 OF 104 CAPLUS COPYRIGHT 2007 ACS ON STN
AN 1997:265450 CAPLUS <u>Full-text</u>

126:277465

DN TI 126:277465
Preparation and formulation of guanidinothiazole derivatives as Maillard reaction inhibitors and antioxidants
Matsui, Toshiaki, Tatsumi, Tadashi, Oonada, Shuichi
Cno Pharmaceutical Co, Japan

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10576830-103
                                  157 of 236
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Jpn. Kokai Tokkyo Koho, 53 pp. CODEN: JKXXAF

Patent Japanese

PATENT NO. KIND DATE APPLICATION NO. DATE 19970304 19950811 PI JP 09059258 PRAI JP 1995-225989 JP 1995-225989 19950811

JP 1995-225989 19950811
MRRAPAT 126:1277465
For diagram(s), see printed CA Issue.
The title compds. I (2 * S, etc.; R1 = H, alkyl, etc.; A = bond, alkylene, etc.; ring D is benzoquinone with substituents (generic structure given), etc.] are prepared The title compound II.HCl in vitro showed IC50 of 0.82 μM against lipid peroxidn.

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of guanidinothiazole derivs. as Maillard reaction inhibitors and antioxidants)
188611-67-8 CAPULS (Quanidine, 44-(4-phenoxyphenyl)-2-thiazolyl]-, monohydrochloride (9CI) (CA INDEX NAME)

ANSWER 55 OF 104 CAPLUS COPYRIGHT 2007 ACS on STN 1996:209653 CAPLUS $\frac{Full\text{-text}}{124:261036}$

124:261036
Preparation of 5-imino-2-imidazolines as agrochemical fungicides
Hutt, Jean; Lacroix, Guy; Perez, Joseph; Veyrat, Christine
Rhone Poulenc Agrochimie, Fr.
PCT Int. Appl., 67 pp.
CODEN: PIXXD2
Patent
French

FAN	CNT	1																
	PA'	TENT	NO.			KIN	D	DATE			APPL	ICAT	ION	NO.		D.	ATE	
		,					-									-		
PI	WO	9534	541			A1		1995	1221	1	WO 1	995-	FR74.	В		1	9950	608
		W:	AM,	AU,	BB,	BG,	BR,	BY,	CA,	CN,	CZ,	FI,	GΕ,	HU,	JP,	KE,	KG,	KP.
			KR,	KZ,	LK,	LT,	LV,	MD,	MG,	MN,	MW,	NO,	NZ,	PL,	RO,	RU,	SD,	SI,
			SK,	TJ,	TT,	UA,	US,	UZ,	VN									
		RW:	AT,	BE,	CH,	DE.	DK,	ES,	FR,	GB,	GR,	IE,	IT,	LU,	MC,	NL,	PT,	SE
	FR	2721	022			A1		1995	1215		FR 1	994-	7344			1	9940	610
	FR	2721	022			B1		1996	0719									
	AU	9527	425			A		1996	0105		AU 1	995-	2742	5		1	9950	608

10576830-103 159 of 236

Bone resorption-inhibiting condensed thiadiazoles Sohda, Takashi; Torashita, Zen-ichi; Momose, Yu; Pujisawa, Yukio; Mizoguchi, Junji Takeda Chemical Industries, Ltd., Japan

Eur. Pat. Appl., 47 pp. CODEN: EPXXDW

English

FAN.	CNT	ı																	
	PATE	ENT M	10.			KIN)	DATE			APF	LIC	CAT	ION	NO.		Di	ATE	
														• • • •					
ΡI	EP 5	66259	99			A1		1993	0929		EP	199	93 - :	1049	39		1	930	325
•	EP S	66259	99			B1		2002	0904										
		R:	AT,	BE,	CH,	DE,	DK.	, E9,	FR,	GB,	GF	≀, :	ΙE,	IT,	LI,	LU,	NL,	PT,	SE
	US 5	55501	38			A		1996	0827		US	19	93 - :	3957	9		1	9930	323
	CA 2	20923	390			Al		1993	0926		CA	19	93 - :	2092	390		1	9930	324
	JP (06298	3771			Α		1994	1025		JP	19	93 -	6550	7		1	9930	324
	JP 3	32323	350			B2		2001	1126										
	AT 2	22341	19			T		2002	0915		ΑT	19	93 -	1049	39		1	9930	325
PRAI	JP 1	1992	6761	5		Α		1992	0325										
	70 1		2007					1000											

JP 1992-67615 A 19920325
JP 1993-19872 A 19930219
MARPAT 120:134490
For diagram(s), see printed CA Issue.
The title compds. I (A = substituted pyridine ring, (un)substituted thiazole ring; R = (un)substituted heterocyclic group, (un)substituted hydrocarbon group), which exhibit excellent endothelin receptor antagonist activity, potent cathepsin B-inhibiting action, and potent bone resorption inhibitory action, are prepared and I-containing formulations greented. Thus, thiazolothiadiazole II (m.p. 165-166*) was prepared in 60% yield and demonstrated 50% inhibitory concentration against cathepsin B of 1.1 · 10-6 M.

ib.363-34-39 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT

(Reactant or reagent)
(preparation and reaction of, in preparation of condensed thiadiazole bone resorption inhibitors)
152363-34-3 CAPLUS

192363-34-3 CAPLUS
Benzenesulfonamide, 4-methyl-N-[{[5-methyl-4-(4-phenoxyphenyl)-2-thiazolyl]amino]thioxomethyl}- (CA INDEX NAME)

ANSMER 57 OF 104 CAPLUS COPYRIGHT 2007 ACS on STN 1993:191769 CAPLUS Full-text 118:191769
Preparation of 1-thiazolylaminocarbonyl-4-arylpiperazines and analogs as bronchodilators

De Cillis, Gianpiero; Long, Giorgio; D'Alo, Simonetta; Rozzi, Antonella; Gallico, Licia Boehringer Mannheim Italia S.p.A., Italy Eur. Pat. Appl., 15 pp. CODEN: EPXXDM IN

9A 50

10576830-103 158 of 236

ZA 9504784 A 19960208 PRAI FR 1994-7344 A 19940610 WO 1995-FR748 W 19950608 OS CASREACT 124:261036; MARPAT 124:261036 ZA 1995-4784

Title compds. [I; Rl,R2 = H, (halo)alkyl, (hetero)aryl, etc.; R3 = (un)aubstituted alkyl; R4 = (hetero)aryl, (un)substituted alkyl; R5 = H, alkyl, acyl, etc.; R6 = H, OH, alkoxy, NH2, etc.; Z = O or S1 were prepared Thus, McKRANCS (R2 = 4 (PhcH2CH2)c6H4) [reperation given) was cyclocondensed with CS2 and the product cyclocondensed with PhNHNH2 to give imidazolidinedithione II [R2 = 4 - (PhcH2CH2)c6H4]. II (R2 = Ph) was iminated with NH3 and the product S-alkylated by MeI to give title compound III which gave 75-1004 control of Puccinia recondita on wheat at 1g/L.
17503-65-4P 175073-70-0P

RE: RCT (Reactant); SPN (synthetic preparation); PREP (Preparation (Reactant or reagent) [preparation of 5-imino-2-imidazolines as agrochem, fungicides) 15073-68-4 (APLUS

2.5-Thiazolidinedithione, 4-methyl-4-(4-phenoxyphenyl)- (CA INDEX NAME)

175073-70-8 CAPLUS 2,5-Thiazolidinedithione, 4-[4-(4-fluorophenoxy)phenyl]-4-methyl- (CA IMDEX NAME)

L31 ANSWER 56 OF 104 CAPLUS COPYRIGHT 2007 ACS on STN AN 1994:134490 CAPLUS <u>Full-text</u>
DN 120:134490

1057	6830	⊢ 103						160 c	f 236	1							
LA FAN	CNT																
		TENT								APE							
										EP							
PI	EP	R:				AI		1992	1223	EP	1992	-1102	0 /		1	,,40	01/
	WO					A1		1993	0107	WO	1992	-EP13	77		1	9920	617
										HU, JE							
			PL,	RO,	RU,	SD,	US										
		RW:	ΑŤ,	BE,	CH,	DE,	DK,	, ES,	FR,	GB, GF	R, IT	, LU,	MC,	NL,	SE,	BF,	BJ
										MR, SI							
	ΑU	9220	000			Α		1993	0125	AU	1992	-2000	0		1	9920	617
	EP	5899	85			A1		1994	0406	EP	1992	-9124	06		1	9920	617
		R:	AT,	BE,	CH,	DE,	DK.	, ES,	FR,	GB, GF	R, IT	. LI,	LU,	NL,	SE		
	JP	0750	2014			т		1995	0302	JP	1992	-5013	13		1	9920	617
	ZA	9204	478			Α		1993	0331	ZA	1992	-4478			1	9920	618
PRAI	IT	1991	-MI1	714		Α		1991	0621								
	IT	1992	-M17	86		Α		1992	0401								
	WO	1992	-EP1	377		Α		1992	0617								
os	MAI	TAGS	118:	1917	69												
C.t																	

Title compds: {I, R1 = (substituted) Ph, pyridy1, etc.; X = 0, S; Z = CH2, 0, S, NR, R = alky1, Ph, heterocycly1, etc.] were prepared Thus, 2,3-(AcO)ZCGH3COCH2BT (preparation given) was cyclocondensed with M2NCSMH2 and the product condensed carbony1dimidazole and N-[3,6-bis(dlethylamino)-2-pyridy1]piperazine to give title compound II. 1 gave 4-6 h protection against PAF-induced hyperactivity in guinea-pigs at 2-50 µg/kg (route of administration not given).
146871-56-9P 146871-64-9P 146871-65-0P
146894-70-0P
RL: SPN (Synthetic preparation), PREP (Preparation)
(preparation of, as bronchodilator)
146871-56-9 CAPLUS
1-Piperazinecarboxamide, 4-[3,6-bis(dlethylamino)-2-pyridiny1]-N-[4-[4-[(methylsulfony1)amino]-3-phenoxypheny1]-2-thiazoly1)-, monohydrobromide
(9CI) (CA INDEX NAME)

146871-64-9 CAPLUS
1-Piperazinecarbothioamide, N-[4-[4-[(methylsulfonyl)amino}-3-phenoxyphenyl]-2-thiazolyl]-4-(phenylmethyl)-, monohydrobromide (9CI) (CA INDEX NAME)

146871-65-0 CAPLUS

1-Piperazinecarbothioamide, 4-methyl-N-[4-[4-[(methylsulfonyl)amino]-3-phenoxyphenyl]-2-thiazolyl]-, monohydrobromide (9CI) (CA INDEX NAME)

146884-70-0 CAPLUS

1-Piperazinecarboxamide, N-[4-[4-[(methylsulfonyl)amino]-3-phenoxyphenyl]-2-thiazolyl]-4-(phenylmethyl)- (CA INDEX NAME)

10576830-103

163 of 236

116666-59-0P RL: SPN (Synthetic preparation); PREP (Preparation) (preparation, N-acetylation, analgesic, and antiinflammatory activity of) 116686-59-0 CAPLUS Methanesulfonamide, N-[4-(2-amino-4-thiazoly1)-2-(2,4-difluorophenoxy)pheny1]- (CA INDEX NAME)

ANSWER 59 OF 104 CAPLUS COPYRIGHT 2007 ACS ON STN 1993;38917 CAPLUS <u>Full-text</u> 118:38917

118:38917
Preparation of 2-sulfonamido-4,5-diphenylthiazole derivatives
Yoshikawa, Yoshinari, Saito, Hideji, Oochi, Yutaka, Ochi, Yutaka
Taisho Pharmaceutical Co., Ltd., Japan
Jpn. Kokai Tokkyo Koho, 8 pp.
CODEN: SXXAF
Patent
Japanago

PA SO

DТ

LA Japanese FAN.CNT 1

PATENT NO. KTND DATE APPLICATION NO. PI JP 04173782 PRAI JP 1990-302853 19920622 JP 1990-302853

MARPAT 118:38917

The title compds. [I, R1 = haloalkyl; R2-R5 = H, halo, (halo)alkyl, alkoxy, alkylthio, alkylsulfonyl, NO2, Ph, PhO) and their pharmaceutically compatible salts, useful as antinflammatory agents, are prepared A mixture of p-ClC6H4COCH2Ph 4.61, thiourea 3.04, and iodine 5.08 g was heated with stirring at 110-120*, 10% NN4OH was added, and the mixture was extracted with CH2Cl2 to give 3.12 g aminothiazole derivative II (R = H), which (2.0 g) was stirred with 2.26 g CP3SO3H and Et3N in CM2Cl2 under cooling to give 1.12 g

DATE

19901108

ANSWER 58 OF 104 CAPLUS COPYRIGHT 2007 ACS ON STN 1993:124134 CAPLUS <u>Full-text</u> 118:124134

AN DN TI 118:124134 Studies on antiinflammatory agents. I. Synthesis and pharmacological properties of 2'-phenoxymethanesulfonanilide derivatives Tsuji, Kiyoshi; Nakamura, Katsuya; Konishi, Nobukiyo; Okumura, Hiroyuki; Matsuo, Masaaki ΑU

Matsuc, Massaki New Drug Res. Lab., Pujisawa Pharm. Co., Ltd., Osaka, 532, Japan Chemical & Pharmaceutical Bulletin (1992), 40(9), 2399-409 CODEN: CPBTAL; ISSN. 0009-2368 CS

50

DT LA GI

Various 2'-phenoxymethanesulfonanilide derivs. I (R1 = H, NO2, CF3, CONH2, SEt, cyano, etc., R2 = H, COMe, Me) and II (R3-R5 = H, 2-F, 2,3-C12, 2-Br, 2-OMe, 2-SMe, etc.) were synthesized and evaluated for antiinflammatory and analgesic activities. Thus, 3-(2,4-difluorophenoxy)-4- nitrobenzonitrile reacted with Fe/NH4C1/StOH and MeSO2C1/pyridine to give I (R1 = cyano, R2 = H). Some compds. bearing an electron-attracting substituent at the 4'-position strongly inhibited adjuvant-induced arthritis in rats and acetic acid-induced writhing syndrome in mice without causing gastro-intestinal irritation. Among them, 4'-cyano-(FRS67) and 4'-acetyl-(FK331) 2'-(2,4-difluorophenoxy)methanesulfonanilides were selected as the candidates for further develonment. further development.

116686-60-3P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation, analgesic, and antiinflammatory activity of)
116686-60-3 CAPLUS
Acetamide, N-[4-13-(2,4-difluorophenoxy)-4-[(methylsulfonyl)amino]phenyl]-2-thiazolyl]- (CA INDEX NAME)

164 of 236

sulfonamide II (R = CF3SO2) (III). IIII showed 56.1% inhibition of carragenan-induced inflammation at 50 mg/kg orally in rats, vs. 36.9% with ibuprofen.

144584-49-5P 144584-60-1P
RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of, as antiinflammatory agent)
144984-48-5 CAPLUS
Methanesulfonamide, 1,1,1-trifluoro-N-[4-(4-phenoxyphenyl)-5-phenyl-2-thiazolyl]- (CA INDEX NAME)

144984-60-1 CAPLUS
Methanesulfonamide, 1,1,1-trifluoro-N-[5-(4-nitrophenyl)-4-(4-phenoxyphenyl)-2-thiazolyl]- (CA INDEX NAME)

ANSMER 60 OF 104 CAPLUS COPYRIGHT 2007 ACS on STN
1993:28915 CAPLUS Pull-text
118:38915
Preparation of 2-arylthiazole derivatives as pharmaceutical compositions
Kondo, Shiro; Pukushima, Hisashi; Hasegawa, Masaichi; Tauchimoto,
Masahiro; Nagata, Ikuo; Osada, Yoshio; Komoriya, Keiji; Yamaguchi, Hisao
Teijin Ltd., Japan
PcT Int. Appl., 95 pp.
CODEN: PIXXD2
Patent
Japanese
CNT 1

FAN,	CNT	1						
	PA'	TENT NO.			KIN	D DATE	APPLICATION NO.	DATE
PI	WO	9209279			A1	1992061	1 WO 1991-JP1670	19911129
		W: AU,	CA,	ΗU,	JP,	KR, US		
		RW: AT,	BE,	CH,	DE,	DK, ES, FF	, GB, IT, NL, SE	
	CA	2073981			A1	1992053	1 CA 1991-2073981	19911129
	CA	2073981			C	2002010	8	
	AU	9189522			A	1992062	5 AU 1991-89522	19911129
	ΑU	645867			B2	1994012	7	

10576830-103	165 of 236	•	
EP 513379	A1 19921119	EP 1991-920699	19911129
EP 513379	B1 19960911		
R: AT, BE, CH,	DE, DK, ES, FR,	GB, IT, LI, NL, SE	
HU 63838	A2 19931028	HU 1992-2265	19911129
HU 218942	B 20010129		
AT 142494	T 19960915	AT 1991-920699	19911129
ES 2092580	T3 19961201	ES 1991-920699	19911129
JP 2725886	B2 19980311	JP 1991-500083	19911129
SG 86971	A1 20020319	SG 1996-3299	19911129
US 5614520	A 19970325	US 1995-380214	19950130
PRAI JP 1990-330147	A 19901130		
JP 1991-216586	A 19910802		
WO 1991-JP1670	A 19911129		
US 1992-917037	B1 19920730		
OS MARPAT 118:38915			

The title compds. [I; Ar = (un)aubstituted pyridyl, thienyl, furyl, naphthyl, (un)substituted Ph; X = H, alkyl, CO2H, alkoxycarbonyl, CONH2, alkylaminocarbonyl; Y = H, alkyl, OH, alkoxy, CO2H, alkoxycarbonyl, CONH2, mono- or dialkylaminocarbonyll, useful for treatment of gout, hyperuricemia and interleukin 1 production-related diseases, are prepared. Thus, 390 mg 3-isopropoxythiobenzamide and 360 mg CICH2COCH2COZET were refluxed in EtOH for 5 n to give an ester as an oil which was saponified in IN aqueous NaOH in EtOH to give 65% I (Ar = 3-iso-ProC6H4, X = CO2H, Y = Me). I (Ar = 3,4-cyanofiso-Bu0)C6H3, X = CO2H, Y = Me) at 1 mg/kg p.o. lowered 95% serum uric acid in mice. I also inhibited xanthine oxidase, production of interleukin 1, and collagen-induced inflammation. Tablets containing I (Ar = 3,4-O2N(iso-ProC6H3, X = CO2H, Y = Me) were prepared 144461-00 72
RL: SPN (Synthetic preparation); PREP (Preparation)

RE: SPN (Synthetic preparation); PREP (Preparation) (preparation of, as intermediate for arylthhazole derivative drug) 144061-00-7 (CAPLUS

5-Thiazolecarboxylic acid, 2-[4-(4-fluorophenoxy)phenyl]-4-methyl- (CAINDEX NAME)

ANSWER 61 OF 104 CAPLUS COPYRIGHT 2007 ACS on STN 1992:59362 CAPLUS Full-text

10576830-103

167 of 236

- ANSWER 62 OF 104 CAPLUS COPYRIGHT 2007 ACS on STN
 1991:680002 CAPLUS Pull-text
 115:280002
 Preparation of oxazolecarboxamides or thiazolecarboxamides as herbicides
 Ditrich, Klaus; Maywald, Volker, Hamprecht, Gerhard; Harreus, Albrecht;
 Muerzer, Bruno; Mestphalen, Karl Otto
 BASF A.-G., Germany
 Eur. Pat. Appl., 98 pp.
 CODEN: EPXXDW
 Patent

- Patent
- German

FAN.	CN1 1				
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
P1	EP 419944	A2	19910403	EP 1990-117567	19900912
	EP 419944	A3	19910717		
	EP 419944	B1	19950315		
	R: BE, CH, DE,	ES, FR	, GB, IT,	LI, NL	
	DE 3932052	A1	19910404	DE 1989-3932052	19890926
	CA 2026131	A1	19910327	CA 1990-2026131	19900925
	HU 56084	A2	19910729	HU 1990-6212	19900925
	HU 207058	8	19930301		
	BR 9004803	Α	19910910	BR 1990-4803	19900925
	JP 03145478	Α	19910620	JP 1990-254369	19900926
	US 5244867	A	19930914	US 1991-830326	19911226
	US 5256633	A	19931026	US 1992-870386	19920417
	US 5284821	A	19940208	US 1992-919457	19920727
PRAI	DE 1989-3932052	A	19890926		
	US 1990-587853	B1	19900925		
	US 1991-830326	A3	19911226		
06	CACREACT 115.280002	. MADDA	* 115.2000	0.3	

US 1991-830326 A3 19911226
CASREACT 115:280002; MARPAT 115:280002
Certain oxazolecarboxamides and thiazolecarboxamides and herbicides containing them are claimed. A mixture of N-tert-butyl-2-methoxy-4-thiazolecarboxamide (8.00 g) and 150 mL THP was treated with 1.5M Buti (65 mL) and carboxylated (801d CO2) to give 85% 4-(tert-butylaminocarbonyl)-2-methoxy-5-thiazolecarboxylic acid. The latter had herbicidal activity against Cassia tora, Chenopodium album, Chrysanthemum coronarium, and others and was compactible with wheat.

175177-67-57
RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of, as herbicide)
135297-67-5 CAPLUS
5-Thiazolecarboxylic acid, 4-{{(1,1-dimethylethyl)aminolcarbonvl}-2-(4-

5-Thiazolecarboxylic acid, 4-[[(1,1-dimethylethyl)amino]carbonyl]-2-(4-phenoxyphenyl)- (CA INDEX NAME)

10576830-103 166 of 236 IUS/6830-IUS

DN 116:59362

TI Preparation of 2-aryl-5-alkyl-4-thiazolidinones as cyclooxygenase and 5-lipoxygenase inhibitors

IN Malsh, David A.; Uwaydah, Ibrahim M.
PA A. H. Robins Co.; Inc., USA

O. U.S., 30 pp.
CODEN: USXKAM

D Patent

LA English
PALCNT I
PAN.CNT I
PATENT NO. KIND DATE APPLICATION NO. DATE APPLICATION NO. DATE PI US 5061720 PRAI US 1989-406579 OS MARPAT 116:59362 US 1989-406579

Title compds. I [R = H. Cl-8 alkyl; Rl = Cl-8 alkyl, A; X = (CH2)nA, O(CH2)nA, CO(CH2)nA, CHON(CH2)nA, etc., n = 0-2; A = (substituted) Ph, pyridyl; W = 0; r = 0-2; O = (Y1)m(O)m(B)m(O)m(Y2)m(CO2)m; B = pyridylene, (substituted) phenylene; Yl, Y2 = (alkyl) alkylene; Z = OR3, NR4R5; R3 = H. Cl-8 alkyl, cation; R4, R5 = H. Cl-8 alkyl; m = 0, l. H takes the place of CO2 when m = 0] were prepared as cycloxyygenase and 5-lipoxygenase inhibitors useful as topical antiinflammatory agents. Thus, J-phenoxybenzaldehyde, McCH(SH)CO2H, and Ph(CH2/4NH2 were refluxed overnight in benzene using a Dean-Stark trap to give title compound II as a 2:3 mixture of cistrans isomers. The isomeric mixture had ICSO of 1.4 µM and <20 µM against cycloxygenase and 5-lipoxygenase, resp. The mixture was active against UV-induced erythema in guinea plgs. Topical formulations of I were prepared 139619-65-57

RES PN (Synthetic preparation), PREP (Preparation) (preparation of, as cyclooxygenase and lipoxygenase inhibitor) 138619-65-5 CAPLUS

4-Thiazolidinone, 5-butyl-2-(3-phenoxyphenyl)-, trans- (9CI) (CA INDEX

Relative stereochemistry.

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INT 1 PATENT NO. KIND DATE APPLICATION NO. DATE EP 432661 EP 432661 EP 432661 19910619 19901207 A2 A3 EP 1990-123555 В1 19960306 R: AT, BE, CH, DE, ES, FR, GB, IT, LI, NL JP 03232867 A 19911016 JP 1990-329851 19901130 JP 07062006 19950705 AU 9067820 AU 634608 19910613 AU 1990-67820 19901206 19930225 CA 2031766 CA 2031766 19910610 CA 1990-2031766 19901207 20010109 19910924 19911230 19921228 19950227 19960315 19960601 19910911 19981202 19981116 19920825 19981209 BR 1990-6233 HU 1990-8127 BR 9006233 HU 57751 19901207 HU 57751 A2 1991220
HU 206691 B 19921228
RU 2029766 C1 19950227
AT 135001 T 19960315
ES 2085317 T3 19960601
CN 1064422 A 19910911
CN 1040939 B 19981202
KR 156577 B1 19981116
US 5141948 A 19920825
PRAI JP 1999-320420 A 19891209
OS CASREACT 115:159127; MARPAT 115:159127
GI A A2 B C1 T 19901207 RU 1990-4894033 AT 1990-123555 ES 1990-123555 CN 1990-110420 19901207 19901208

Title compds. I [R1,R2 = H, halo, alkyl, alkoxy, O2N, haloalkyl, haloalkoxy, R1 = R2 = H, R3 = H, halo, alkyl, alkoxy, R4 = alkyl or alkoxy having 7 or more C. alkylthio, alkoxyalkyl, alkoxyalkoxy, alkenyloxy having 3 or more C. alkylthio, alkoxyalkyl, alkoxyalkoxy, alkenyloxy having 3 or more C. alkynyloxy, trialkylsilyl, [substituted] cycloalkyl, A = bond, alkylene; Z = O, S] were prepared To a aixture of 2-amino-2-(4-n-decyloxyphenyl)ethanol, Ethn and THP, 2.6-F2CGHICCCl in THP was added over 10 min to give after work-up 2-(2.6-difluorophenyl)-4-(4-n- decyloxyphenyl)-2-oxazoline ([1]). In an ovicidal test, II at 100 ppm showed 100% control against two-spotted spider mite and Kanzawa spider mite. Addition 393 compds. were prepared I were also tested against nymphs of Myzus persicae, nymphs of cotton aphid, nymphs of

Nephotettix cincticeps, larvae of diamondback moth, and larvae of Culex

pipiens. 136406-64-9P 136406-67-2P 136406-73-0P 136406-96-

136406-96-7P
RL. AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation), USES (Uses) (preparation of, as acaricide and insecticide)
136406-64-9 CAPLUS
Thiazole, 2-(2.6-difluorophenyl)-4,5-dihydro-4-[4-(4-methylphenoxy)phenyl]-(CA INDEX NAME)

136406-67-2 CAPLUS
Thiazole, 2-(2,6-diethylphenyl)-4,5-dihydro-4-[3-methyl-4-(4-methylphenoxy)phenyl]- (CA INDEX NAME)

136406-73-0 CAPLUS
Thiazole, 2-(2-chloro-6-fluorophenyl)-4-[4-(4-(1,1-dimethylethyl)phenoxylphenyl]-4,5-dihydro- (CA INDEX NAME)

136406-96-7 CAPLUS Thiazole, 4-(4-(4-bromophenoxy)phenyl]-2-(2-chloro-6-fluorophenyl)-4,5-dihydro- (CA INDEX NAME)

10576830-103

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L31 ANSMER 65 OF 104 CAPLUS COPYRIGHT 2007 ACS on STN

AN 1990:532169 CAPLUS Full-text
DN 113:132169
Preparation of heterocyclylcarbazates as advanced glycosidation end product formation inhibitors
SN Sohda, Takashi, Ikeda, Hitcoshi, Momose, Yu
PA Takeda Chemical Industries, Ltd., Japan
SO Eur. Pat. Appl., 14 pp.
CODEN: EPXXDM
DT Patent
LE English
FAN.CNT 1
PATENT NO. KIND DATE APPLICATION NO. DATE

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 359112	A2	19900321	EP 1989-116469	19890906
	EP 359112	A3	19900829		
	R: AT, BE, CH,	DE, ES	, FR, GB, C	GR, IT, LI, LU, NL, SE	
	JP 02167264	A	19900627	JP 1989-173369	19890704
	JP 2817219	B2	19981030		
	US 5240950	A	19930831	US 1989-403288	19890907
PRAI	JP 1988-225198	A	19880908		
	JP 1989-173369	A	19890704		

MARPAT 113:132169

MRRPAT IIJ:i332169
RIN:GHNNNKCO2RZ [I] RI = heterocycly], R2 = alkyl, (substituted) aryl, phenylalkyl) and their pharmaceutically acceptable salts, which inhibit the formation of advanced glycosylation end products (AGE) and are therefore useful for treatment of diseases caused by AGE, are prepared 4-(4-Cyclohexylphenyl)-2-[(ethoxymethylene)amino]thiazole (preparation given) was condensed with HANNHCOZET in EXOT to give I [RI = '4-(4- cyclohexylphenyl-2-thiazolyl, R2 = Et] (II). In an in vitro experiment according to the procedure of M. Brownlee et al. (1986). II decreased by 34% the glycosidation of bovine serum albumin by D-glucose. 129377-23-7
RL: SPM (Synthetic preparation), PREP (Preparation) (preparation of, as advanced glycosylation end product formation inhibitor) 129377-23-7 CAPLUS
Hydrazinecarboxyllc acid, ([(4-[4-(4-chlorophenoxy)phenyl]-2-thiazolyl]amino]methylene]-, i,1-dimethylethyl ester (9CI) (CA INDEX NAME)

ANSMER 66 OF 104 CAPLUS COPYRIGHT 2007 ACS on STN 1990:178337 CAPLUS FUll-text 112:178337 Preparation of alkanesulfonanilide derivatives as analgesics and inflammation inhibitors Matsuo, Maeaki, Tsuji, Kiyoshi, Konishi, Nobukiyo Fujisawa Pharmaceutical Co., Ltd., Japan

10576830-103

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ANSWER 64 OF 104 CAPLUS COPYRIGHT 2007 ACS on STN 1991:42777 CAPLUS <u>Full-text</u> 114:42777

114:42777
Preparation of thiazolinones and thiazolinethiones as antifungals
Kojima, Shigeru, Tanaka, Katsunori, Nakada, Akira, Hashimoto, Akira
Nippon Soda Co., Ltd., Japan
Jpn. Kokai Tokkyo Koho, 13 pp.
CODEN: JXXXAP

DT

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI JP 02145577	Α	19900605	JP 1988-298961	19881126
PRAI JP 1988-298961		19881126		
OS MARPAT 114:42777				

GI

$$C1$$
 $C1$ R^2 R^3 R^3

The title compds. {I, Rl = (substituted) aryl, etc.; R2 = alkyl, alkenyl, (substituted) aryl, etc.; R3 = H, halo, alkyl, etc.; Z = O, S} were prepared Refluxing QCOCH2Br (Q = 2,4-Cl2C6H3) with EtNRC(S)OEt in xylene 2 h gave I [Rl = Q, R2 = H, R3 = Et, Z = O], which at 200 ppm killed 98% Botryis cinerea without damage to kidney beans.

Without damage to Niney beams.

12/1477-32-32

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); TRU (Therapeutic use);

BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of, as antifungal)

13/477-98-0 CAPLUS

2(3H)-Thiazolone, 3-ethyl-4-[4-[4-(trifluoromethyl)phenoxy]phenyl]- (CA

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so U.S., 28 pp. Cont.-in-part of U.S. Ser, No. 132,334. CODEN: USXXAM

Patent English

FAN.	CNT 3				
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PΙ	US 4866091	A	19890912	US 1988-202017	19880602
	ZA 8709706	A	19880831	ZA 1987-9706	19871228
	SU 1799378	A3	19930228	SU 1987-4203921	19871230
	ZA 8803534	A	19890125	ZA 1988-3534	19880518
PRAI	GB 1986-31083	A	19861231		
	GB 1987-12647	A	19870529		
	GB 1987-24903	A	19871023		
	US 1987-132334	A2	19871214		
os	MARPAT 112:178337				
GI					

Title compds. I [R1, R2, R8 = H, cyano, halo, alkyl, haloalkyl, alkylthio, alkylsulfinyl, alkylsulfonyl, alkoxy; R3 = alkyl; R4 = acyl, cyano, HO2C, hydroxyalkyl, H8, alkylthio, alkylsulfinyl, alkylsulfonyl, O, R7M:CR6, alkanoylalkenyl, (un)substituted 5-membered unsat. heterocyclyl, PHS; R6 = H, H2N, alkyl; R7 = OH, alkoxy, carboxyalkoxy, alkoxycarbonylalkoxy, H2NCOMH, H2NCSNN; R5 = H, halo, alkyl, alkanoyl) and pharmaceutically acceptable salts thereof were prepared I are also useful for treating pyretic diseases, rheumatism, and arthritis. 4'-Amino-3'-(2,4- difluorophenoxy)acetophenone (preparation given) and MeSO2Cl in pyridine were stirred overnight at room temperature to give I (R1 = R5 = H, R2 = 2-P; R3 = Me; R4 = 4-Ac; R8 = 4-F). Similarly prepared was I (R1 = R5 = H, R2 = 2-P; R3 = Me; R4 = 4-cyano; R8 = 4-P) (II). The analgesic activity was demonstrated with II showing an oral ED50 at 2.4 mg/kg in the HOAc-induced writhing test in mice (cf. 1.6 mg/kg for indomethacin).

116686-59-OF 116686-60-JF
RL: SNN (Synthetic preparation); PREP (Preparation)
 (preparation of, as analgesic and antiinflammatory)

116686-59-O CAPLUS

Methanesulfonamide, N-[4-(2-amino-4-thiazolyl)-2-(2,4-difluorophenoxy)phenyl]- (CA INDEX NAME)

H₂N S N NH S NH S NH

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116686-60-3 CAPLUS
Acetamide, N-{4-{3-(2,4-difluorophenoxy)-4-{(methylsulfonyl)amino}phenyl}-2-thiazolyl]- (CA INDEX NAME)

ANSWER 67 OF 104 CAPLUS COPYRIGHT 2007 ACS on STN 1989:192810 CAPLUS <u>Full-text</u> 110:192810

AN DN TI IN

TI 10:192810

TI Preparation of thiazoline derivatives as acaricides and insecticides Nagasaki, Fumihiko; Yamada, Tomio; Takahashi, Eiko; Kitagawa, Yukio; Hatano, Renpei

PA Nippon Soda Co., Ltd., Japan

S Jpn. Kokai Tokkyo Koho, 8 pp. CODEN: JKXXAF

DT Patent

LA Japanese

PAN.CNT 1

PATENT NO. KIND DATE APPLICATION NO. DATE A 19881018 B 19951213 DATE PI JP 63250371 JP 07116168 PRAI JP 1987-82455 OS MARPAT 110:192810 19881018 19951213 19870403 JP 1987-82455 19870403

Title compds. I [R1, R2 = (Ph-substituted) alkyl, cycloalkyl. O wherein R5 = alkyl, alkylamino, R6 = H, alkyl, alkylamino, R7 = (halo- or haloalkyl-substituted) Ph or pyridyl; X = O, S; at least one of R1 and R2 = Q; R3, R4 = H, nalo, (halo-substituted) alkyl or Ph] are prepared by cyclocondensation of RIBHC(:S)NHR2 with R3CHX1CR4R8R9 (X1 = halo; R8, R9 = alkoxy or R1R2 = O). A solution of ClCH2COMe and 2,6,4 = M2(PhO)CGH2NHC(:S)NHCM2 in RECOMe was refluxed to give I [R1 = Me3C; R2 = 2,6,4 = M62(PhO)CGH2; R3 = H; R4 = M6], which at 125 ppm showed 100% control of imagoes of Tetranycus urticae, vs. O% for a known I [R1 = p-(p-ClC6H40)CGH4; R2 = R4 = M6; R3 = H]. An emulsion was

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120259-05-4 CAPLUS

120239-05-4 CREDOS
2-Propanamine, N-[3-[2,6-bis{1-methylethyl}-4-phenoxyphenyl]-4-methyl2(3H)-thiazolylidene]-2-methyl- (CA INDEX NAME)

120259-06-5 CAPLUS
Benzenemethanamine, N-{3-{2,6-bis(1-methylethyl)-4-phenoxyphenyl}-4-methyl-

2(3H)-thiazolylidene}- α,α -dimethyl- (CA INDEX NAME)

120259-07-6 CAPLUS
Benzenamine, 2-(2-([1,1-dimethylethyl)imino]-4-methyl-3(2H)-thiazolyl]-N,N-dimethyl-5-phenoxy- (CA INDEX NAME)

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76K30-103 174 of 236

formulated containing I 10, alkyl phenyl polyoxyethylene 5, DMF 50, and xylene 35 parts.
120728 84 AP 120759-01-0P 120755-02-1P
120759-08-4P 120259-06-5F 120259-07-4P
120759-18-674 120259-11-2P 120759-12-4P
120759-14-5P 120759-11-2P 120759-12-4P
120759-14-5P 120759-18-9P 120759-13-4P
120759-14-5P 120759-18-9P 120759-19-0P
RE: AGR (Agricultural usee) RAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BSOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as insecticide and acaricide)
120258-84-6 CAPLUS
Benzenamine, N-[3-[2,6-bis(1-methylethyl)-4-phenoxyphenyl]-4-methyl-2(3H)-thiazolylidene]-2,6-bis(1-methylethyl)-4-phenoxy- (CA INDEX NAME)

120259-01-0 CAPLUS
2-Propanamine, N-[3-[2,6-bis(1-methylethyl)-4-phenoxyphenyl]-2(3H)-thiazolylidene]-2-methyl- (CA INDEX NAME)

120259-02-1 CAPLUS Benzenemethanamine, N-[3-[2,6-bis(1-methylethyl)-4-phenoxyphenyl]-2(3H)-thiazolylidene)- α,α -dimethyl- (CA INDEX NAME)

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120259-08-7 CAPLUS
2-Propanamine, N-[3-[2,6-bis(1-methylethyl)-4-phenoxyphenyl]-4(chloromethyl)-2(3H)-thiazolylidene)-2-methyl- (CA INDEX NAME)

120259-09-8 CAPLUS
2-Propanamine, N-[3-[2,6-bis(1-methylethyl)-4-phenoxyphenyl]-4-phenyl-2(3H)-thiazolylidene]-2-methyl- (CA INDEX MAME)

120259-10-1 CAPLUS
2-Propanamine, N-{3-{2.6-bis(1-methylethyl)-4-phenoxyphenyl}-4.5-dimethyl-2(3H)-thiazolylidene|-2-methyl- (CA INDEX NAME)

120259-11-2 CAPLUS Cyclohexanamine, N-[3-[2,6-bis(1-methylethyl)-4-phenoxyphenyl]-4-methyl-2(3H)-thiazolylidene]- (CA INDEX NAME)

120259-12-3 CAPLUS
2-Propanamine, N-[3-(2,6-dimethyl-4-phenoxyphenyl)-2(3H)-thiazolylidene]-2-methyl- (CA INDEX NAME)

120259-13-4 CAPLUS
2-Propanamine, N-[3-(2,6-dimethyl-4-phenoxyphenyl)-4-methyl-2(JH)-thiazolylidene]-2-methyl- (CA INDEX NAME)

2-Propanamine, 2-methyl-N-[3-[2-methyl-6-(1-methylethyl)-4-phenoxyphenyl]-2(3H)-thiazolylidene]- (CA INDEX NAME)

10576830-103

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120259-19-0 CAPLUS
2-Propanamine, N-[3-[4-(4-chlorophenoxy)-2,6-dimethylphenyl]-4-methyl-2(3H)-thiazolylidene)-2-methyl- (CA INDEX NAME)

ANSHER 68 OF 104 CAPLUS COPYRIGHT 2007 ACS on STN 1949:76:170 CAPLUS Full-text 110:76:170 Synthesis and characterization of phenyl-pendant aromatic polythiazoles from bis-e-bromophenylacetyl compounds and dithioamides Inoue, Kazuto, Ueda, Mitsuru; Imai, Yoshio Dep. Ind. Chem., Fukushima Tech. Coll., Iwaki, 970, Japan Journal of Polymer Science, Part A: Polymer Chemistry (1988), 26(11), 2899-905 CODEN: JPACEC: ISSN: 0887-624X

CODEN: JPACEC; ISSN: 0887-624X Journal

English

English Novel phenyl-pendant aromatic polythiazoles having inherent viscosities of 0.3-1.3 dL/g were synthesized by the solution polycondensation of bus[4-(α -bromophenylacetyl)phenyl] ether with aromatic dithioamides or dithioamide in DNF at 60°. The polythiazole having m-phenylene linkage was readily soluble in CHCl3 and m-cresol, and a transparent flexible film could be cast from the CHCl3 solution Glass transition temps, of these polythiazoles were in the range of 210-250°. They started to decompose at about 500° in air with 10° weight loss being recorded at around 570°C.

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120259-15-6 CAPLUS
2-Propanamine, 2-methyl-N-[4-methyl-3-[2-methyl-6-(1-methylethyl)-4-phenoxyphenyl]-2(3H)-thiazolylidene]- (CA INDEX NAME)

120259-16-7 CAPLUS
2-Propanamine, N-{3-{2-ethyl-6-{1-methylethyl}-4-phenoxyphenyl}-2(3H)-thiazolylidene}-2-methyl- (CA INDEX NAME)

120259-17-8 CAPLUS
2-Propanamine, N-{3-{2-ethyl-6-(1-methylethyl)-4-phenoxyphenyl}-4-methyl-2(3H)-thiazolylidene}-2-methyl- (CA INDEX NAME)

120259-18-9 CAPLUS
2-Propanamine, N-[3-[4-(4-chlorophenoxy)-2,6-dimethylphenyl]-2(3H)-thiazolylidene]-2-methyl- (CA INDEX NAME)

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NSU-01 J. 18U 01 J. 18U 01

104570-38-9 CAPLUS
Poly[(5-phenyl-4,2-thiazolediyl)-1,4-phenylene(5-phenyl-2,4-thiazolediyl)-1,4-phenyleneoxy-1,4-phenylene) (9CI) (CA INDEX NAME)

118771-86-1 CAPLUS
Poly [{5,5'-diphenyl[2,2'-bithiazole]-4,4'-diyl]-1,4-phenyleneoxy-1,4-phenylene] (9CI) (CA INDEX NAME)

ANSWER 69 OF 104 CAPLUS COPYRIGHT 2007 ACS on STN 1988;549069 CAPLUS Full-text 109:149069 Phenoxy-substituted alkanesulfonanilide derivatives useful as analgesics, antinflammatories, and antipyretics, and processess for their preparation Matsuo, Masakki; Tsuji, Kiyoshi; Konishi; Nobukiyo Fujisawa Pharmaceutical Co., Ltd., Japan Eur. Pat. Appl., 56 pp. CODEN: EPXXDM AN DN T1

IN PA SO

Patent

English				
CNT 3				
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 273369	A2	19880706	EP 1987-119063	19871222
EP 273369	A3	19891018		
EP 273369	B1	19920304		
R: AT, BE, CH,	DE, ES	, FR, GB,	GR, IT, LI, LU, NL, SE	
AT 73131	T	19920315	AT 1987-119063	19871222
ES 2033292	T3	19930316	ES 1987-119063	19871222
FI 8705719	A	19880701	FI 1987-5719	19871228
JP 63190869	A	19880808	JP 1987-335647	19871228
JP 05019543	B	19930317		
ZA 8709706	A	19880831	ZA 1987-9706	19871228
DK 8706935	A	19880701	DK 1987-6935	19871230
NO 8705488	A	19880701	NO 1987-5488	19871230
NO 168299	В	19911028		
NO 168299	c	19920205		
AU 8783152	A	19880707	AU 1987-83152	19871230
AU 600782	B2	19900823		
HU 45971	A2	19880928	HU 1987-6136	19871230
HU 200322	В	19900528		
SU 1799378	A3	19930228	SU 1987-4203921	19871230
CN 87108295	A	19880713	CN 1987-108295	19871231
ZA 8803534	A	19890125	2A 1988-3534	19880518
GB 1986-31083	Α	19861231		
GB 1987-12647	A	19870529		
GB 1987-24903	Α	19871023		
EP 1987-119063	A	19871222		
	CNT 3 PATENT NO. EP 273369 EP 273369 EP 273369 EP 273369 R: AT, BE, CH, AT 73131 ES 2033292 F1 8705719 JP 631390869 JP 05019543 ZA 8709706 DK 8706895 NO 168299 NO 168299 AU 8783152 AU 600782 HU 45971 HU 200322 SU 17399378 CN 87108295 ZA 8803534 GB 1986-31083 GB 1987-12647 GB 1987-12647	CNT 3 PATENT NO. EP 273369 A2 EP 273369 A3 EP 273369 B1 R: AT, BE, CH, DE, ES AT 73131 T 59 2033292 F11 8705719 A JP 63190869 A JP 05019543 B D K 8706935 A NO 166299 B NO 166299 C AU 8783152 AU 600792 B B W 145971 AU 200322 B U 1799378 AU 8003524 AU 80	CNT 3 PATENT NO. KIND DATE PP 273369 A2 19880706 EP 273369 A3 19891018 EP 273369 B1 19920304 R: AT, BE, CH, DE, ES, FR, GB, AT 73131 T 19920304 EP 273369 B1 19920315 EP 2033292 T3 19930316 EP 273369 A 19880701 JP 63190869 A 19880701 JP 63190869 A 19880701 JP 63190869 A 19880701 NO 160299 B 1991023 EP 2032020 AU 8783152 A 19880701 NO 160299 B 19911028 EP 20320205 AU 8783152 A 19880702 AU 80782152 A 19880702 EP 20320205 EP	CNT 3 PATENT NO, KIND DATE APPLICATION NO. EP 273169 A2 19880706 EP 1987-119063 EP 273169 B1 19920104 R: AT, BE, CH, DE, ES, FR, GB, GR, TT, LI, LU, NL, SE AT 73131 T 1992015 AT 1987-119063 ES 203292 T3 19930316 ES 1987-130647 JP 61190869 A 19880801 JP 1987-335647 JP 61190869 A 19880801 JP 1987-335647 JP 6319543 B 19930317 ZA 8709706 A 19880801 Z4 1987-9706 DK 8705438 A 19880701 DK 1987-5935 NO 8705488 A 19880701 DK 1987-5488 NO 166299 B 19911028 NO 166299 C 1992005 AU 8781152 A 19880707 AU 1987-83152 AU 600782 B2 1990823 HU 49971 A2 19880928 HU 1987-6136 HU 200122 B 1990528 SU 1799378 A3 19980728 SU 199378 A3 19930228 SU 1987-4203921 CN 87108295 A 19880713 CN 1987-103295 ZA 8803534 A 19990125 ZA 1988-3534 GB 1986-13083 A 19961231 GB 1987-12647 A 19870529 ES 1987-12647 A 19870529

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108:195821

IOB::195821
Bis(Chiazolinethione) derivative mondiffusing photographic additives
Heilmann, Steven M.; Krepski, Larry R.; Rasmussen, Jerald K.; Katritzky,
Alan R.; Tarr, Richard D.
Minnesota Mining and Manufacturing Co., USA
Bur. Pat. Appl., 26 pp.
CODEN: EXXLW

PA SO

MARPAT 109:149069

DT LA

Patent English

FAN.	CNT 1				
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
ΡI	EP 239369	A1	19870930	EP 1987-302545	19870324
	R: BE, CH, DE,	FR, GE	I, IT, LI, N	L, SE	
	AU 8769818	A	19871001	AU 1987-69818	19870309
	AU 586914	B2	19890727		
	JP 62246558	Α	19871027	JP 1987-67723	19870320
	US 4946962	A	19900807	US 1989-356000	19890523
PRAI	US 1986-843078	A	19860324		
15					

Bis(thiazolinethione) derivs. represented by the formula I or II [R1 = H, C1-4 alkyl, C5-12 aryl; R2 = H, C1-20 alkyl, C5-12 aryl; Z1 = (branched) C2-20 alkylene that can be interrupted by 21 non-adjacent O, S or NR3 (R3 = H, lower alkyl), C5-12 arylene, C5-12 arene group; Z2 = C-C bond, (branched) C1-20 alkylene that can be interrupted by 21 non-adjacent O or S, C5-12 arylene, C6-20 arene, C6H23C6H4; Z3 = (branched) C1-4 alkylene, O, S, S02, C0, CR24, NR4 (R4 = H, lower alkyl)) are synthesized and used as nondiffusing photog, additives, such as antiloggants for image background reduction, sensitizers to increase the photosensitivity of Ag halide emulsions, and toners to enhance the black tone of images provided by Ag halide emulsions.

LT RL: TEM (Technical or engineered material use); USES (Uses) (photog. material containing, as nondiffusing additive) 112544-54-4 CAPLUS

2(3H)-Thiazolethione, 4,4'-(oxydi-4,1-phenylene)bis(3-methyl- (9CI) (CA INDEX NAME)

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Title derivs. I [R1, R2, R8 = H, cyano, halo, alkyl, haloalkyl, alkythio, sulfinyl, sulfonyl, alkoxy; R1 = alkyl, mono- or dialkylamino; R4 = acyl, cyano, CO2H, hydroxyalkyl, SH, alkylthio, -sulfinyl, -sulfonyl, 2-oxodioxolan-1-ylidenemethyl, CR6:RR7, (un) aubstituted S-membered unsate, heterocyclyl; SPh; R5 = H, halo, alkoxy, carboxyalkoxy, alkoxycarbonylalkoxy, ureido, thiouredo) are prepared for use as analgasics, antiinflammatories, and antipyretics. 4-maino-1-(2,4-difluorophenoxy)acetophenone was prepared in 4 steps and sulfonylated by McSO2Cl in pyridine to give acetyl (difluorophenoxy)methanesulfonanilide II. In the adjuvant arthritis test in rats. II at 1.0 mg/kg/day orally inhibited secondary (uninjected) pawlesion by 50%, vs. only 24.7% by ibuprofen at 10.0 mg/kg.
110536-15-00 tilosec-60-35 by (synthetic preparation); THU (Therapeutic use); BIOL (Biological study, unclassified), SPN (synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of, as analgesic, antipyretic and antiinflammatory) 116636-59-0 CAPLUS Methanesulfonamide, N-[4-(2-amino-4-thiazolyl)-2-(2,4-AB

116686-59-0 CAPLUS Methanesulfonamide, N-[4-{2-amino-4-thiazoly1}-2-{2,4-difluorophenoxy}pheny1}- (CA INDEX NAME)

ANSWER 70 OF 104 CAPLUS COPYRIGHT 2007 ACS on STN 1988:195821 CAPLUS <u>Full-text</u>

10576830-103

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ANSWER 71 OF 104 CAPLUS COPYRIGHT 2007 ACS ON STN 1988:56712 CAPLUS Full-text

108:56712

ΑU

108:56712
Synthesis and characterization of poly([3H]-thiazole-2-thione)s
Katritzky, Alan R., Tarr, Richard D., Heilmann, Steven M., Rasmussen,
Jerald K., Krepski, Larry R.
Dep. Chem., Univ. Plorida, Gainesville, FL, 32611, USA
Journal of Polymer Science, Part A: Polymer Chemistry (1987), 25(12), CS SO 3205-14 CODEN: JPACEC; ISSN: 0887-624X

DT LA

English
The reaction of dithiocarbamate salts with a haloketones was extended to (i) The reaction of dithiocarbamate salts with α -haloketones was extended to (i) dithiocarbamate salts with bis(α -haloketones), (ii) bis(dithiocarbamate salts) with α -haloketones, and (iii) bis(dithiocarbamate salts) with bis(α -haloketones). Both (i) and (ii) gave bis([3H]-thiazole-2-thiones) in high yields, and (iii) gave the corresponding polymers which were described and characterized. 199274-36-4P RE: SPN (Synthetic preparation), PREP (Preparation) (preparation and characterization of) 196574-35-3 CAPLUS Poly([2-thioxo-4,3(2H)-thiazolediyl)-1,4-phenyleneoxy-1,4-phenylene) (9CI) (CA INDEX NAME)

109674-36-4 CAPLUS
Poly (2-thioxo-4,3(2H)-thiazolediy1)-1,6-hexanediy1(2-thioxo-3,4(2H)-thiazolediy1)-1,4-phenyleneoxy-1,4-phenylene) (9CI) (CA IMDEX NAME)

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ΙT

I13544-54-4P
RL: SPN (Synthetic preparation), PREP (Preparation)
(preparation of)
12544-54-4 CAPLUS
2(3H)-Thiazolethione, 4,4'-(oxydi-4,1-phenylene)bis[3-methyl- (9CI) (CA

L31 ANSWER 72 OF 104 CAPLUS COPYRIGHT 2007 ACS on STN AN 1987:491901 CAPLUS Full-text

107:91901
Pungicidal thiazolines
Naumann, Holger; Dehne, Heinz; Fieseler, Christine; Goetzschel, Kurt;
Pallas, Manfred; Schoenfelder, Dietmar; Mueller, Wolfgang; Kochmann,
Werner; Naumann, Kurt; Steinke, Walter
VEB Chemiekombinat Bitterfeld, Ger. Dem. Rep.
Ger. (Bast), 5 pp.
CODEN; GEXXAS
Patent
German
CNT 1

FAN.CNT 1				
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI DD 241844	Al	19870107	DD 1985-281998	19851023
PRAI DD 1985-281998		19851023		
CI				

10576830-103

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- Polymers containing units I (R = H, alkyl, etc.), useful as non-migrating components in photosensitive materials, are prepared by copolymg. a bis(dithiocarbamate) salt with a bis(a-halo ketone) with cyclization to form the thiazolinethione rings. CS2 was added to H2N(CH2)6NH2 in aqueous KOH to prepare KS2CNH(CH2)6NKCS2K which was copolymd. with 4,4'bis(bromacetyl)biphenyl (prepared from biphenyl and BrcH2COBr), and the copolymer was cyclized in the presence of HCl to give a polymer containing units I (R = H).

 103674-35-3F 103674-36-4P
 RL: PREP (Preparation)
 (preparation of, for non-migrating photog. component)
 103674-35-3 CAPLUS
 Poly((2-thioxo-4,3(2H)-thiazolediyl)-1,2-ethanediyl(2-thioxo-3,4(2H)-thiazolediyl)-1,4-phenyleneoxy-1,4-phenylene) (SCI) (CA INDEX NAME)
- ΙT

109674-36-4 CAPLUS
Poly[(2-thioxo-4,3(2H)-thiazolediyl)-1,6-hexanediyl(2-thioxo-3,4(2H)-thiazolediyl)-1,4-phenylene0xy-1,4-phenylene) (9CI) (CA INDEX NAME)

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ANSMER 73 OF 104 CAPLUS COPYRIGHT 2007 ACS ON STN 1987:478488 CAPLUS Full-text
107:78488
Thiazolinethione-containing polymer
Katritzky, Alan R.; Heilmann, Steven M.; Krepski, Larry R.; Rasmussen,
Jerald K., Tarr, Richard D.
Minnesota Mining and Manufacturing Co., USA
U.S., 8 pp.
CODEN: USXXXM
Patent AN DN TI IN

PA SO

TT LA Patent English

FAN.	CNT 1				
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 4659801	A	19870421	US 1985-807351	19851210
	CA 1256241	Al	19890620	CA 1986-523638	19861124
	EP 225804	A1	19870616	EP 1986-309583	19861209
	EP 225804	B1	19900131		
	R: BE, CH, DE,	FR, GE	3, IT, LI, NL	1	
	JP 62187730	A	19870817	JP 1986-293343	19861209
PRAI	US 1985-807351	A	19851210		

10576830-103

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109674-38-69

193674-38-6P
RL: PRRP (Preparation)
(preparation of, for non-migrating photog. components)
109674-38-6 CAPLUS
Poly (2-thioxo-4,3(2H)-thiazolediyl)-1,3-propanediyl(2-thioxo-3,4(2H)-thiazolediyl)-1,4-phenyleneoxy-1,4-phenylene| (SCI) (CA INDEX NAME)

106:49724
Studies on hypolipidemic agents. III. m-(4-Phenoxybenzoyl)alkanoic acid derivatives
Tomisawa, Kazuyuki; Kameo, Kazuya; Matsunaga, Tohru; Saito, Shiuji; Hosoda, Kazuaki; Asami, Yumiko; Sota, Kaoru
Res. Cent., Taisho Pharm. Co., Ltd., Saitama, 330, Japan
Chemical & Pharmaceutical Bulletin (1986), 34(2), 701-12
CODEN: CPBTAL; ISSN: 0009-2363
Journal
Enolish

DT LA OS AB

CASRBACT 106:49724

2 (Acetylthio) -7 -(4-substituted phenoxybenzoyl)propionic acids and m-(4-phenoxybenzoyl)alkanoic acids were prepared, and tested for hypolipemic activity in rats. 2-(Acetylthio)-3-(4-phenoxybenzoyl)propionic acid derivs. had the most potent hypolipemic activities, and halogen substitution on the phenoxy group increased the activity. Thus, the Friedel-Crafts acylation of 4-FC6H400Ph with maleic anhydride gave 4-FC6H40C6H4CCH:CHCO2H, which added AcSH to give 4-FC6H40C6H4CCCH2CH(SAC) 202H (I). I had greater hypolipemic activity than clofibrate.
105:69-31-1P 105:69-32-2P 105:769-33-2P 105:769-33-2P 105:769-31-2P 105:769-31-5-5P 105:769-33-2P 105:769-37-7P 105:769-31-5-5P 105:769-36-EP 105:769-37-P 105:769-34-2P 105:769-36-EP 105:76

10576830-103

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105769-32-2 CAPLUS

5-Thiazoleacetic acid, 2-methyl-4-(4-phenoxyphenyl)-, ethyl ester (CA INDEX NAME)

105769-33-3 CAPLUS

5-Thiazoleacetic acid, 4-[4-(4-chlorophenoxy)phenyl]-2-methyl- (CA INDEX NAME)

105769-34-4 CAPLUS

5-Thiazolepropanoic acid, 2-methyl-4-(4-phenoxyphenyl) - (CA INDEX NAME)

105769-35-5 CAPLUS

5-Thiazolepropanoic acid, 4-(4-phenoxyphenyl)-, ethyl ester (CA INDEX NAME)

10576830-103

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105769-40-2 CAPLUS 5-Thiazolepropanoic acid, 2-amino-4-(4-phenoxyphenyl)-, ethyl ester (CA INDEX NAME)

105769-41-3 CAPLUS

5-Thiazolepropanoic acid, 2-amino-4-[4-(4-chlorophenoxy)pheny1]-, ethyl ester (CA INDEX NAME)

1061)2-59-6 CAPLUS 5-Thiazoleacetic acid, 4-[4-(4-chlorophenoxy)phenyl]-2-methyl-, ethylester (CA INDEX NAME)

CH2-C-OE

ANSWER 75 OF 104 CAPLUS COPYRIGHT 2007 ACS on STN 1986:621003 CAPLUS Full-tuxt 105:221003 Thiazolylamide herbicides

105769-36-6 CAPLUS 5-Thiazolepropanoic acid, 4-[4-(4-chlorophenoxy)phenyl]-2-methyl- (CA INDEX NAME)

105769-37-7 CAPLUS

5-Thiazolepropanoic acid, 4-[4-(4-chlorophenoxy)phenyl]-2-methyl-, ethyl ester (CA INDEX NAME)

105769-38-8 CAPLUS 5-Thiazoleacetic acid, 2-amino-4-(4-phenoxyphenyl)-, ethyl ester (CA INDEX NAME)

105769-39-9 CAPLUS 5-Thiazoleacetic acid, 2-amino-4-[4-(4-chlorophenoxy)phenyl]-, ethyl ester (CA INDEX NAME)

10576830-103 192 of 236 Lange, Arno; Wuerzer, Bruno; Meyer, Norbert BASF A.-G. , Fed. Rep. Ger. Ger. Offen., 25 pp. CODEN: GMXXBX

Patent

PW.	German				
FAN	.CNT 1				
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PΙ	DE 3503773	A1	19860807	DE 1985-3503773	19850205
	US 4769062	Α	19880906	US 1986-820232	19860121
	CA 1269987	A1	19900605	CA 1986-500542	19860128
	BR 8600374	A	19861014	BR 1986-374	19860130
	EP 192998	A2	19860903	EP 1986-101342	19860203
	EP 192998	A3	19860910		
	EP 192998	B1	19900124		
	R: BE, CH, DE,	FR, G	B, IT, LI, N	L	
	HU 42463	A2	19870728	HU 1986-481	19860204
PRA	I DE 1985-3503773	A	19850205		
00	CACREACT INC. 221002	. MADD	AT 105.22100		

The title compds. I (R1 = H, alkyl, R2 = alkyl, alkenyl, alkynyl, cycloalkyl, R3 = H, alkyl, halo; X = halo, alkoxy, haloalkoxy, alkyl, haloalkyl, cycloalkyl, alkylthio, NO2, CN, substituted Ph of PhO; n = 1-4) are prepared as herbicides. Thus, 8.23 g - (4-difluoromethoxyphenyl)thiazol e-2-ylamine (proparation given) and 3.46 g EtCOCl was heated at 50° for 2 h to give 7 g I (X = 4-P2CHO; R1 = R3 = H, R2 = Et) (II). Postemergence II applied at 0.5 kg/ha, controlled weeds in soybean, wheat and other crops. 105512.81-21 105512.81-24-3? RL: RCT (Reactant) SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (preparation and amidation by, of carboxylic acids) 105512.82-1 CAPLUS 2-Thiazolamine, 4-(4-phenoxyphenyl)- (CA INDEX NAME)

H₂N OPh

2-Thiazolamine, 4-(3-chloro-4-phenoxyphenyl)- (CA INDEX NAME)

105527-98-9F
RL. AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation) USES (Uses) (preparation of, as herbicide)
105527-98-9 CAPLUS
Propanamide, N-[4-[3-chloro-4-(4-chlorophenoxy)pheny1]-2-thiazoly1]- (CA NOBE NAME)

	PATENT NO.
PI	JP 61097330
PRAI	JP 1984-216366
GI	

DATE APPLICATION NO. JP 1984-216366 19860515 19841017

1057	6830-103		195 of 236		
	JP 02062554	В	19901226		
	US 4705873	A	19871110	US 1987-3258	19870114
PRAI	IT 1984-48956	A	19841005		
	EP 1985-830248	Α	19851002		
os	MARPAT 105:115053				
GI					

2-Aminothiazole derivs. I (R = alkyl, naphthyl, adamantyl, Ph2CHO, (un)substituted Ph), which inhibit gastric secretion by antagonizing histamine H2 receptors, were prepared For example, 2-[[[5-[dimethyl]amino]methyl]-2-furanyl]methyl]thiolethanamine underwent addition reaction with BzNCS, followed by debenzoylation and cyclocondensation with BrCH2COPh to give I (R = Ph).

Ph).
104059-06-59
RL: BAC (Biological activity or effector, except adverse), BSU (Biological study, unclassified), SPN (Synthetic preparation), THU (Therapeutic use), BIOL (Biological study), PREP (Preparation); USES (Uses) (preparation of, as ulcer inhibitor)
104059-06-5 CAPLUS
2-Thiasolamine, N-[2-{[[5-[(dimethylamino)methyl]-2-furanyl]methyl]thio]ethyl]-4-(4-phenoxyphenyl)- (CA INDEX NAME)

ANSWER 78 OP 104 CAPLUS COPYRIGHT 2007 ACS ON STN 1986:68849 CAPLUS Full-text
104:68849 Thiazolylureas and their use in combatting unwanted vegetation Lange, Arno; Parge, Adolf; Wuerzer, Bruno
BASF A.-G., Fed. Rep. Ger.
Ger. Offen., 18 pp.
CODEN: GMXXBX
PALENT

Patent German

FAN	CNT 1				
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PΙ	DE 3413755	A1	19851024	DE 1984-3413755	19840412
	EP 161442	A2	19851121	EP 1985-103772	19850328
	EP 161442	A3	19861105		
	R: BE, CH, DE,	FR, GE	, IT, LI, NL		
	BR 8501705	A	19851210	BR 1985-1705	19850411
	ZA 8502680	A	19851224	ZA 1985-2680	19850411

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6830-103 194 of 236
Soluble, heat-resistant polythizzoles are prepared from the haloketones [PhCH(K):Co-p-C6H4]20 and bisthioamides. Thus, heating 1.5 mmol each [PhCH(Br):Co-p-C6H4]20 and dithioisophthalamide in 10 mL DMF at 60° for 3 days give the polythizzole I with intrinsic viscosity 1.14 dL/g (MeSO3H, 30°) which was soluble in MeSO3H, CHCl3, and cresol. A cast film lost 10% weight at 570° in air.
104570-37-0 104570-38-9
RL: USES (Uses) (heat-resistant, manufacture of soluble)
104570-37-8 CAPUS
Poly[(5-pheny]-4,2-thiazolediy])-1,3-phenylene(5-phenyl-2,4-thiazolediyl)-1,4-phenyleneoxy-1,4-phenylene) (9CI) (CA INDEX NAME)

IT

104570-38-9 CAPLUS Poly((5-phenyl-4,2-thiazolediyl)-1,4-phenylene(5-phenyl-2,4-thiazolediyl)-1,4-phenyleneoxy-1,4-phenylene| (SCI) (CA INDEX NAME)

L31 ANSWER 77 OF 104 CAPLUS COPYRIGHT 2007 ACS on STN AN 1986:515053 CAPLUS <u>Pull-text</u>

105:115053

2-Aminothiazole derivatives having acid secretion inhibiting activity

Baglioni, Alessandro Medosan Industrie Biochimiche Riunite S.p.A., Italy Eur. Pat. Appl., 28 pp. CODEN: EPXXDM

DT Patent

English

FAN.	CNT 1				
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 177463	A2	19860409	EP 1985-830248	19851002
	EP 177463	A3	19870527		
	EP 177463	B1	19901212		
	R: AT, BE, CH,	DE, F	R, GB, LI,	NL, SÉ	
	US 4652575	A	19870324	US 1985-775245	19850912
	AT 59039	T	19901215	AT 1985-830248	19851002
	JP 61091186	A	19860509	JP 1985-221727	19851004

10576830-103 196 of 236 HU 37769 A2 19860228 HU 194546 B 19880229 PRAI DE 1984-3413755 A 19840412 OS CASREACT 104:68849; MARPAT 104:68849 19860228 HU 1985-1347 19850411

The thiazolylureas I (R = H, halo, alkyl, alkoxy, CN, etc.; R1 and R3 = H, alkyl, R2 = alkyl, alkoxy, alkenyl, etc.; n = 0, 1, 2, 3), useful as herbicides, were prepared by 3 methods. 4-MeoC6H4COMe (30 g) was cyclized with 33.5 g thiourea and 56 g iodine in 5 h at 100° to give 37.8 g 4-(4-methoxyphenyl)-2-aminothiazole which (6.2 g) reacted with 2.9 g MeNCO in PhMe containing 2 drops BuxSn(OAc)2 in 12 h at 50° to give 5.5 g I (Rn = 4-MeO, R1 = Me, R2 = R3 = H). I (Rn = 4-CP3, R1 = Me, R2 = OMe, R3 = H) (3 kg/ha), applied postemergence in greenhouse expts., controlled many broadleaf and grass weeds.

100283-82-82 100283-86-1P 100284-05-7P
R1: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of, as herbicide) 100283-83-8 CAPLUS

Urea, N-[4-(4-[2-chloro-4-(trifluoromethyl)phenoxy]phenyl]-2-thiazolyl]-N'-methyl- (CA INDEX NAME)

100283-86-1 CAPLUS
Urea, N'-[4-(4-(2-chloro-4-(trifluoromethyl)phenoxylphenyl]-2-thiazolyl]-N-methoxy-N-methyl- (CA INDEX NAME)

100284-05-7 CAPLUS

Urea, N-methoxy·N-methyl·N'-[4-(4-phenoxyphenyl)-2-thiazolyl]- (CA INDEX NAME)

ANSWER 79 OF 104 CAPLUS COPYRIGHT 2007 ACS on STN
1984:483697 CAPLUS Full-text
101:38597
Studies on the antiinflammatory activity and ulcerogenic adverse effect of
thiazole derivatives, especially 2-amino-thiazoleacetic acid derivatives
Nagatomi, H.; Ando, K.
Gen. Res. Cent., Funai Pharm. Ind. Ltd., Hirakata, Japan
Arzneimittel-Porschung (1984), 34(5), 599-603
CODEN: ARZNAD: ISSN: 0004-4172
Journal
English

Fifty-four thiazole-5-acetic acid derivs. (I; Rl = Ph, chlorophenyl, benzyl, phenylamino, benzoylamino, or NH2; RZ = various aryl) and 34 2-aminothiazole derivs. (II; R2 = Ph, chlorophenyl, or ethylphenyl; R3 = carboxymethyl or q-methylcarboxymethyl; R4 = N, Me, or Et; R5 = Et, trifluoromethyl, or Various aryl) were tested for antiinflammatory activity in the rat carrageenan edema test. The 2 most active compds. were 4-(4-chlorophenyl)-2-(phenylamino)thiazole-5-acetic acid (III) [49779-95-5] and 4-(4-chlorophenyl)-2-(diethylamino)thiazole-5-acetic acid (III) [49789-02-1]. In further antiinflammatory tests, both III and IV inhibited the heat-induced denaturation of albumin and erythrocyte lysis and inhibited chymotrypsin and trypsin activities; both were more active than the refs. drugs. Both III and IV had low ulcerogenic activity in rats; III, especially, caused almost no gastric damage, even at high doses.

11 1 1 31 7 91/33-34 512.1-6-1.

3124-26 91254 77-4.

R1 BAC (Biological activity or effector, except adverse); BSU (Biological

ΙŢ

RL: BAC (Biological activity or effector, except adverse), BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(inflammation inhibition by, ulcerogenic side effect in relation to) 91233-81-7 CAPLUS

10576830-103

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91254-37-4 CAPLUS

5-Thiazoleacetic acid, 2-(benzoylamino)-4-(4-phenoxyphenyl)- (CA INDEX NAME)

L31 ANSWER 80 OF 104 CAPLUS COPYRIGHT 2007 ACS ON STN AN 1983:438404 CAPLUS <u>Full-text</u>

DN 99:38404 Concept 99:6033a,6036a
TI N-(4-Sphere)

99:6033a,6036a
N.(4-Substituted-thiazolyl)oxamic acid derivatives, new series of potent, orally active antiallergy agents
Hargrave, Karl D.; Hess, Friedrich K.; Oliver, James T.
Res. Dev., Boehringer Ingelheim Ltd., Ridgefield, CT, 06877, USA Journal of Medicinal Chemistry (1983), 26(8), 1158-63
CODEN. JMCMAR; ISSN: 0022-2623
JOURNAL
English
CASREACT 99:38404

CS SO

series of N-(4-substituted-thiazolyl)oxamic acid derivs, were prepared by reatment of the appropriate acetophenone with thiourea and iodine or by

10576830-103 198 of 236

5-Thiazoleacetic acid, 4-(4-phenoxyphenyl)-2-phenyl- (CA INDEX NAME)

91233-88-4 CAPLUS 5-Thiazoleacetic acid, 2-(2-chlorophenyl)-4-(4-phenoxyphenyl)- (CA INDEX

91233-97-5 CAPLUS 5-Thiazoleacetic acid, 4-(4-phenoxyphenyl)-2-(phenylmethyl)- (CA INDEX

91234-20-7 CAPLUS

Thiazoleacetic acid, 2-amino-4-(4-phenoxyphenyl) - (CA INDEX NAME)

10576830-103

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reaction of the chloroacetylbenzene with thiourea to give the corresponding aminothiazoles; subsequent condensation with Eto2CCOC1 gave the thiazolyloxamidates. Many of the analogs showed a 50% inhibition at <2 mg/kg orally or <0.4 mg/kg i.v. and were significantly more potent than disodium cromoglycate. Hydrolysis of the oxamates generally resulted in enhanced activities, while substitution of the Ph ring with a variety of substituents (e.g., 4-F, 4-OBL, and 4-RNAC) did not significantly enhance the activity of the unsubstituted Ph derivative. The ethanolomine salt of I has been selected for further pharmacol. evaluation. #2543-61-2P #5243-63-4P RL: BAC (Biological activity or effector, except adverse); BSU (Biological study), unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation and antiallergic activity of)
#5549-61-2 CAPLUS
Acetic acid, oxol[4-(4-phenoxyphenyl)-2-thiazolyl]amino]-, ethyl ester

85649-61-2 CAPLOS
Accetic acid, oxo[[4-(4-phenoxyphenyl)-2-thiazolyl]amino]-, ethyl ester
(9CI) (CA INDEX NAME)

85849-63-4 CAPLUS

Acetic acid, oxo{(4-(4-phenoxyphenyl)-2-thiazolyl)amino}-, compd. with 2-aminoethanol (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 85849-62-3 CMF C17 H12 N2 O4 S

HO2C-C-NH N

CM 2

CRN 141-43-5 CMF C2 H7 N O

H2H-CH2-CH3-OF

1983:160624 CAPLUS <u>Full-text</u> 98:160624

OREF 98:24378h,24379a

98;24378h,243798
Studies on antidiabetic agents. III. 5-Arylthiazolidine-2,4-diones as potent aldose reductase inhibitors
Sohda, Takashi, Mizuno, Katsutoshi, Imamiya, Eiko, Tawada, Hiroyuki, Meguro, Kanjir Kawamatsu, Yutaka, Yamamoto, Yujiro
Cent. Res. Div., Takeda Chem. Ind., Ltd., Osaka, 512, Japan
Chemical & Pharmaceutical Bulletin (1982), 30(10), 3601-16
CODEN: CPBTAL; ISSN: 0009-2363
Journal
English

Thiazolidine-2,4-dione derivs. (86 compds. having one or two substituent(s) such as Ph, heteroaryl and alkyl group(s) at the 5-position were synthesized by several methods and evaluated as aldose reductase inhibitors. Thus o-EtcHACHEROCAMe was cyclized with HANCSNN12 to give its thiazolidine I (X = NN), which was hydrolyzed to give I (X = 0). Inhibition by the active compds. of the swelling of the lens in a rat-lens-culture assay was also measured. Among these compds., a series of 5-(3,4-dialkoxyphenyl)thiazolidine-2,4-diones showed pronounced activities in both assays. Structure-activity relationships are discussed and a new approach to the synthesis of 5-arylthiazolidine-2,4-diones is described.
85758-86-1P
RL: SPN (Synthetic preparation); PREP (Preparation) (preparation and aldose reductase inhibition by)
85258-86-2 CAPLUS
2,4-Thiazolidinedione, 5-{4-(4-chlorophenoxy)phenyl}- (CA INDEX NAME)



10576830-103

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(preparation of) 84263-99-0 CAPLUS Timesole, 2-{(1,3-dithiolan-2-ylmethyl)thio}-4,5-bis(4-phenoxyphenyl)-(CA INDEX NAME)

L31 ANSWER 83 OF 104 CAPLUS COPYRIGHT 2007 ACS ON STN AN 1982:616161 CAPLUS Full-text DN 97:216161

OREF 97:36285a.36288a

TI IN

97:36285a,36288a
Thiazole compounds and medicinal composition containing them
Sakano, Isao; Yokoyama, Tatsuro; Kajiya, Seitaro; Okazaki, Yutaka, Tokuda,
Hiroshi; Kawazura, Hiroshi; Kumakura, Mikio; Nakano, Takuo, Awaya, Akira
Micsui Toatsu Chemicals, Inc. , Japan
PCT Int. Appl., 34 pp.
CODEN: PIXXD2
Patent

D1	racent				
LA	Japanese				
FAN.	CNT 1				
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
	•				
ΡI	WO 8202383	A1	19820722	WO 1982-JP12	19820113
	W: US				
	RW: CH, DE, FR,	GB, NL			
	JP 57118572	A	19820723	JP 1981-2741	19810113
	JP 02055426	В	19901127		
	JP 57136578	A	19820823	JP 1981-6321	19810121
	JP 02055427	В	19901127		
	EP 69154	A1	19830112	EP 1982-900258	19820113
	EP 69154	B1	19861015		
	R: DE, FR, GB				
	US 4501750	A	19850226	US 1982-420257	19820913
PRAI	JP 1981-2741	Α	19810113		
	JP 1981-6321	A	19810121		
	WO 1982-JP12	A	19820113		
09	CASREACT 97:216161;	MARPAT	97:216161		

NHCOR² I CONH S R1

10576830-103 202 of 236

L31 ANSMER 82 OF 104 CAPLUS COPYRIGHT 2007 ACS on STN AN 1983:53881 CAPLUS Full-text
OREF 98:8293a,8296a
TI Thiazole derivatives, medicaments containing them and the strain of the stra ys:szysa,8296a
Thiasole derivatives, medicaments containing them and their use
Ferrini, Pier Giorgio; Goeschke, Richard
Ciba-Geigy A.-G., Switz.
Eur. Pat. Appl., 119 pp.
CODEN: EPXXDW
Patent

LA	German				
FAN.	CNT 1				
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 61425	A2	19820929	EP 1982-810111	19820312
	EP 61425	A3	19821215		
	R: AT, BE, CH,	DE, FR	, IT, LU,	NL, SE	
	US 4451471	A	19840529	US 1982-355989	19820308
	FI 8200877	A	19820919	FI 1982-877	19820315
	DK 8201184	A	19820919	DK 1982-1184	19820317
	NO 8200881	A	19820920	NO 1982-881	19820317
	GB 2098203	A	19821117	GB 1982-7759	19820317
	GB 2098203	В	19850509		
	ZA 8201790	A	19830126	ZA 1982-1790	19820317
	HU 33130	A2	19841029	HU 1982-811	19820317
	HU 187684	В	19860228		
	AU 8281667	A	19820923	AU 1982-81667	19820318
	JP 57183767 .	A	19821112	JP 1982-41809	19820318
	IL 65285	A	19851129	IL 1982-65285	19820318
	DD 202705	A5	19830928	DD 1982-238479	19820326
	ES 533645	A1	19860216	ES 1984-533645	19840622
	ES 545147	Al	19861216	ES 1985-545147	19850712
PRAI	CH 1981-1838	A	19810318		
	US 1983-507419	A	19830624		
	US 1984-614612	A	19840529		
	US 1984-614615	A	19840529		
	US 1984-614617	A	19840529		
os	CASREACT 98:53881;	MARPAT	98:53881		

I [R, Rl = aryl, hydroxy- (or a derivative), mercapto- (or a derivative) (alkylamino)-, trifluoromethylaryl or heteroaryl, etc.; n = 0-2; R2 = alkyl, hydroxyalkyl, alkoxyalkyl, mercaptoalkyl, (alkylthioalalkyl, etc.) were prepared as antirheumatics (no data). Thus, 4-MooC6H4CHBFCOC6H4CMe-4 was cyclized with P2S5 and the thiazole alkylated with BuLi and Me2S2 to give II.

RL: SPN (Synthetic preparation); PREP (Preparation)

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Title compds. I (R = H, halo, alkyl, alkoxy, (un)substituted PhO, NO2, cyano; Rl = H, alkyl, alkylthio; R2 = haloalkyl, 0], useful as inflammation inhibitors (data given) were prepared Thus, stirring 17.6 g 2-amino-4-phenylthiazole with 6.4 g Clococol in THF in the presence of 10 g Et3N gave 7.5 g I (R = Rl = H, R2 = Q).
81766-21-6. Synhetic preparation); PREP (Preparation) (preparation of) 81766-21-6 CAPLUS Ethanediande, N,N-bis[4-[4-(4-chlorophenoxy)phenyl]-5-methyl-2-thiazolyl]- (9CI) (CA INDEX NAME)

PAGE 1-B

L31 ANSMER 84 OF 104 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1982:20116 CAPLUS Pull-text
N 96:20116
OREF 96:3354h,3355a
TI Herbicidally active 2-nitro-5-phenoxyphenyloxazoles, -oxazines, -inidazoles, pyrimidines and -thiazoles and their use
IN Duerr, Dieter
AC Ciba-Geigy A.-G., Switz.
SO Eur. Pat. Appl., 21 pp.
CODEN: EPXRDM
DT Patent
LA German
FAN.CNT 1
PATENT NO. KIND DATE APPLICATION NO. DA

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 35475	A1	19810909	EP 1981-810065	19810227
	EP 35475	B1	19831130		
	R: AT, BE, CH,	DE, FR	, GB, IT, NL		
	AT 5478	T	19831215	AT 1981-810065	19810227
	US 4350519	A	19820921	US 1981-239721	19810302
	PL 126684	B1	19830831	PL 1981-229972	19810303
	CA 1161841	A1	19840207	CA 1981-372239	19810303

10576830-103		205 of 236		
IL 62279	A	19840930	IL 1981-62279	19810303
AU 8168062	A	19810910	AU 1981-68062	19810304
AU 538588	B2	19840823		
ES 500071	A1	19820101	ES 1981-500071	19810304
ZA 8101425	A	19820331	ZA 1981-1425	19810304
DD 156664	A5	19820915	DD 1981-228050	19810304
SU 999969	A3	19830223	SU 1981-3260552	19810304
CS 225828	B2	19840213	CS 1981-1550	19810304
HU 29834	A2	19840228	HU 1981-545	19810304
JP 56154461	A	19811130	JP 1981-31907	19810305
US 4431439	A	19840214	US 1982-395770	19820706
PRAI CH 1980-1739	A	19800305		
EP 1981-810065	Α	19810227		
US 1981-239721	A3	19810302		
OS MARPAT 96:20116				

- The title compds. I [R1 R3 independently = H, halo, CF3, NO2, cyano; X = O, S, NH optionally substituted (o.s.) with C1-4 alkyl; X1 = C2-3 alkylene o.s. with C1-4 alkyl or haloalkyl), useful as herbicides and plant growth regulators, were prepared Condensing benzyl chloride II (R4 = C1) with H2NCH2CH2OH gave the benzamide II (R4 = NHCH2CH2OH) which was converted to the chloride II (R4 = NHCH2CH2CI) with SUC12. Treating II (R4 = NHCH2CH2CI) with Bu4N-C1-, then powdered NaOH and refluxing 0.5 h, then stirring 10 h gave 79% oxazoline III. on preemergence testing, III, at 1 kg/ha, caused heavy damage to complete killing of 15 weeds with only slight damage to barley, wheat, corn, and rice.

 _0131-15 *P

 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study), unclassified); SPN (Synthetic preparation); BIOL (Biological study); DREP (Preparation)

 (preparation and herbicidal activity of)
 S0131-15-7 CAPLUS

 Thiazole, 2-(5-(2-chloro-4-(trifluoromethyl)phenoxyl-2-nitrophenyl)-4,5-dihydro- (CA INDEX NAME) ΙŤ

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2-Thiazolamine, 4-[4-(4-chlorophenoxy)phenyl]-, monohydrochloride (9Cl) (CA INDEX NAME) CN

● HC1

- L31 ANSWER 86 OF 104 CAPLUS COPYRIGHT 2007 ACS on STN AN 1981:103221 CAPLUS <u>Full-text</u>
- 94:103221 OREF 94:16843a.16846a
- 94:16843a,16846a
 Thiazole derivatives. III. Synthesis and pharmacological screening of
 2-mercapto-4-arylthiazolyl-5-acetic acids and their ethyl esters
 Zawadzka, Jadwiga; Szczycinski, Bohdan
 Dep. Chem. Synth., Inst. Pharm. Ind., Warsaw, 01-793, Pol.
 Acta Poloniae Pharmaceutica (1979), 36(5), 551-5
 CODEN: APPHAX; ISSN: 0001-6837
 Journal
 Polish
 CASREACT 94:103221 TI

- Thiazole derivs. I (R \ast H, Cl, Br, F, OMe, Ph, OPh) were prepared in 40-75% yields by bromination in Et20 or CHCl3 of 4-RC6H4CO(CH2)2CO2Et and subsequent cyclization with H2NCS2NH4 in EtOH. I (R \ast H, Cl, Br, F) were hydrolyzed with 20% NAOH to yield the corresponding acids. Pharmacol. tests for antiphiogistic activity gave neg. results.
- IT
- RL: SPN (Synthetic preparation); PREP (Preparation)
- (preparation of)
 75449-14-8 CAPUS
 5-Thiazoleacetic acid, 2,3-dihydro-4-(4-phenoxyphenyl)-2-thioxo-, ethyl ester (CA INDEX NAME)

10576830-103 206 of 236

L31 ANSMER 85 OP 104 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1981:587138 CAPLUS Full-text
DN 95:187138 OREF 95:11329a, 31229a
T1 2-Amino-4-phenylthiazole derivatives as anti-atherogenic agents
AN Kawamatsu, Yutaka; Sonda, Takashi; Imai, Yoshio
CS Chem. Res. Lab., Takeda Chem. Ind. Ltd., Jusohonmachi, Osaka, 532, Japan
European Journal of Medicinal Chemistry (1981), 16(4), 355-62
CODEN: EJMCA5; ISSN: 0009-4374
LA English
G1

Thiazoles I (R = (un) substituted benzyloxy, Ph, Pho, 4-ClC6H4O, PhCH2, PhCH2CH2O, 4-ClC6H4CO2, 4-ClC6H4CONHCH2CH2, 4-ClC6H4CH2NH, 4-ClC6H4CH2N, 3-pyridylmethoxy, 2-thienylmethoxy, cyclohexylmethoxy, 1-methyl-1-cyclohexylmethoxy, MacCH2O, Mc (RL2)14GN20; Rl = R, Me, R2 = H, CHO, acyl, Me, MeSO2, 4-MeCSH4SO2, allyl, cyclohexyl, Ph; RIR2 = (CH2)51 were prepared E.g. refluxing 4-ClC6H4CH2OC6H4CCOCH2Cl-4 with thiourea and NaOAC in H2O/EtOH gave 77.5% I (R = 4-ClC6H4CH2O, R1 = R2 = H) showed pronounced antiatherogenic activity in rate. 79615-33-1 P 79615-42-2P (RL SPN (Synthetic preparation); PREP (Preparation) (preparation and antiatherogenic activity of) 79615-33-1 CAPLUS 2-Thiazolabine, 4-(4-phenoxynhenyl) - monophydrochloride (ACI) (CA LUDON)

79615-33-1 CAPLUS
2-Thiazolamine, 4-(4-phenoxyphenyl)-, monohydrochloride (9CI) (CA INDEX

● HC1

79615-34-2 CAPLUS

10576830-103

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L31 ANSHER 87 OF 104 CAPLUS COPYRIGHT 2007 ACS on STN AN 1974:414549 CAPLUS <u>Full-text</u>

OREF 81:2355a,2358a

31:13549
31:2355a,2358a
Infrared spectroscopic studies on high-temperature-stable fibers and textiles with ATR [attenuated total reflection] technique. II. Infrared spectra of high-temperature-stable fibers
Hummel, Dieter O., Siesler, Heinz, Zoschke, Elsbeth, Vierling, Ilse, Morlock, Uter, Stadtlaender, Thomas
Inst. Phys. Chem. Kolloldchem., Cologne, Fed. Rep. Ger.
Melliand Textilberichte International (1973), 54(12), 1340-6
CODEN. MTXIAM; ISSN: 0375-9350
JOURNAL
German
The use of ATR-ir spectra for identification of high temperature fibers was discussed and 27 representative spectra were given.
5:110-69-2
RL: USES (Uses)
(fiber, attenuated total reflection ir spectrum of)
52410-69-2 CAPLUS
1,3-Benzenedicarboxylic acid, polymer with 4-(2-{4-(4-aminophenoxy)phenyl]-4-thlazolyl]benzenamine (9CI) (CA INDEX NAME)

ΑU

DT LA AB

СМ

CRN 26510-07-6 CMF C21 H17 N3 O S

CM 2

CRN 121-91-5 CMF C8 H6 O4

но2 с со2 н

ANSMER 88 OF 104 CAPLUS COPYRIGHT 2007 ACS ON STN
1971:529795 CAPLUS Full-text
75:129795
75:20495a,20498a
Nematocidal thiazole derivatives
Burroughs Wellcome and Co. (U.S.A.) Inc.; Wellcome Foundation Ltd.

209 of 236

so Brit., 7 pp. CODEN: BRXXAA

DТ Patent

English CNT 1 LA FAN

NT 1 PATENT NO. KIND DATE APPLICATION NO. DATE 19710915 GB 1968-58068 GB 1246649 19670922

OB 1246649 19710915 GB 1968-58068 in treating nematode infections in warm-blooded animals. Thus, p-(dimethylamino) einnamic acid in CHCl3 was mixed with SOC12 and 25% aqueous methylamine at 10° to give N-methyl-p-(dimethylamino) einnamamide which was refluxed 15 min with P25% in pyridine, to give N-methyl-p-(dimethylamino) thinocinnamamide (I). I was refluxed 2 hr with p-iodophenacyl chloride in ECOH to give S-(p-iododiphenacyl)-N-methyl-p-(dimethylamino) thiocinnamomidate-HCl. The corresponding thiodimidate hydroidide was warmed with Sh HCl in excess NAOAC to give 2-(p-(dimethylamino)styryl)-4-p-iodophenyl-3-methylthiazolium iodide. Other thiazoles similarly prepared were 2-(p-(dimethylamino)styryl)-4-p-methoxyphenyl-3-methylthiazolium iodide and 2-(p-(dimethylamino)styryl)-4-p-methoxyphenyl-3-methylthiazolium bromide.

24229-31-0F 24259-09-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
24229-1-10 CAPLUS
Thiazolium, 2-(p-(dimethylamino)styryl)-3-methyl-4-(p-phenoxyphenyl)-, iodide (SCI) (CA INDEX NAME)

24259-08-3 CAPLUS Thiazollum, 2-[p-(diethylamino)styryl]-3-methyl-4-(p-phenoxyphenyl)-, iodide (eCI) (CA INDEX NAME)

10576830-103

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ANSWER 89 OF 104 CAPLUS COPYRIGHT 2007 ACS on STN 1970:68047 CAPLUS Full-text 72:68047

OREF 72:12445a.12448a

Aromatic polyamides with heterocyclic ring systems
Kuenzel, H. E.; Wolf, G. D.; Bentz, F.; Blankenstein, G.; Nischk, Guenther

Aromatic polyamides with heterocyclic ring systems
Ruenzel, M. E., Wolf, G. D., Bentz, F.; Blankenstein, G.; Nischk, Guenther
B. Grg.-Wiss. Lab., Farbenfabriken Bayer A.-G., Dormagen/Rh., Fed. Rep. Ger.
Makromolekulare Chemie (1969), 130, 103-44
CODEN: MACEAK; ISSN: 0025-116X
JOURNAI
German
German
Grot diagram(s), see printed CA Issue.
Aromatic diamines containing oxadiazole, triazole, thiadiazole, thiazole, hydantoin, quinazolone, and quinazolinedione ring systems were prepared and combined with aromatic dicarboxylic acid dichlorides to give the title polymers. The 1.3,4-oxadiazole derivs. were prepared by cyclizing nitrosubstituted 1,2-diacylhydrazines and reducing the NO2 groups (compound and m.p. given): 2.5 - bis[4 - aminophenoxy)phenyl] - 1,3,4 - oxadiazole (11), 2279*; 2 - (4 - aminophenyl) - 5 - (4 - (4 - aminophenoxy)phenyl] - 1,3,4 - oxadiazole , 228-30*; 1,3 - bis[5 - [3 - (4 - aminophenoxy)phenyl] - 1,3,4 - oxadiazole, 228-30*; 1,3 - bis[5 - [3 - (4 - aminophenoxy)phenyl] - 1,3,4 - oxadiazole, 221-3*; 2,5-bis[4 - (4 - aminophenoxy) - 3-chlorophenyl] - 1,3,4 - oxadiazole, 184*; 2,5-bis[4 - (4 - aminophenoxy) - 3-chlorophenyl] - 1,3,4 - oxadiazole, 184*; 2,5-bis[4 - (4 - aminophenoxy) - 3-chlorophenyl] - 1,3,4 - oxadiazole, 184*; 2,5-bis[4 - (4 - aminophenoxy) - 3-chlorophenyl] - 1,3,4 - oxadiazole, 189*; 2,5-bis[4 - (4 - aminophenoxy) - 3-chlorophenyl] - 5- (3- aminophenyl) - 1,3,4 - oxadiazole, 260-3*; 3- (40-3** The triazole derivs. were prepared by treating nitro-substituted hydrazides with benzimidoyl chlorides and then reducing (compound and m.p. given): 3- (4- aminophenoxy)phenyl) - 5- (3- aminophenyl) - 5- (3- aminophenyl) - 4 - phenyl - 1,2,4-triazole, 266-8*; 3- (4- aminophenoxy)phenyl) - 5- (3- aminophenyl) - 4 - phenyl - 1,2,4-triazole, 250-2*; 3,5-bis[4 - (4 - aminophenoxy)phenyl) - 4 - phenyl - 1,2,4-triazole, 250-2*; 3,5-bis[6 - (4 - aminophenoxy)phenyl) - 4 - phenyl - 1,2,4-triazole, 250-2*; 3,5-bis[6 - (4 - aminophenoxy)phenyl) - 4 - phenyl - 1,2,4-triazole, 250-2*; 3,5-bis[6 - (4 -

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properties. The product from II and isophthaloyl chloride had especially outstanding thermal stability and light resistance, good solubility, and g tensile strength which decreased only slightly with increasing temperature 24689-96-1P 26507-11-9P 26510-06-5P 26510-07-6P 26510-10-1P 26515-62-3P 26553-09-9 26555-09-9 26555-09-9 26555-09-9 26555-09-9

26555-99-2P 26556-00-9P 26556-01-9P RE: SPN (Synthetic preparation); PREP (Preparation) (preparation of) 24689-96-1 CAPLUS Thiazole, 2-[p-(4-amino-2-chlorophenoxy)phenyl]-4-(m-aminophenyl)- (8CI) (CA INDEX NAME)

26507-11-9 CAPLUS Thiazole, 2-(p-(p-aminophenoxy)phenyl)-4-(m-aminophenyl)- (8CI) (CA INDEX

Thiazole, 2-[m-(p-aminophenoxy)phenyl]-4-(p-aminophenyl)- (8CI) (CA INDEX

7-6 CAPLUS mine, 4-[2-{4-(4-aminophenoxy)phenyl]-4-thiazolyl]- (CA INDEX

26510-10-1 CAPLUS
Thiazole, 2-[p-(p-nitrophenoxy)phenyl]-4-(p-nitrophenyl)- (8CI) (CA INDEX

Zebi3-62-3 CAPLUS
Terephthaloyl chloride, polyamide with 2-{p-{p-Aminophenoxy}phenyl}-4-{m-aminophenyl}thiazole (8CI) (CA INDEX NAME)

СМ 1

CRN 26507-11-9 CMF C21 H17 N3 O S

CM 2

CRN 100-20-9 CMF C8 H4 C12 O2

26655-99-2 CAPLUS
1,3-Benzenedicarbonyl dichloride, polymer with 4-[2-[4-(4aminophenoxy)phenyl]-4-thiazolyl]benzenamine (9CI) (CA INDEX NAME)

CM 1

CRN 26510-07-6 CMF C21 H17 N3 O S

10576830-103

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Terephthaloy1 chloride, polyamide with 2-{p-(4-amino-2-chlorophenoxy)pheny1}-4-(m-aminopheny1)thiazole (8CI) (CA INDEX NAME)

CM 1

CRN 24689-96-1 CMF C21 H16 Cl N3 O S

CM

CRN 100-20-9 CMF C8 H4 C12 O2

ANSMER SO OF 104 CAPLUS COPYRIGHT 2007 ACS ON STN
1970:3479 CAPLUS Full_text
72:3479
72:639a,642a
Nematocidal 2-(p-dialkylaminostyryl)-4-(p-substituted-phenyl)thiazole
alkyl halides
Phillips, Arthur Page; Burrows, Robert B.
Wellcome Foundation Ltd.
S. African, 22 pp.
CODEN: STXXAB
Patent
English

LA	English				
FAN	CNT 1				
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	ZA 6805975		19690318	ZA	
	CA 961852			CA	
	CA 974173			CA	
	DE 1795380			DE	
	DE 1795381			DE	
	FR 1591630			FR	
	FR 7927			FR	
	FR 8213			FR	
	GB 1244961			GB	

26656-00-8 CAPLUS
Isophthaloyl chloride, polyamide with 2-[p-(p-aminophenoxy)phenyl]-4-(m-aminophenyl)thiazole (8CI) (CA INDEX NAME)

СМ

CRN 26507-11-9 CMF C21 H17 N3 O S

2 CM

CRN 99-63-8 CMF C8 H4 C12 O2

26656-01-9 CAPLUS

10576830-103 216 of 236

US 3883658 19750513 US 1971-146549 19710524

1 GB 1983658 19750513 US 1971-146549 19710524

1 GB 1983658 19750513 US 1971-146549 19710524

1 GB 19710524

1 GB 1971052014)-alkyl halide and a p-dialkylaminobenzaldehyde in a polar solvent at 20° to b.p., with piperidine, an amine, alkali hydroxide or alkoxide asc catalyst, or a p-dialkylaminotennamoylthiosidkyl-amide with a PhCOCM2X at 80-150° in the presence of HX. Thus, a mixture of 27.5 g p-PRCCHACOCH2R and 10 g McGSNN2 was heated 1-2 hr at 100° in 150 ml MeOH, then the mixture concentrated, treated with H2O and NH3, and the precipitate worked up to give 90-54 2-methyl-4-p-biphenylylthiasole (11), m. 120-13. A solution of 25 g II and 22 g MeI in 70 ml HCOMM02 was heated 6-8 hr at 100°, then treated with excess Et20 and cooled to give 75-801 2-methyl-4-(p-biphenyl-ylthiasole methiodide (III), m. 272-3°. A mixture of 79 g III and 4.5 g p-Me2KOH4KOR in 90 ml MeOH Containing 2 ml piperidine was heated 2 hr on a steam bath, and the precipitate worked up to give 1 (R = R) = Me. Z = Ph. X = 1) (17), m. 253-6°. Similarly were prepared the following 1 (X = I) (RVZ, RI, Z, and m. p. giveni) pyrrolidino (A), Me. N. 24, 24, 25°, A, Ac. 27.0° (MeOH), B. C. (27-18° (MeOH), a 180 ch 100 ch 100

ıт

Thiazolium, 2,3-dimethyl-4-{p-phenoxyphenyl}-, iodide (8CI) (CA INDEX

ANSMER 91 OF 104 CAPLUS COPYRIGHT 2007 ACS on STN 1969:524421 CAPLUS Full-text 71:124421 71:23127a,23130a Nematocidal 2-(p-dalkylaminostyryl)-3-alkyl-4-(p-substituted-phenyl)thiazolium halides Phillips, Arthur Page; Burrows, Robert B. Wellcome Foundation Ltd. S. African, 16 pp. CODEN: SFXXAB Patent RUSSIAN COTT 1

LA	Rυ	
FAN.	CNT	. 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	ZA 6805976		19690318		
	CA 961852			CA	
	CA 974173			CA	
	DE 1795380			DE	
	DE 1795381			DE	
	FR 1591630			PR	
	FR 7927			FR	
	FR 8213			FR	
	US 3641012		19720208	us	19680919
	US 3883658		19750513	US 1971-146549	19710524
DDA.	T CB		19670922		

For diagram(s), see printed CA Issue.
The title compds. (I) were prepared by method B of S. African 68 05,975, and the same claims are made. Prepared were the following I (R, R1, R2, X, and

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Journal

Journal French
French
Fror diagram(s), see printed CA Issue.
The thermal stability of several aromatic and heterocyclic compds, was studied by a previously described method (R. Arnaud, et al., 1966). The synthesis of the compds. was described elsewhere (J. M. Bonnier, et al., 1965). The temps. of pyrolysis were determined by the isoteniscope method. The following values are reported: thiazole (I), 510°; 2,4-diphenylthiazole (II), 431°, 4-(4-biphenylyl)-2-phenylthiazole (III), 431°, 4-(4-biphenylyl)-2-phenylthiazole (III), 432°; 2,4-bis(4-biphenylyl)l-binazole, 1111, 422°; 2,4-bis(4-biphenylyl)l-binazole, 423°; 2,2-(p-phenylthiazole), 438°, 2,2-(p-phenylene)bis(4-phenylthiazole), 438°, 2,2-(p-phenylene)bis(4-phenylthiazole), 438°, 2,2-(p-phenylene)bis(4-(4-biphenylyl)l-binazole, 425°, inidazole (IVa), 550°; phenylene)bis(4-(4-biphenylyl)l-binazole, 455°, inidazole (IVa), 550°; phenylene)bis(4-(4-biphenylyl)l-binazole, 455°, inidazole (IVa), 550°; phenylene)bis(4-(4-biphenylyl)l-binazole, 455°, inidazole (IVa), 550°; phenylene)bis(4-(5-phenylen

Thiazole, 2,2'-(oxydi-p-phenylene)bis[4-phenyl- (8CI) (CA INDEX NAME)

14208-43-6 CAPLUS

Thiazole, 2,2'-(oxydi-p-phenylene)bis(4-(4-biphenylyl)- (8CI) (CA INDEX

ANSWER 93 OF 104 CAPLUS COPYRIGHT 2007 ACS ON STN 1968:100244 CAPLUS Full-text

10576830-103

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m.p. given): Me, Me, p-166H4, I (II), 228* (decomposition) (MeOH); Me, Et, p-MoOC6H4, Br, 219* (decomposition) (alc.); Me, Rt, p-ClC6H4, I, 213-15*; Me, Me, p-PhoC6H4, I, 207-8*; Me, Me, p-MeOC6H4C6H4-p, I, 280-1*; Et, Et, Ph, I, 212-13*; Et, Me, p-MeOC6H4, I, 191-2*; Et, Me, B-naphthyl, I, 209-10*; St, Me, p-PhoC6H4, I, 204-5*. Also prepared were p-Mo2NC6H4CHCNCONNET, m. 157-6*; corresponding thioamide m. 198-200*; p-iodophenacyl N-methyl-p-(dimethylamino)thiocinnamimidate -HEI, m. 176-7*; HI salt m. 173-4* (MeOH); phenyl N-ethyl-p-(dimethylamino)thiocinnamimidate-HBF(sic), m. 172-3*. A solution of 1 g. II in 20 ml. BuOH was heated 1.75 hrs. at 120*, cooled, treated with Et2O, and the precipitate dissolved in aqueous MeOH and treated with KI solution to give I (R = Me, Rl = Et, R2 = p-IC6H4, X = 1), m. 193-5* (decomposition) (EtOH).

Z4329-31-0P Z4259-08-2P
RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of) 24229-31-O CAPLUS
Thiazolium, 2-[p-(dimethylamino)styryl]-3-methyl-4-(p-phenoxyphenyl)-, iodide (SCI) (CA INDEX NAME)

24259-08-3 CAPLUS

Thiazolium, 2-[p-(diethylamino)styryl]-3-methyl-4-(p-phenoxyphenyl)-, iodide (8CI) (CA INDEX NAME)

ANSWER 92 OF 104 CAPLUS COPYRIGHT 2007 ACS ON STN 1968:477164 CAPLUS <u>Full-text</u> 69:77164

69:77164
Thermal stability of some heterocyclic compounds
Gelus, Maurice; Bonnier, Jane Marie
Lab. Chim. Gen., Fac. Sci. Grenoble, St.-Martin-d'Heres, Fr.
Journal de Chimie Physique et de Physico-Chimie Biologique (1968), 65(2), 253-9

CODEN: JCPBAN; ISSN: 0021-7689

10576830-103

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DN 68:100244 OREF 68:19371a,19374a

Ultraviolet spectrophotometry of some heterocyclic compounds Gelus, Maurice: Bonnier, Jane M. Lab. Chim. Gen., Fac. Sci. Grenoble, Grenoble, Fr. Journal de Chimie Physique (1967), 64(11-12), 1602-6

Journal de Ch CODEN: JCPQAY Journal French

French
The uv spectra of thiazoles and benzimidazoles were studied and the M.O.
energies calculated by a Hueckel method. The measured energy is a linear
function dependent on the transition from the highest to the lowest orbital
level occupied. The thiazole derivs. were studied in cyclohexane solution,
the benzothiazoles in dioxane. Some of the thiazoles derivs. presented 2
absorption bands, 1 in the 250-70-mµ range, the other beyond 120 mµ; other
derive. had a single band at .apprx.290 mµ. The phenyl derive, for example had
a band at 252 mµ, the other at 320 mµ, this pattern of absorption
corresponding to the transfer of one electron from a benzene orbital to a free
orbital in the C:N group as shown by the calculated energy (3.93 ev.). The
presence of 2 thiazoles rings shifted the spectra to 260 and 340 mµ in some
derivs. while others kept their single band at 290 mµ. The benzimidazoles had
3 bands in the regions: 200, 245, and 280 mµ while inidazole presented a
single band at 206 mµ. They were studied in EtOH solns. Introduction of a
CH3 group in position 2 did not modify the spectrum while substitution by a
phenyl group brought the appearance of a strong band around 315 mµ. CM3 group in position 2 did not modify the appetrum while substitution phenyl group brought the appearance of a strong band around 315 mµ. 13155-37-5 14208-43-6 [PRP (Properties) (appetrum (uv) of, nol. orbitals in relation to) 13355-37-8 CAPLUS Thiazole, 2,2'-(oxydi-p-phenylene)bis[4-phenyl- (SCI) (CA INDEX NAME)

14208-43-6 CAPLUS

Thiazole, 2,2'-(oxydi-p-phenylene)bis[4-(4-biphenyly1)- (8CI) (CA INDEX

L31 ANSWER 94 OF 104 CAPLUS COPYRIGHT 2007 ACS ON STN AN 1968:22805 CAPLUS Full-text
DN 68:22805

OREF 68:4439a,4442a

Thiazole polymers Craven, James M.

Patent English

FAN, CNT

PATENT NO. KIND DATE APPLICATION NO. DATE US 3355426 19671128
For diagram(s), see printed CA Issue. US 1963-304686

ΙT

RE: USES (USES) (USES) (USES) (USES) (Coatings of, on metals, heat- and solvent-resistant) 32034-51-8 CAPLUS Poly(2,4-thiazolediyl-1,4-phenyleneoxy-1,4-phenylene-4,2-thiazolediyl-1,4-phenylenoxy-1,4-phenylene) (9CI) (CA INDEX NAME)

32034-52-9 CAPLUS Poly(4,2-thiazolediyl-1,4-phenylene-2,4-thiazolediyl-1,4-phenyleneoxy-1,4-phenylene) (9CI) (CA INDEX NAME)

32038-27-0 CAPLUS
Poly(4,2-thiazolediyl-1,4-cyclohexanediyl-2,4-thiazolediyl-1,4-phenyleneoxy-1,4-phenylene) (9CI) (CA INDEX NAME)

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484*, 2,2'-p-phenylenebis(4-phenylthiazole) 495*, 4,4'-p-phenylenebis[2-(4-biphenyly)) thiazole) 505*, 2,2'-p-phenylenebis[4-(4-biphenyly)) thiazole) 505*, 2,2'-p-phenylenebis[4-(4-biphenyly)] thiazole) 513*, 2,2'-oxydi(p-phenylenebis[4-(4-biphenyly)] thiazole) 513*, 2,2'-oxydi(p-phenylenebis[4-(4-biphenyly)] thiazole) 495*, imidazole (11) 590*, benzimidazole (11) 405*, 2-methylbenzimidazole 382*, 2-phenylbenzimidazole 382*, 2-phenyl-2-phenyl-1-aphenyl-inidazole, 5:5',5'-benzimidazole (11) 310*, 2,2'-phenyl-2-phenyl-2-phenyl-1-aph

RL: PRP (Properties) (thermal stability of) 13355-37-8 CAPLUS

Thiazole, 2,2'-(oxydi-p-phenylene)bis[4-phenyl- (8CI) (CA INDEX NAME)

CAPLUS

Thiazole, 2,2'-(oxydi-p-phenylene)bis[4-(4-biphenyly1)- (8CI) (CA INDEX NAME)

ANSWER 96 OF 104 CAPLUS COPYRIGHT 2007 ACS on STN 1967:37815 CAPLUS $\underline{Full-text}$

66:37815 66:7203a,7206a

Thermal stability of thiazoles
Arnaud, Roger, Gelus, Maurice; Malet, Jean C.; Bonnier, Jane M.
Fac. Sci., Grenoble, Fr.
Bulletin de la Societe Chimique de France (1966), (9), 2857-61
CODEN: BSCPAS; ISSN: 0037-8968

French Thiazoles were prepared by the reaction of α -halo ketones and thio amides according to the modified procedure of Mulvaney and Marvel (CA 55, 19902f). Thiazoles prepared were (* yield, m.p., and decomposition point given): thiazole -, -, 530*; 2.4-diphenylthiazole, 48, 93-3.5*, 431*; 2-phenyl-4-biphenylylthiazole, 49, 159-60*, 442*, 4-phenyl-2-biphenylythiazole, 50, 162-3*, 452*; 2,4-(bis(4-biphenylyl)thiazole 68.5, 207-8*, 510*; 2,2'-p-

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J20J8-28-1 CAPLUS Poly(4,2-thiazolediyl-1,3-phenylene-2,4-thiazolediyl-1,4-phenyleneoxy-1,4-phenylene) (9C1) (CA INDEX NAME)

32038-29-2 CAPLUS Poly [[2,2"-bithiazole]-4,4"-diyl-1,4-phenyleneoxy-1,4-phenylene) (9CI) (CA INDEX NAME)

ANSWER 95 OF 104 CAPLUS COPYRIGHT 2007 ACS on STN 1968:2510 CAPLUS Full_text L31

AN DN OREF

68:2510 68:447a,450a

68:447a,450a
Thermal stability of heterocyclic compounds
Bonnier, Jane M., Gelus, Maurice
Fac. Sci. Grenoble, Grenoble, Fr.
Revue de l'Institut Francais du Petrole et Annales des Combustibles
Liquides (1967), 22(6), 1008-28
CODEN: RIFPA9; ISSN: 0370-5552

DT LA Journal French

French . For diagram(s), see printed CA Issue. The decomposition temps. of a number of heterocyclic compds. were determined and compared with the resonance energy and that of the highest and lowest free mol. orbitals for each compound A good correlation was found between thermal stability and the energy of the highest occupied orbital. Exptl. decomposition temps, determined by the change in pressure in a heated vessel containing the compound (the Apparatus is described) are thiazole (I) 510°, 2,4-diphenylthiazole 411°, 2-phenyl-a-(4-biphenylyl)thiazole 452°, bis(4-biphenylyl)-2,4-thiazole 510°, 2,2'-p-phenylenebis(4-methylthiazole) 403°, 4,4'-p-phenylenebis(2-phenylthiazole)

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phenylenebis[4-methylthiazole], 44, 169-70*, 403*, 4,4*p-phenylenebis[2-phenylthiazole], 59.5, 212-3*, 484*; 2,2*p-phenylenebis[4-phenylthiazole], 64.4, 230.5-31*, 495*; 4,4*p-phenylenebis[2-phenylenebis], 495*; 4,4*p-phenylenebis[2-biphenyl-4-ylthiazole], 44, 350*, 505*; 2,2*p-phenylenebis[biphenebis[4-biphenyl-4-ylthiazole], 63, 50*, 513*; 2,2*oxydi-p-phenylenebis[4-biphenyl-4-ylthiazole], 63, 230-1*, 476*; 2,2*oxydi-p-phenylenebis[4-biphenyl-4-ylthiazole], 63, 230-1*, 476*; 2,2*oxydi-p-phenylenebis[4-biphenyl-4-ylthiazole], 63, 230-1*, 476*; 2,2*oxydi-p-phenylenebis[4-biphenyl-4-ylthiazole], 63, 230-1*, 476*; 2,2*oxydi-p-phenylenebis[4-biphenyl-4-ylthiazole], 62,3, 334-4.5*, 459*. In general, the thermal stability increased with increased mol. weight, and the Me derivs. Were the least resistant. The presence of an ether linkage lowered the stability only slightly. Thio amides, p-RC6H4C(:NN)SH, were prepared by passing dry H2S into a soln, of the nitrile in pyridine and freshly distilled E13N (Pairfull, et al., CA 46, 9530g) (R, yield, m.p. given): H. ., 117*; Ph. 58.5*, 207-8*; HS(NN):C. 57.5*, 263-5*; p-HS(HN):CC6H40:CB, m. 124-5*, was prepared from Ph2 by a Friedel-Crafts reaction, while (p-BrC6H4)20 and CucN gave (p-NCC6H4)20.

13355-37-8P 14208-43-6P

Isable-17-00 14708-63-00 RL; SPN (Synthetic preparation); PREP (Preparation) (preparation, spectrum (uv) and thermal stability of) 13355-37-8 CAPLUS Thiazole, 2,2'-(oxydi-p-phenylene)bis[4-phenyl- (8CI) (CA INDEX NAME)

14208-43-6 CAPLUS
Thiazole, 2,2'-(oxydi-p-phenylene)bis[4-(4-biphenylyl)- (8CI) (CA INDEX

L31 ANSMER 97 OF 104 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1965:498923 CAPLUS Pull-text

OREF 63:18274a-e

Heterogromatic polymers. Polybithiazoles
Longone, Daniel T., Un, Howard H.
Univ. of Michigan, Ann Arbor
Journal of Polymer Science, Part A: General Papers (1965), 3(9), 3117-30
CODEN, JPYAAK; 158N: 0449-2951

English For diagram(s), see printed CA Issue.
cf. CA 63, 3058h. Gross properties of polymers obtained by condensation of a
number of monomers of high structural d. were correlated with controlled
structural variations, e.g. extent of conjugation, presence of flexible and
heteroatom linkages, symmetry, etc. Bifunctional aryl bromomethyl ketones of
the general formula BCTM2COACOCHABT (.apprx. 3 millimoles) were condensed with
dithiooxamide (3 millimoles) in HCONMe2, heated to reflux, giving within 15

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min. a finely divided precipitate of I. After 1.5 hrs., the mixture was filtered hot, and the resulting solids were continuously extracted for 15 hrs. each with HCONNe2, absolute ELOH, and ELZO. The residual polymer was dried at 100°/0.2 mm for 5 hrs. With p - bis/bromoacetyl)benzene as comonomer, the highly refractive, crystalline I (A = p-C6H4) (II), number-average mol. weight 12,000, was obtained in set yield. Polythiazoles based on biphenyl, diphenylmethane, and phenyl ether substrates were prepared, they resembled II closely. Us spectra of model polymers and absorption in the uv region support polymer anal. evidence that bithiazole-containing recurring units are present in the polymers. Polymer thermal stabilities were examined in N by heating at 100, 350, 400, 500, and 600° consecutively for 1-hr. periods, samples predried at 140-50°/0.2 mm. for 30 min. At the end of each hr. heating, the sample was cooled under N and removed to determine weight loss. The polythiazoles showed unusual thermal stability, with gross structural changes between 500 and 600°, confirmed by x-ray powder patterns and uv spectra. On prolonged exposure to light, the initially yellow-brown surface of polymer samples became pink and, in one case, analysis of a sample after 3-month exposure showed a decrease in C content from 64.2 to 62.7%, implying that a photooxidation process was involved.

(Derived from data in the 7th Collective Formula Index (1962-1966))
4072-66-6 CAPLUS
2,2'-Bithiazole, 4,4'-bis(4-phenoxyphenyl)- (9CI) (CA INDEX NAME)

ANSWER 98 OF 104 CAPLUS COPYRIGHT 2007 ACS ON STN
1965:498922 CAPLUS Full-text
63:98922
63:18274a
Inorganic polymers. I. Solid inorganic foam
Shaw, R. A.; Ogawa, Takeshi
Univ. London
Journal of Polymer Science, Part A: General Papers (1965), 3(9), 3343-51
CODEN: JPYAAK; ISSN: 0449-2951
JOURNAL

English
Hexaaminocyclotriphosphazatriene (I), recrystd. from H2O or used without
purification, forms a phospham as a powder. If the starting material is
precipitated from aqueous solution by suitable organic solvents, a foamed
material results. Evidence from x-ray powder photographs indicated a
depression of m.p. of I through solid-solution rather than eutectic formation.
No foaming was observed with octaaminocyclotetraphosphazatetraene, N4P4 (NH2) 8.

(Derived from data in the 7th Collective Formula Index (1962-1966)) 4072-66-6 CAPLUS
2,2'-Bithiazole, 4,4'-bis(4-phenoxyphenyl)- (9CI) (CA INDEX NAME)

A30-103

217 of 230
aminobenzamide), m. 324-6*, and N.N'-dimethyl-p-phenylenebis(m-aminobenzamide)
(IV), m. 231-3*, were conventionally polymerized with some or all of 4 aryl
diacid chlorides including II, terephthaloyl chloride, 2,6naphthalenedicarbonyl chloride, and 4,4*-bibenzoyl chloride to yield the
polyamides. All the polymers were very high-malting except those derived from
IV. The diamines were prepared by condensation of 2 equivs. of the suitable
nitro aroyl chloride with 1 equivalent of the aromatic diamine followed by a
conventional reduction of the nitro groups. Clear, tough films and
crystalline fibers were prepared from the polyamides. III had inherent
viscosity 1.83 (0.5 g. polymer/100 ml. AcNMe2 containing 5% LiCl at 30*).
4072-66-69

(Derived from data in the 7th Collective Formula Index (1962-1966)) 4072-66-6 CAPLUS 2.2-Bithiazole, 4.4'-bis(4-phenoxyphenyl)- (9CI) (CA INDEX NAME)

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L31 ANSMER 101 OF 104 CAPLUS COPYRIGHT 2007 ACS ON STN AN 1961:121423 CAPLUS Full-text
DN 55:121423

DN 55:121423
OREF 55:2231b-f
Thiazolocarbocyanines with aryl radicals in the thiazole nucleus. VIII.
Unsymmetrical thiazolocarbocyanines
AU Sych, E. D.
SO Ukrains'kii Khemichnii Zhurnal (1961), 27, 83-7
CCOEN: UKHZAS; ISSN: 0372-4190
DT Journal
La Busin

ANSWER 99 OF 104 CAPLUS COPYRIGHT 2007 ACS On STN 1955:417175 CAPLUS $\frac{Full-text}{53:17175}$

63:3058h,3059a

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83:13058, 3059a
New thermally stable heteroaromatic polymers: polydithiazoles
Longone, Daniel T., Un, Howard H.
Univ. of Michigan, Ann Arbor
Am. Chem. Soc., Div. Polymer Chem., Preprints (1963), 4(2), 49-56
Journal
English
The condensation of dithiooxamide with arylenebis(bromomethyl ketones) gives a
new class of thermally stable polydithiazoles. These polymers are
characterized by high crystallinity and decomposition temps. as well as low
solubility in organic solvents. Polydithiazoles containing solely aromaticheteroaromatic nuclei in the recurring units exhibit an appreciable weight
loss only above 500°. The polycondensation reaction is of such a nature that
requisite monomers of great structural diversity can be utilized. This allows
correlation of gross polymer properties with controlled structural variations.
The introduction of flexible polymethylene linkages in the polymer recurring
unit affords polymers of decreased crystallinity, mol. wts., and
decomposition temps. as well as attendant increased solubilities.

(Derived from data in the 7th Collective Formula Index (1962-1966))

4072-66-6 CAPLUS
2,2'-Bithiazole, 4,4'-bis(4-phenoxyphenyl)- (9C1) (CA INDEX NAME)

L31 ANSWER 100 OF 104 CAPLUS COPYRIGHT 2007 ACS ON STN AN 1965:417174 CAPLUS Full-text
DN 63:17174

DN OREF TI AU

63:3058f-h
New high-temperature aromatic polyamides
Preston, J., Dobinson, F.
Chemstrand Res. Center, Durham, NC, USA
Journal of Polymer Science, Part B: Polymer Letters (1964), 2(12), 1171-4
CODEN: JPSBDU; ISSN: 0449-2986
Journal
English
For diagram(s), see printed CA Issue.
Polymerization of N,N'-m-phenylenebis(m-aminobenzamide) (I) with isophthaloyl
chloride (II) gave III. The min. repeating unit in such polymers contains 4
rings. I, m. 213-14', N,N'-p-phenylenebis(m-aminobenzamide), m. 289-91';
N,N'-m-phenylenebis(p-aminobenzamide), m. 227-8', N,N'-p-phenylenebis(p-

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215-9, 2-C10H7, V, 597, 608, 597, 5.5, 60, 231*. The hypsochromic effect due to difference in basicity is rather small, but it appears that a NO2-group in the 5-position of benzothiazole has a greater effect on the thiazole ring than one in the 6-position.
117373-09-87, 3-Ethyl-2-(3-(3-ethyl-5-(p-phenoxyphenyl)-4-thiazoli-2-ylidene|propenyl|benzothiazolium iodide
RL: PREP (Preparation)
(preparation of)
117373-09-8 CABLUS
3-Ethyl-2-(3-(3-ethyl-5-(p-phenoxyphenyl)-4-thiazolin-2-ylidene|propenyl|benzothiazolium iodide (6CI) (CA INDEX NAME)

L31- ANSWER 102 OF 104 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1958:104223 CAPLUS Full-text
DN 52:104223
CREF 52:18377b-g
TI Thiazolocarbocyanines with aryl radicals in the thiazole rings. III.
Methoxyarylthiazolocarbocyanines
AU Sych, E. D.
SO Ukrains'Kil Khemichnii Zhurnal (1958), 24, 79-88
CODEN: UKHZAS; ISSN: 0372-4190
DT Journal
LA Russian

CODEN: UNIXAS; ISSN: V32-2-2-2
JOURNAI

Russian

Cf. C.A. 51, 372g. RCOCH2X (X = Cl or Br) (I) (from RAc and Br or RH and ClCH2COC1 in presence of AlCl3) and McCSNN2 form 4-substituted-2-methylthiazoles (II-4) and p-MecGH403GH derivs. (III-4). From I, via the (CH2)6N4 complexes, RCOCH2NN2 [HCl salt, R = 4-(p-MeOCH4)C6H4 (IIIA), m. 272*] and their Ac derivs. (IV) were prepared IV and P2S5 form 5-substituted-2-methylthiazoles (II-5), p-MecGH403GH derivs. (III-5). III, CH(OEL)3, and a little Ac20 form trimethinecyanine dyes (V-4 and V-5), isolated as iodides. III and p-Me2NC6H4CH0 form styrenes (VI-4 and VI-5), also isolated as iodides. The following are reported [R, X (m.p. of I), m.ps. of II-4, III-4, II-5, III-5, IV, V-4, V-5, VI-4, and VI-5, and X (in mµ) of V-4, V-5, VI-4, and VI-5, given]: p-MeOCH44, (-1), 69*, -, 143-4*, 145*, 111*, 240*, 190*, 198*, 245*, 560, 612, 485-90, 505, p-PhOCH4, Cl (52*, b4 20*), 69* (b6 260-80*), -, 116*, 85*, 198*, 250-1*, 195*, 252*, 254*, 252*, 245*, 565, 612, 490, 510; 4-methoxy-1-naphthyl, Br (66*), 104-5*, -7, -74-5*, 144-5*, 135*, 176*, 200*, -, -565, 580, -, -I (X = Cl, R = IIIa) was accompanied by a mora ligroine-soluble isomer, m. 117-20*, which was assumed to be the 2-MeO compound from an impurity in the RH. The following RICH:CHCRI:

-thiazolidinylidene, resp.) are reported as by-products in the preparation of V (aryl substituent, m.p., and A, in mm given) 5-IIIa. 1907, 638, 4-(p-PhOC6H4), 180*, 600, 4-methoxy-1-naphthyl. 247*, 605, 5-Substituted-3-ethylthiazolium compds. and 2-methylthia-3- ethylbenzothiazolium iodide form the following monomethinecyanines (VII) isolated as iodides (substituent, m.p., and \(\lambda\) in mm given!: p-MeOC6H4, 257*, 440; IIIa. 268*, 447; ELO, 222*, 425; H. 279*, 412; Ph. 277*, 437; p-PhOC6H4, 244*, 444. II-5 (R. p-MeOC6H4) was heated with EtC(ORL)3 and MeC(OEL)3 to form RICH:CR3CH:R2.Clo4 (VIII) (R1 and R2 as before): R3 = Et, m. 221*, \(\lambda\) 600 mm; R3 = Mm, m. 245* (as iodide), \(\lambda\) 600 mm; Consideration of the \(\lambda\) of the tri- and monomethinecyanines shows that the bathochromic effect of an alkoxyl group is greatly reduced by an intervening benzene ring.

| \(\lambda\) 10* (BeT) Till: | \(\lambda\) 14.44 & \(\lambda\) 21.444 & \(\lambda\) 25. (Derived from data in the 6th Collective Formula Index (1957-1961)) | \(\lambda\) 108627-72-1 | CAPLUS | 2.2* (13-12-13-Ethyl-4-(p-phenoxyphenyl)-4-thiazolim-2-ylidene|ethylidene|propenylene|bis(3-ethyl-4-(p-phenoxyphenyl)thiazolium iodide) (6CI) (CA INDEX NAME) -thiazolidinylidene, resp.) are reported as by-products in the preparation of

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2-(p-Dimethylaminostyryl)-3-ethyl-5-(p-phenoxyphenyl)thiazolium iodide (6CI) (CA INDEX NAME)

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3-Ethyl-2-[3-[3-ethyl-5-(p-phenoxyphenyl)-4-thiazolin-2-ylidene]propenyl]-5-(p-phenoxyphenyl)thiazolium iodide (6CI) (CA INDEX NAME)

• ı -

302581-18-6 CAPLUS
Thiazole, 2-methyl-4-(4-phenoxyphenyl)- (CA INDEX NAME)

. 860174-94-3 CAPLUS Thiazole, 2-methyl-5-(p-phenoxyphenyl)- (6CI) (CA INDEX NAME)

L31 ANSWER 103 OF 104 CAPLUS COPYRIGHT 2007 ACS on STN AN 1955:49480 CAPLUS Full-text DN 49:49480 CAPLUS Full-text CAPLUS CAPEF 49:9623b-h

49:90-210-II Syntheses of thiazole derivatives containing a diphenyl ether nucleus. I Tomita, Masao; Kumaoka, Hiroshi; Takase, Mumeaki Univ. Kyoto Yakugaku Zasshi (1954), 74, 850-3 CODEN: YKKZAJ; ISSN: 0031-6903

DT LA Journal Unavailable

10576830-103

122446-46-2 CAPLUS 2-(p-phenoxyphenyl)thiazolium iodide (6cI) (CA INDEX NAME)

168665-19-SP, 3-Ethyl-2-[3-(3-ethyl-4-(p-phenoxyphenyl)-4thiazolim-2-ylidene|propenyl]-4-(p-phenoxyphenyl)thiazolim166669-21-2P, 3-Ethyl-2-[3-[3-ethyl-5-(p-phenoxyphenyl)-4thiazolim-2-ylidene|propenyl]-5-(p-phenoxyphenyl)thiazolim160525-12-3-5P, Thiazole, 2-methyl-4-(p-phenoxyphenyl)RL: PREF (Preparation)
(preparation of)
108669-19-8 CAPUS
3-Ethyl-2-[3-[3-ethyl-4-(p-phenoxyphenyl)-4-thiazolim-2-ylidene|propenyl)4-(p-phenoxyphenyl)thiazolim-iodide (6CI) (CA INDEX NAME) ΙT

RN 108669-21-2 CAPLUS

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Ph20 and ClCH2COCl in CS2 in the presence of AlCl3 give (4-ClCH2COC6H4)20 (I), prims, m. 111* (from alc.), 1.52 g. (NN2)2CS in 10 ml. alc. at 60* treated dropwise with 3.23 g. I in 50 ml. alc., the mixture heated 30 min., attred 1.5 hrs., and the alc. removed in vacuo gives 4.5 g. (p-RC6H4)20.HCl (II) [R = 2-amino-4-chiazolyi (ITII)], the free base, prisms, m. 241-2* (from tetrahydrofuran-Me2CO). Similarly, heating of 3.23 g. I and 1.5 g. MeCSNN12 in 60 ml. alc. gives 4.3 g. II [R = 2-methyl-4-chiazolyi (ITIIa)] (IV) the free base of IV, leaves, m. 146-7* (from alc.). AlCl3 (20 g.) at 0* created dropwise with 17 g. ClCH2COC1 in 20 ml. CS2, the mixture stirred 30 mln. at 0*, heated 30 min. at 50*, the CS2 removed, the residue decomposed with ice water, and the product extracted with CHCl3 gives 8.3 g. (4-ClCH2COC6H4)28 (V), prisms, m. 106-7* (from ChCl1Ma2CO); recrystn. from 250 ml. MeOH gives 6 g. V, m. 109*; 1.52 g. (HN2)2CS in 10 ml. alc. at 60* treated dropwise with 13.9 g. V in 50 ml. alc., the mixture heated 30 min., stirred 1.5 hrs., and the alc. removed in vacuo gives 4.5 g. (P. RC6H4)23.HCl (VI) ([R = III (VIII)]; the free base of VII, granules, m. 240* (from tetrahydrofuran-Me2CO). Similarly, 1.5 g. MeCSNN12 and 3.19 g. V in 60 ml. alc. give 4.6 g. VI [R = IIIa (VIII)]; the free base of VIII, leaves, m. 154-6* (from alc., CoH6H). (4-ACC6H4)20 (5 g.) in 30 ml. ACON on a water bath treated dropwise with 4 g. Br in 20 min., the mixture stirred 40 min., the ACON removed, and the residue extracted with Et20 and distilled gives 4.5 g. (4-BrCH2COC6H4)20 (IX), b3 190-2*; 0.47 g. (H2N)2CS in 10 ml. alc. treated with 1.6 g. IX in 30 ml. alc., stirred 1.5 hrs., made alkaline with NaON, the alc., gives the 2-Me analog of X, leaves, m. 71*. 4-H2N)2CS in 10 ml. alc. treated with 1.6 g. IX in 30 ml. alc., stirred 1.5 hrs., made alkaline with NaON, and the product washed with a small amount of water and recrystd. from alc. give 2 g. 4-thioureddodiphenyl there (XI), plates, m. 18**, 18**, 18**, 18**, 19**, 19**, 10**,

302581-18-6 CAPLUS Thiazole, 2-methyl-4-(4-phenoxyphenyl)- (CA INDEX NAME)

854260-01-8 CAPLUS Ether, bis[p-(2-amino-4-thiazolyl)phenyl] (4CI) (CA INDEX NAME)

859480-38-9 CAPLUS Thiazole, 4,4'-{oxydi-p-phenylene}bis{2-methyl-, hydrochloride (SCI) (CA INDEX NAME)

859480-46-9 CAPLUS

Thiazole, 4.4'-(oxydi-p-phenylene)bis(2-methyl- (5CI) (CA INDEX NAME)

859480-53-8 CAPLUS Thiasole, 4.4'-(oxydi-p-phenylene)big[2-amino-, hydrochloride (5CI) (CA INDEX NAME)

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conducted on 26 g. VIII, 18 cc. II, and 25 g. IV in 120 cc. CS2. Treat 16.5 g. di-Cl diketone with 10 g. I to obtain diethylene glycol bis (2-amino-4-thiazoly)phenyl) ether, m. 178-9. Prepare triethylene glycol di-Ph ether (IX), b15. 240° m. 42°, from 94 g. (CH2OCH2CH2Cl)2 instead of 94 g. (CH2BT)2. After 16 h. reflux, decant the supernatant liquid from the Nacl which seps. The oily layer obtained on cooling is dried with CaCl2, then distilled to give IX. The Friedel-Crafts reaction is conducted with 19 g. IX. 22 cc. II, and 35 g. IV in 200 cc. CS2. Treat 30 g. of the di-Cl diketone thus obtained with 20 g. IX cooling in the cooling is dried with caCl2, then distilled to give IX. The Friedel-Crafts reaction with 15 g. anisole, 30 g. BrCN2COBr, and 40 g. IV in 230 g. CS2, the nonaq, hydrolyzate is extracted with ECO, evaporation and addition of 70 cc. ECOH gives
bis (Dromoacety) anisole (X), m. 76-80°. From 3.3 g. X and 1.4 g. I in 30 cc. 60% ECOH after 2 h. heating on the H2O-bath, the HCl salt ptm. Dissolve the precipitate in 40 cc. hot H2O containing 1.5 g. NaHCO3 to precipitate bis (2-amino-4-thiazoly)lanisole, m. 25'-8°. The Friedel-Crafts reaction with 120 g. sebacoyl chloride, 150 g. IV in 600 cc. benzene, after hydrolysis gives a white precipitate soluble in addinl. benzene. Wash with dilux NaICO3 solution and dry over CaCl2; distil off the benzene; recrystn. from alc. gives 1,8-dibenzoyloctane (XI). XI (40 g.) and 180 cc. CCl4 are heated under reflux with dropwise addition of 40 g. Br. Distillation gives a concentrated solution, which crystallizes on cooling. Purifying the crystalline product by washing with petr. ether gives PhOCOHBE (CH2)6-CHBFCOPh (XII), m. 83°. XII (40.5 g.) and 12 g. I in 300 cc. 65% ECOH precipitate the HCl salt. Neutralization with Na2CO3 gives 36 g. 1,6-bis(2-amino-4-phenyl-5-thiazoyl)hexane, m. 202-4°. The Friedel-Crafts reaction with 16 g. McCHClCOCl. 10 g. III, and 15 g. IV in 75 cc. CS2 gives p.p'-bis(a-chloropropionyl)diphenyl ether (XIII), m. 78-85°. XIII (11 g.) and 8 g.

857549-92-9 CAPLUS Thiazole, 5.5'-(oxydi-p-phenylene)bis[2-amino-4-methyl-, hydrochloride (dCT) (CA INDEX NAME)

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Thiazoles Simons, John K. Libbey-Owens-Ford Glass Co. Patent

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PATENT NO. KIND DATE APPLICATION NO DATE

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857549-94-1 CAPLUS Thiazole, 5,5'-(oxydi-p-phenylene)bis[2-amino-4-methyl- (4CI) (CA INDEX

SINCE FILE TOTAL SESSION 1001.54 SINCE FILE ENTRY -81,12 DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) TOTAL CA SUBSCRIBER PRICE

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